



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 118896

TO: Tamthom ^{uo}Truong
Location: rem/5b19/5c18
Art Unit: 1624
Thursday, April 08, 2004

Case Serial Number: 10/088814

From: Peggy Ruppel
Location: Biotech-Chem Library
REMSSEN 1B65
Phone: 571-272-2557

Peggy.Ruppel@uspto.gov

Search Notes

Dear Examiner Truong,

I've included the full printouts for the 27 patent records that were published earlier than the year 2000 PCT publishing date for this application. I've included the citations and the first hit structure for the nine records that were published after these but before the end of 2002.

I did this to keep the report to a manageable size. If I had included all of the structures for the nine records dating from 2001 to 2002, the report would have been almost 900 pages long!

Please let me know if you have any questions or comments.

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Peggy



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☐ TC 3600 ☐ TC 3700 ☐ Design2900 ☐ Other

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Include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

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- ***For Foreign Patent Family Searches Only***
Include the country name and patent number.
- Provide examples or give us relevant citations, authors, etc., if known.
- FAX or send the **abstract, pertinent claims** (not all of the claims), **drawings, or chemical structures** to your EIC or branch library.

Enter your Search Topic Information below:

SEE ATTACHED CLAIMS 1, 2, 21, 22, 23, 24, 25, AND 27.

Special Instructions and Other Comments:

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Press ALT + F, then P to print this screen for your own information.

SEND**RESET**

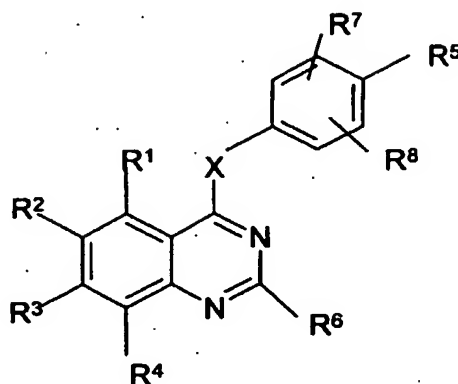
USPTO [Intranet Home](#) | [Index](#) | [Resources](#) | [Contacts](#) | [Internet](#) | [Search](#) | [Web Services](#)

Last Modified: 04/06/2004 12:14:41

Claims

1.

The use of a compound of formula (I)



(I)

or a salt, ester, amide or prodrug thereof;

where X is O, or S, S(O) or S(O)₂, NH or NR¹² where R¹² is hydrogen or C₁₋₆alkyl;

R⁵ is selected from a group NHC(O)OR⁹, NHC(O)R⁹, NHS(O)₂R⁹, C(O)R⁹, C(O)OR⁹, S(O)R⁹, S(O)OR⁹, S(O)₂OR⁹, C(O)NR¹⁰R¹¹, S(O)NR¹⁰R¹¹, S(O)ONR¹⁰R¹¹

where R⁹, R¹⁰ or R¹¹ are independently selected from hydrogen, optionally substituted hydrocarbyl and optionally substituted heterocyclyl and R¹⁰ and R¹¹ together with the nitrogen atom to which they are attached may

additionally form an optionally substituted heterocyclic ring which optionally contains further heteroatoms;

R⁶ is hydrogen, optionally substituted hydrocarbyl or optionally substituted heterocyclyl;

R⁷ and R⁸ are independently selected from hydrogen, halo, C₁₋₄alkyl, C₁₋₄alkoxy, C₁₋₄alkoxymethyl, di(C₁₋₄alkoxy)methyl, C₁₋₄alkanoyl,

trifluoromethyl, cyano, amino, C₂₋₃alkenyl, C₂₋₃alkynyl, a phenyl group, a benzyl group or a 5-6-membered heterocyclic group with 1-3 heteroatoms, selected independently from O, S and N, which heterocyclic group may be aromatic or non-aromatic and may be saturated (linked via a ring carbon or

nitrogen atom) or unsaturated (linked via a ring carbon atom), and which phenyl, benzyl or heterocyclic group may bear on one or more ring carbon atoms up to 5 substituents selected from hydroxy, halogeno, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkanoyloxy, trifluoromethyl, cyano, amino, nitro, C₂₋₄alkanoyl, C₁₋₄alkanoylamino, C₁₋₄alkoxycarbonyl, C₁₋₄alkylsulphanyl, C₁₋₄alkylsulphinyl, C₁₋₄alkylsulphonyl, carbamoyl, N-C₁₋₄alkylcarbamoyl, N,N-di(C₁₋₄alkyl)carbamoyl, aminosulphonyl, N-C₁₋₄alkylaminosulphonyl, N,N-di(C₁₋₄alkyl)aminosulphonyl, C₁₋₄alkylsulphonylamino, and a saturated heterocyclic group selected from morpholino, thiomorpholino, pyrrolidinyl, piperazinyl, piperidinyl, imidazolidinyl and pyrazolidinyl, which saturated heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkanoyloxy, trifluoromethyl, cyano, amino, nitro and C₁₋₄alkoxycarbonyl, and

R¹, R², R³, R⁴ are independently selected from halogeno, cyano, nitro, C₁₋₃alkylsulphanyl, -N(OH)R¹³- (wherein R¹³ is hydrogen, or C₁₋₃alkyl), or R¹⁵X¹- (wherein X¹ represents a direct bond, -O-, -CH₂-, -OCO-, carbonyl, -S-, -SO-, -SO₂-, -NR¹⁶CO-, -CONR¹⁶-, -SO₂NR¹⁶-, -NR¹⁷SO₂- or -NR¹⁸- (wherein R¹⁶, R¹⁷ and R¹⁸ each independently represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl), and R¹⁵ is hydrogen, optionally substituted hydrocarbyl, optionally substituted heterocyclyl or optionally substituted alkoxy;

in the preparation of a medicament for use in the inhibition of aurora 2 kinase.

25

2.

The use according to claim 1 wherein in the compound of formula (I), at least one group R¹, R², R³, R⁴ is a group R¹⁵X¹- and R¹⁵ is hydrogen, an optionally substituted hydrocarbyl group selected from alkyl, alkenyl, alkynyl, aryl, aralkyl, cycloalkyl, cycloalkenyl or cycloalkynyl, or combinations thereof; or an optionally substituted heterocyclyl group of from 4 to 20 ring atoms, at least one of which is a heteroatom such as oxygen, sulphur or nitrogen and where the optional substituents comprise at least one functional group selected from nitro, cyano, halo, oxo, =CR⁷⁸R⁷⁹, C(O)_xR⁷⁷, OR⁷⁷, S(O)_yR⁷⁷, NR⁷⁸R⁷⁹,

30

19.

A compound of formula (IIA) which comprises a compound of formula (II) as defined in claim 15, or a salt, ester, amide or prodrug thereof, provided that

(i) where R^1, R^4, R^6, R^7 and R^8 are all hydrogen and R^2 and R^3 are both hydrogen or both methoxy, R^{64} is other than phenyl;

(ii) where R^1, R^4, R^6, R^7 and R^8 are all hydrogen and R^2 and R^3 are methoxy, and Z is C(O), R^{64} is other than methyl;

(iii) where $R^1, R^2, R^3, R^4, R^6, R^7$ and R^8 are all hydrogen, X is oxygen, R^6 is 4-methyl-1-piperazinyl and Z is C(O), R^{64} is other methyl.

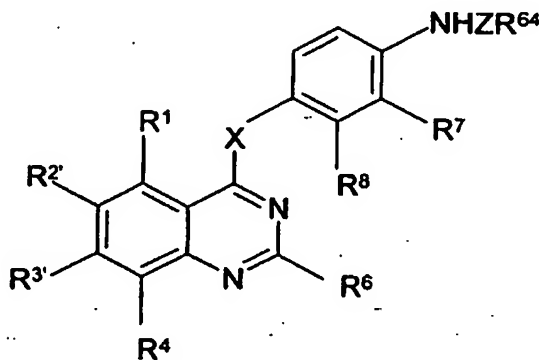
20.

A compound of formula (IIC) as defined in claim 16 or a salt, ester or amide thereof, provided that i) where R^1, R^4, R^7 and R^8 are all hydrogen and R^2 and R^3 are both hydrogen or both methoxy, R^{64} is other than phenyl; and

(ii) where R^1, R^4, R^6, R^7 and R^8 are all hydrogen and R^2 and R^3 are methoxy, and Z is C(O), R^{64} is other than methyl.

21.

A compound of formula (IIB)

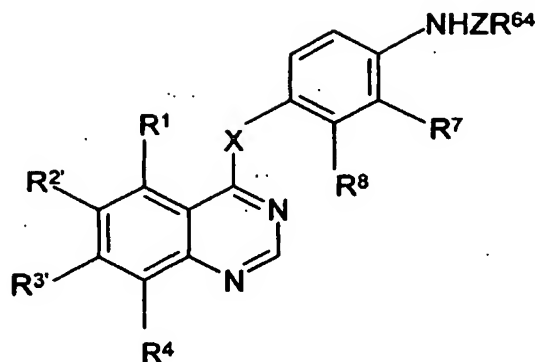


(IIB)

or a salts, ester, amide or prodrug thereof,

where $R^1, R^4, R^6, R^7, R^8, R^{64}, Z$ and X are as defined in claim 15 and $R^{2'}$ and $R^{3'}$ are groups R^2 and R^3 respectively, provided that at least one of said groups and preferably $R^{3'}$ is a group of sub-formula $X^1-R^{15'}$ where X^1 is as defined above, and $R^{15'}$ is a group R^{15} as defined above in claim 1, provided that it is other than methyl.

22. A compound of formula (IID)

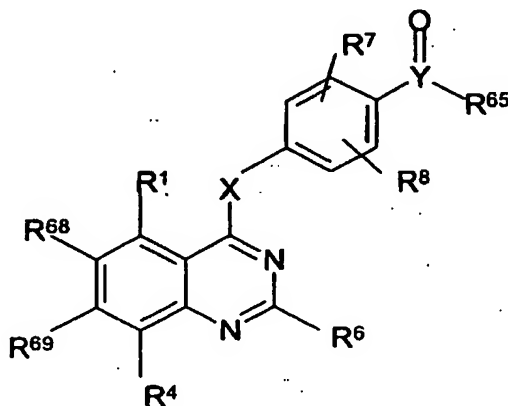


(IID)

or a salt, ester or amide thereof;

where R^1 , R^4 , R^7 , R^8 , X , Z and R^{64} are as defined in claim 16 and $R^{2'}$ and $R^{3'}$ are groups R^2 and R^3 as defined in claim 16 respectively, provided that at least one of said groups and preferably $R^{3'}$ is a group of sub-formula $X^I-R^{15'}$ where X^I is as defined in claim 16, and $R^{15'}$ is a group R^{15} as defined in claim 16, provided that it is other than methyl.

23. A compound of formula (VIA)



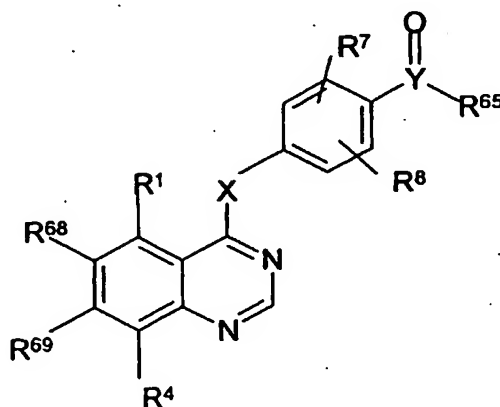
(VIA)

or a salt, ester, amide or prodrug thereof,

where X, Y, R¹, R⁴, R⁶, R⁷, R⁸ are as defined in claim 1, R⁶⁵ is as defined in claim 17, and R⁶⁸ and R⁶⁹ are equivalent to R² and R³ as defined above in claim 1 except that at least one of R⁶⁸ or R⁶⁹ is a group of sub-formula X¹R¹⁵ where R¹⁵ is as defined in any one of claims 1 to 6, provided that when said one of R⁶⁸ or R⁶⁹ is morpholinopropoxy, the other is not a group of sub-formula (18) as defined in any one of claims 1 to 6; and further provided that when when said one of R⁶⁸ or R⁶⁹ is methoxyethoxy, the other is not methoxy.

24.

A compound according to claim 23 of formula (VIB)



(VIB)

or a salt, ester or amide thereof,

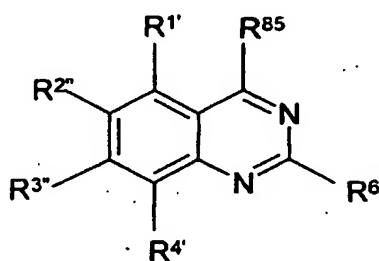
where X, Y, R¹, R⁴, R⁶, R⁷, R⁸ are as defined in claim 18, R⁶⁵ is as defined in claim 18, and R⁶⁸ and R⁶⁹ are equivalent to R² and R³ as defined in claim 18 except that at least one of R⁶⁸ or R⁶⁹ is a group of sub-formula X¹R¹⁵ where R¹⁵ is as defined in claim 18, provided that when said one of R⁶⁸ or R⁶⁹ is morpholinopropoxy, the other is not a group of sub-formula (18) as defined in claim 18; and further provided that when when said one of R⁶⁸ or R⁶⁹ is methoxyethoxy, the other is not methoxy.

25

A compound according to any one of claims 19 to 24 where X is NH.

26. A compound according to any one of claims 19 to 24 where X^1 is oxygen.

27. A method for preparing a compound according to any one of claims 19 to 26, which method comprises reacting a compound of formula (VIII')



(VIII')

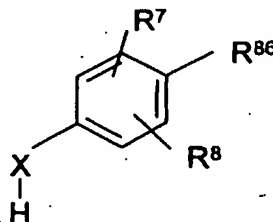
10 where $R^{1'}$ is equivalent to the corresponding group of formula R^1 as defined in relation to the said compound of claims 19 to 26, or a precursor thereof;

$R^{2''}$ is equivalent to the corresponding group of formula R^2 or $R^{2'}$ or R^{68} as defined in relation to the said compound of claims 19 to 26, or a precursor thereof;

15 $R^{3''}$ is equivalent to the corresponding group of formula R^3 or $R^{3'}$ or R^{69} as defined in relation to the said compound of claims 19 to 26, or a precursor thereof;

$R^{4'}$ is equivalent to the corresponding group of formula R^4 as defined in relation to the said compound of claims 19 to 26, or a precursor thereof;

20 $R^{6'}$ is a group R^6 where present in the compound of any one of claims 18 to 26 or is hydrogen where absent, and R^{85} is a leaving group, with a compound of formula (IX')



(IX')

where X, R⁷ and R⁸ are as defined in relation to the relevant compound according to any one of claims 19 to 26, and R¹⁶ is a group of formula NHZR⁶⁴ or Y(O)R⁶⁵ where Z, R⁶⁴, Y and R⁶⁵ as are defined in the relation to the said compound in any one of claims 19 to 26; and thereafter if desired or necessary converting a group R^{1'}, R^{2''}, R^{3''} or R^{4'} to a group R¹, R² or R^{2'} or R⁶⁸, R³ or R^{3'} or R⁶⁹ and R⁴ respectively or to a different such group.

28. A method for inhibiting aurora 2 kinase in a warm blooded animal, such as man, in need of such treatment, which comprises administering to said animal an effective amount of a compound of formula (I) as defined in claim 1, or a pharmaceutically acceptable salt, or an *in vivo* hydrolysable ester, or amide or prodrug thereof.
29. A compound of the formula (IIA), (IIB) or (VIA) as defined in claim 19, or claim 20 or claim 23 respectively, or a pharmaceutically acceptable salt or an *in vivo* hydrolysable ester, or amide or prodrug thereof, or a compound of formula (IIC), (IID) or (VIB) as defined in claim 21, 22 or 24 respectively, or a pharmaceutically acceptable salt or an *in vivo* hydrolysable ester, or amide thereof, for use in a method of treatment of the human or animal body by therapy.
30. A pharmaceutical composition comprising a compound of formula (IIA), (IIB) or (VIA) as defined in claim 19, or claim 20 or claim 23 respectively, or a pharmaceutically acceptable salt or an *in vivo* hydrolysable ester, or amide or prodrug thereof, or a compound of formula (IIC), (IID) or (VIB) as defined in claim 21, 22 or 24 respectively, or a pharmaceutically acceptable salt or an *in vivo* hydrolysable ester, or amide thereof, in combination with at pharmaceutically acceptable carrier.

31.

The use according to any one of claims 1 to 15 or 17 wherein the compound of formula (I) is a prodrug.

=> b reg

FILE 'REGISTRY' ENTERED AT 13:28:50 ON 08 APR 2004

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STRUCTURE FILE UPDATES: 7 APR 2004 HIGHEST RN 672883-15-7

DICTIONARY FILE UPDATES: 7 APR 2004 HIGHEST RN 672883-15-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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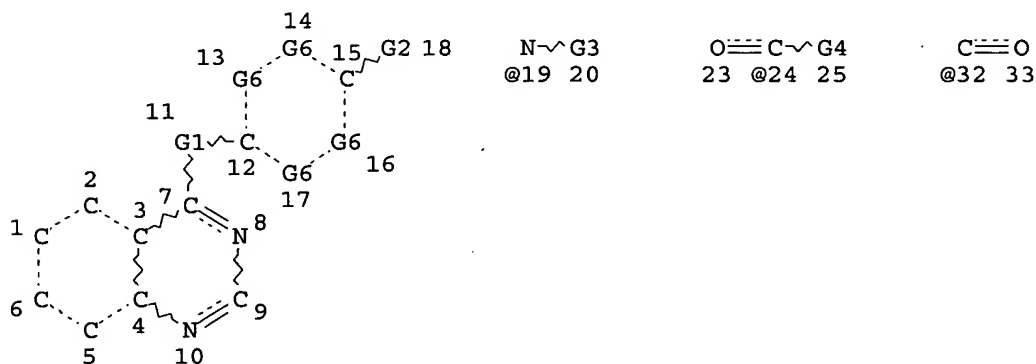
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d que 120

L8

STR



O~S~G5
34 @26 27

C~X
@35 36

C~Cy
@37 38

VAR G1=N/S/O

VAR G2=19/24/26

VAR G3=32/S

VAR G4=C/N/O

VAR G5=C/O/N/H

VAR G6=CH/C/35/37

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 32

STEREO ATTRIBUTES: NONE

L20 1462 SEA FILE=REGISTRY SSS FUL L8

=> b hcaplus

FILE 'HCAPLUS' ENTERED AT 13:29:05 ON 08 APR 2004

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FILE COVERS 1907 - 8 Apr 2004 VOL 140 ISS 15

FILE LAST UPDATED: 7 Apr 2004 (20040407/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> d que l24 nos

L8 STR

L20 1462 SEA FILE=REGISTRY SSS FUL L8

L21 72 SEA FILE=HCAPLUS ABB=ON PLU=ON L20

L22 49 SEA FILE=HCAPLUS ABB=ON PLU=ON L21 AND PD<=2000

L23 49 SEA FILE=HCAPLUS ABB=ON PLU=ON L22 AND PD<=2002

L24 27 SEA FILE=HCAPLUS ABB=ON PLU=ON L23 AND P/DT

=> d ibib abs hitstr l24 1-27

L24 ANSWER 1 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:200102 HCAPLUS

DOCUMENT NUMBER: 140:235750

TITLE: Preparation of quinazolines as epidermal growth factor receptor (erbB) inhibitors for the treatment of proliferative diseases

INVENTOR(S): Kath, John Charles; Tom, Norma Jacqueline; Cox, Eric David; Bhattacharya, Samit Kumar

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 26 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

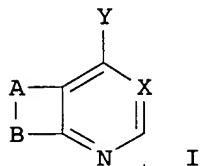
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1396489	A1	20040310	EP 2003-24331	19991224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, FI, CY
 EP 1029853 A1 20000823 EP 1999-310574 19991224 <--
 EP 1029853 B1 20040225
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO
 US 2003055049 A1 20030320 US 2002-226255 20020822
 PRIORITY APPLN. INFO.: US 1999-117341P P 19990127
 EP 1999-310574 A3 19991224
 US 2000-488378 A3 20000120

GI



AB Title compds. I [X = N, CH; A-B = R4-substituted fused pyridyl, pyrimidyl, furanyl, etc.; Y = NR1R3; R1, R2 = H, alkyl; R3 = -(CR1R2)m-R8 or R1 and R3 are taken together with N; R4 = -(CR1R2)p-aryl, -(CR1R2)p-heterocyclic, -(CR1R2)q-NR1R9, etc.; R8 = -(CR1R2)p-aryl, -(CR1R2)p-heterocyclic; R9 = fused or bridged bicyclic ring, spirocyclic ring with provisos; m= 0, 1; p, q = 0-5] and their pharmaceutically acceptable salts were prepared For example, coupling of compound I [X = N; A-B = -CR4=CH-CH=CH-; Y = OPh; R4 = 4-((6-hydroxymethyl-3-aza-bicyclo[3.1.0]hex-3-yl)methyl)phenyl], e.g., prepared from 6-iodo-4-quinazolinone in 4-steps, with 1-cyclopropylmethyl-1H-indol-5-ylamine, afforded compound I [X = N; A-B = -CR4=CH-CH=CH-; Y = 1-cyclopropylmethyl-1H-indol-5-ylamino; R4 = 4-((6-hydroxymethyl-3-aza-bicyclo[3.1.0]hex-3-yl)methyl)phenyl] in 67% yield. In c-erbB2 kinase inhibition assays, compds. I showed potent (sic.) inhibition of the erbB2 tyrosine kinase activity (no data provided). Compds. I are claimed useful for the treatment of cancer and benign proliferative diseases, e.g., psoriasis.

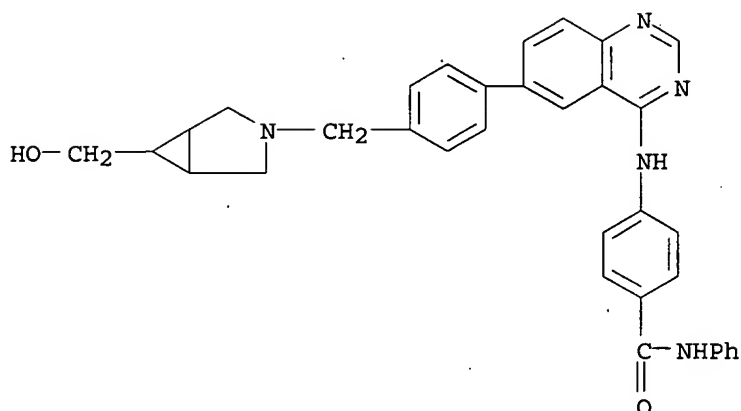
IT 289036-92-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazolines as erbB inhibitors for the treatment of proliferative diseases)

RN 289036-92-6 HCAPLUS

CN Benzamide, 4-[[6-[4-[[6-(hydroxymethyl)-3-azabicyclo[3.1.0]hex-3-yl)methyl]phenyl]-4-quinazolinyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:20322 HCAPLUS

DOCUMENT NUMBER: 140:87658

TITLE: Peptidomimetic modulators of cell adhesion

INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang, Shaomeng; Hu, Zengjian

PATENT ASSIGNEE(S): Can.

SOURCE: U.S. Pat. Appl. Publ., 280 pp., Cont.-in-part of U.S. Ser. No. 6,982.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004006011	A1	20040108	US 2003-425557	20030428
US 6031072	A	20000229	US 1997-893534	19970711 <--
US 6326352	B1	20011204	US 2000-507102	20000217 <--
US 2002168761	A1	20021114	US 2001-769145	20010124 <--
US 2002151475	A1	20021017	US 2001-6982	20011204 <--
PRIORITY APPLN. INFO.:			US 1996-21612P	P 19960712
			US 1997-893534	A1 19970711
			US 2000-491078	B2 20000124
			US 2000-507102	A1 20000217
			US 2001-769145	B2 20010124
			US 2001-6982	A2 20011204

OTHER SOURCE(S): MARPAT 140:87658

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

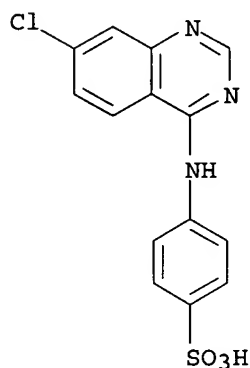
IT 105037-36-3, Benzenesulfonic acid, 4-[(7-chloro-4-quinazolinyl)amino]-

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)

(peptidomimetic modulators of cadherin-mediated cell adhesion for
therapeutic use in relation to three-dimensional structure)

RN 105037-36-3 HCAPLUS

CN Benzenesulfonic acid, 4-[(7-chloro-4-quinazolinyl)amino]- (9CI) (CA INDEX
NAME)



L24 ANSWER 3 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:854415 HCAPLUS

DOCUMENT NUMBER: 133:362769

TITLE: Preparation of 6-(thiomorpholinomethylfuranyl)-4-quinazolinamines as protein tyrosine kinase inhibitors

INVENTOR(S): Carter, Malcolm Clive; Cockerill, George Stuart; Guntrip, Stephen Barry; Lackey, Karen Elizabeth; Smith, Kathryn Jane

PATENT ASSIGNEE(S): Glaxo Group Ltd., UK

SOURCE: Brit. UK Pat. Appl., 151 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

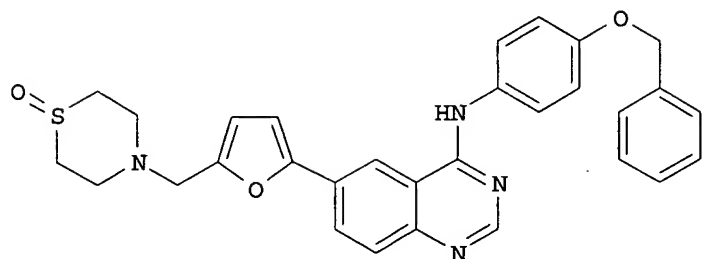
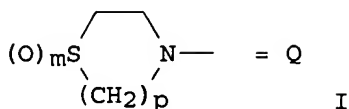
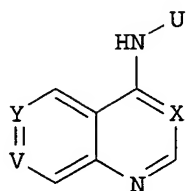
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2345486	A1	20000712	GB 1999-29973	19991217 <--
PRIORITY APPLN. INFO.:			GB 1999-518	A 19990111
			GB 1999-15510	A 19990703

OTHER SOURCE(S): MARPAT 133:362769

GI



II

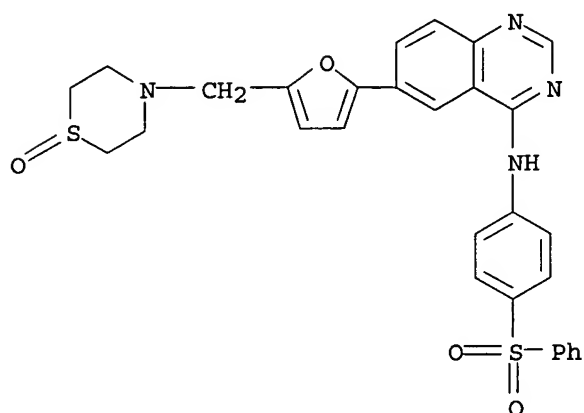
AB The title compds. (I) [wherein X = N or CH; V and Y = independently CR₁, CR₂, or N; and V ≠ Y; R₁ = Q(CH₂)_qAr; m = 1 or 2; p = 1 or 2; q = 1-4; Ar = (un)substituted Ph, furanyl, thiophenyl, pyrrolyl, or thiazolyl; R₂ = H, halo, OH, alkyl(amino) alkoxy, or dialkylamino; U = (un)substituted Ph, pyridyl, (benz)imidazolyl, (iso)indolyl, (iso)indolinyl, indazolyl, or benzotriazolyl] were prepared as protein tyrosine kinase inhibitors for the treatment of cancer and other disorders mediated by aberrant protein tyrosine kinase activity. For example, II•2HCl was formed in a multi-step sequence involving (1) reaction of 5-(1,3-dioxolan-2-yl)-2-(tributylstannyl)furan with (4-benzyloxyphenyl)(6-bromoquinazolin-4-yl)amine using Pd(PPh₃)₂Cl₂ in dioxane, (2) conversion of the cyclic acetal to the aldehyde with HCl in THF, (3) addition of thiomorpholine-S-oxide in CH₂Cl₂ and conversion to the HCl salt. I inhibited EGFR and c-erbB-2 tyrosine kinase with IC₅₀ < 0.10 μM and suppressed cell proliferation against a range of tumor cell lines.

IT 307328-18-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiomorpholinomethylfuranyl quinazolinamine and pyrido[3,4-d]pyrimidinamine anticancer agents by amination of (haloheterocyclyl)furancarboxaldehydes with anilines followed by addition of thiomorpholine (oxides))

RN 307328-18-3 HCAPLUS

CN 4-Quinazolinamine, 6-[5-[(1-oxido-4-thiomorpholinyl)methyl]-2-furanyl]-N-[4-(phenylsulfonyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

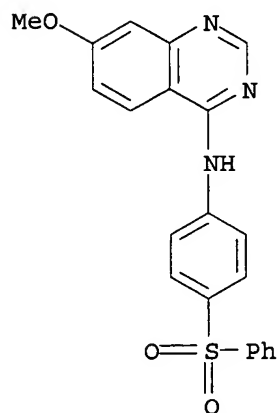
IT 231278-69-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of thiomorpholinomethylfuranyl quinazolinamine and pyrido[3,4-d]pyrimidinamine anticancer agents by amination of (haloheterocyclyl) furancarboxaldehydes with anilines followed by addition of thiomorpholine (oxides))

RN 231278-69-6 HCAPLUS

CN 4-Quinazolinamine, 7-methoxy-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



IT 230955-63-2P, [4-(Benzenesulphonyl)phenyl] (6-iodoquinazolin-4-

yl) amine 231278-19-6P, (4-(Benzenesulphonyl)phenyl) (6-iodo-7-

fluoroquinazolin-4-yl) amine hydrochloride 231278-30-1P

231278-37-8P, [6-[5-(1,3-Dioxolan-2-yl) furan-2-yl]-7-

methoxyquinazolin-4-yl] (4-(benzenesulphonyl)phenyl) amine

231278-39-0P, 5-[7-Methoxy-4-((4-(benzenesulphonyl)phenyl) amino) qu

inazolin-6-yl] furan-2-carbaldehyde hydrochloride 231278-42-5P

307327-44-2P, [4-(Benzenesulphonyl)phenyl]-[6-[5-(1,3-dioxolan-2-

yl) furan-2-yl] quinazolin-4-yl] amine 307327-47-5P,

5-[4-((4-(Benzenesulphonyl)phenyl) amino) quinazolin-6-yl] furan-2-

Searched by P. Ruppel

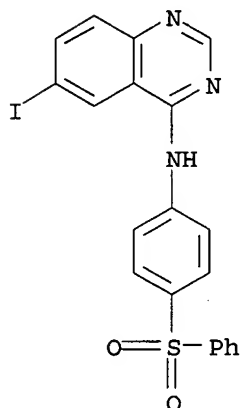
carbaldehyde 307327-53-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiomorpholinomethylfuranyl quinazolinamine and pyrido[3,4-d]pyrimidinamine anticancer agents by amination of (haloheterocyclyl)furancarboxaldehydes with anilines followed by addition of thiomorpholine (oxides))

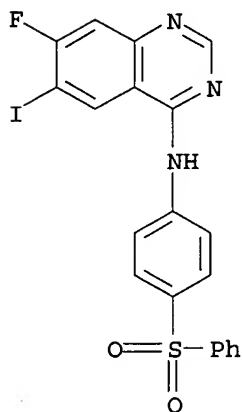
RN 230955-63-2 HCAPLUS

CN 4-Quinazolinamine, 6-iodo-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 231278-19-6 HCAPLUS

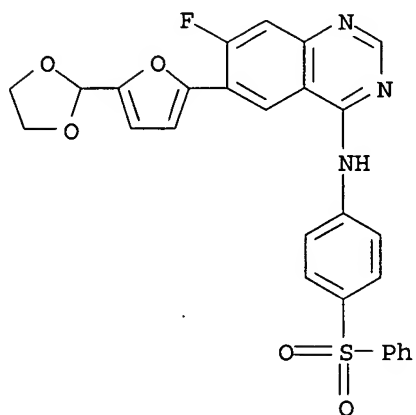
CN 4-Quinazolinamine, 7-fluoro-6-iodo-N-[4-(phenylsulfonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

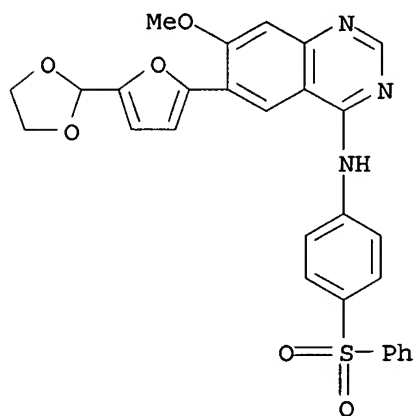
RN 231278-30-1 HCAPLUS

CN 4-Quinazolinamine, 6-[5-(1,3-dioxolan-2-yl)-2-furanyl]-7-fluoro-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



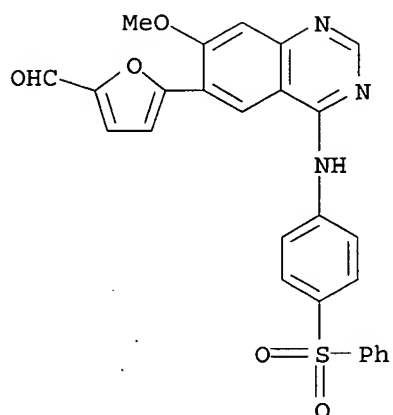
RN 231278-37-8 HCAPLUS

CN 4-Quinazolinamine, 6-[5-(1,3-dioxolan-2-yl)-2-furanyl]-7-methoxy-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



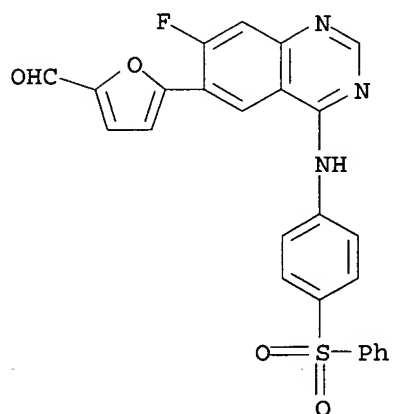
RN 231278-39-0 HCAPLUS

CN 2-Furancarboxaldehyde, 5-[7-methoxy-4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



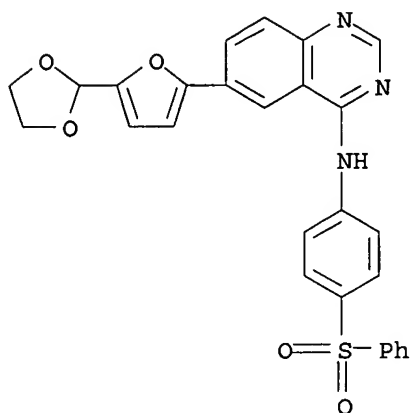
● HCl

RN 231278-42-5 HCAPLUS
 CN 2-Furancarboxaldehyde, 5-[7-fluoro-4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

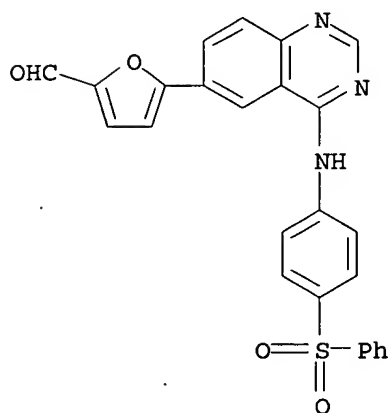


● HCl

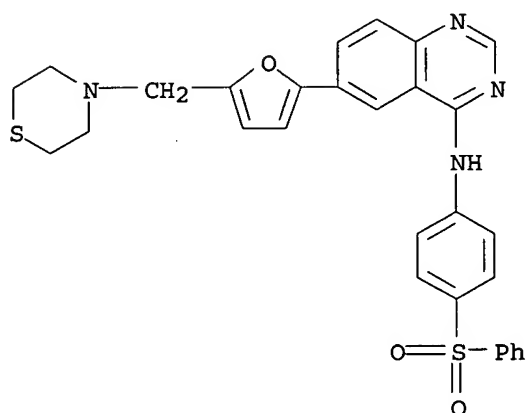
RN 307327-44-2 HCAPLUS
 CN 4-Quinazolinamine, 6-[5-(1,3-dioxolan-2-yl)-2-furanyl]-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 307327-47-5 HCAPLUS
 CN 2-Furancarboxaldehyde, 5-[4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 307327-53-3 HCAPLUS
 CN 4-Quinazolinamine, N-[4-(phenylsulfonyl)phenyl]-6-[5-(4-thiomorpholinylmethyl)-2-furanyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L24 ANSWER 4 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:712978 HCAPLUS

DOCUMENT NUMBER: 133:291105

TITLE: Quinazolines and pharmaceuticals for treatment of allergic diseases and cartilage disorders

INVENTOR(S): Antoku, Fujio; Iwai, Kiyotaka; Kurimoto, Ayumi; Tanaka, Koji; Okumura, Yutaka; Oumi, Naoko; Harada, Ikuko; Hashimoto, Gakuji; Kawakami, Hajime

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

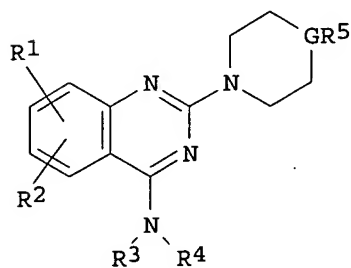
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000281660	A2	20001010	JP 1999-87204	19990329 <--
PRIORITY APPLN. INFO.:			JP 1999-87204	19990329
OTHER SOURCE(S):		MARPAT 133:291105		
GI				



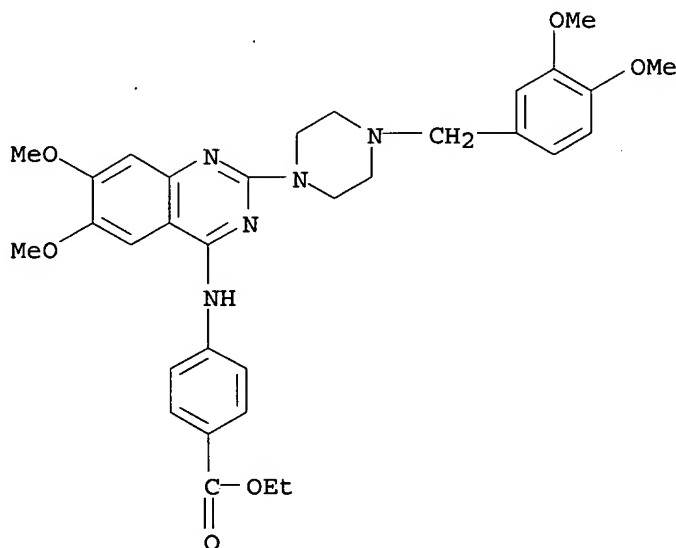
I

AB The pharmaceuticals, which inhibit IgE formation and secretion and promote proteoglycan formation, contain quinazolines I [G = CH, N; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxy, halo, nitro; R3, R4 = H, (substituted) alkyl, alkenyl, alkynyl, (hetero)aryl; R5 = (substituted) (cyclo)alkyl, alkenyl, alkynyl, aryl, heterocyclyl, etc.] or their salts. 4-Amino-2-piperazinyl-6,7-dimethoxyquinazoline (2.9 g) was condensed with 2.2 g veratric aldehyde to give 3.1 g I.2HCl (R1 = 6-OMe, R2 = 7-OMe, R3 = R4 = H, G = N, R5 = 3,4-dimethoxybenzyl), which (at 10 µM) in vitro showed 68% inhibition of IgE formation.

IT 300538-27-6P 300538-37-8P 300538-38-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of quinazolines as pharmaceuticals for treatment of allergic diseases and cartilage disorders)

RN 300538-27-6 HCAPLUS
 CN Benzoic acid, 4-[[2-[4-[(3,4-dimethoxyphenyl)methyl]-1-piperazinyl]-6,7-dimethoxy-4-quinazolinyl]amino]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

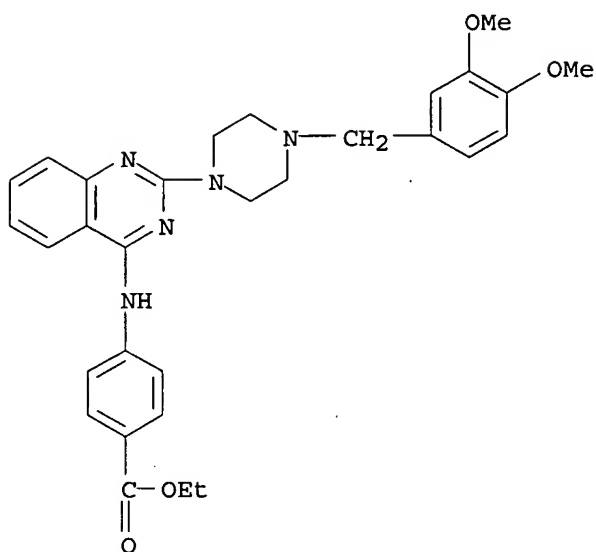
PAGE 1-A



PAGE 2-A

● x HCl

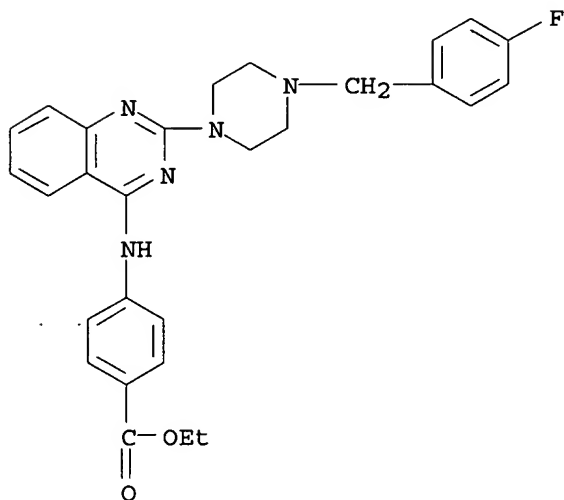
RN 300538-37-8 HCAPLUS
 CN Benzoic acid, 4-[[2-[4-[(3,4-dimethoxyphenyl)methyl]-1-piperazinyl]-4-quinazolinyl]amino]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 300538-38-9 HCAPLUS

CN Benzoic acid, 4-[[2-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]-4-quinazolinyl]amino]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

L24 ANSWER 5 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:688226 HCAPLUS

DOCUMENT NUMBER: 133:266866

TITLE: Preparation of quinazolines as antitumor agents

INVENTOR(S): Uckun, Fatih M.; Liu, Xing-ping; Narla, Rama K.

PATENT ASSIGNEE(S): Parker Hughes Institute, USA

SOURCE: PCT Int. Appl., 77 pp.

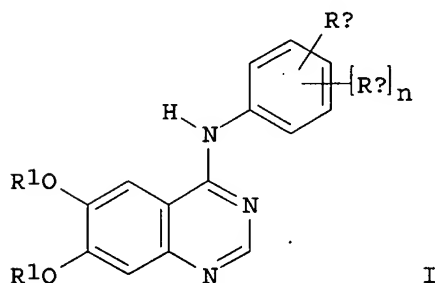
Searched by P. Ruppel

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000056720	A1	20000928	WO 2000-US6902	20000316 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6258820	B1	20010710	US 1999-357404	19990720 <--
EP 1163228	A1	20011219	EP 2000-921389	20000316 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002540103	T2	20021126	JP 2000-606581	20000316 <--
US 2001016588	A1	20010823	US 2001-779809	20010208 <--
US 6358962	B2	20020319		
US 2002137757	A1	20020926	US 2001-923903	20010807 <--
US 6638939	B2	20031028		
NO 2001004560	A	20010919	NO 2001-4560	20010919 <--
US 2004039002	A1	20040226	US 2003-454960	20030605
PRIORITY APPLN. INFO.:				
			US 1999-125145P	P 19990319
			US 1999-125177P	P 19990319
			US 1999-125338P	P 19990319
			US 1999-357404	A 19990720
			WO 2000-US6902	W 20000316
			US 2001-779809	A1 20010208
			US 2001-923903	A1 20010807

OTHER SOURCE(S): MARPAT 133:266866
 GI



AB The title compds. [I; Ra = I, hydroxyalkyl, methylenedioxy, etc.; n = 1-4; Rb = H, halo, OH, etc.; R1 = alkyl], useful for the treatment of cancer (e.g., leukemia and breast cancer) and for the treatment of allergic reactions, were prepared by reacting 4-chloro-6,7-dimethoxyquinazoline with the substituted aniline. Biol. data for compds. I were given.

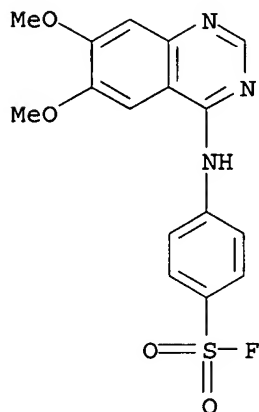
IT 296234-72-5P 296235-15-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as antitumor agents)

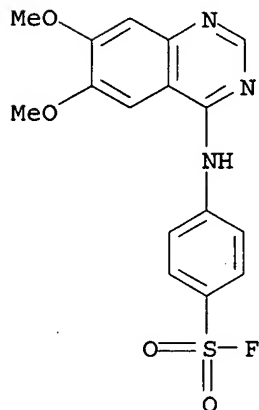
RN 296234-72-5 HCAPLUS

CN Benzenesulfonyl fluoride, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI)
(CA INDEX NAME)



RN 296235-15-9 HCAPLUS

CN Benzenesulfonyl fluoride, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 6 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:688094 HCAPLUS

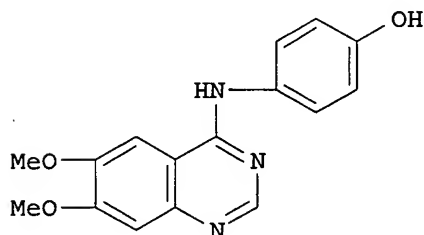
DOCUMENT NUMBER: 133:271682

TITLE: Preparation of quinazolines for micellar
pharmaceuticals for treatment of allergy and cancer
INVENTOR(S): Yiv, Seang; Li, Mingshu; Uckun, Fatih M.

Searched by P. Ruppel

PATENT ASSIGNEE(S): Parker Hughes Institute, USA
 SOURCE: PCT Int. Appl., 71 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

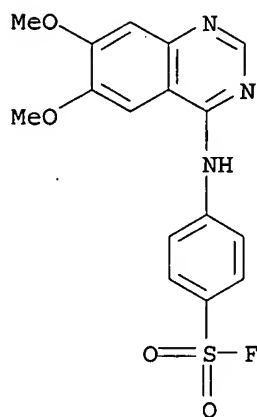
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000056338	A1	20000928	WO 2000-US7066	20000317 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1162974	A1	20011219	EP 2000-914991	20000317 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539262	T2	20021119	JP 2000-606242	20000317 <--
US 2002111360	A1	20020815	US 2001-960464	20010919 <--
PRIORITY APPLN. INFO.: US 1999-125147P P 19990319 WO 2000-US7066 W 20000317				
OTHER SOURCE(S): MARPAT 133:271682 GI				



AB Pharmaceutical compns. for parenteral administration of poorly soluble quinazoline compds. in the form of microemulsions or micellar solns. are described. The compns. are useful in treating patients suffering from cancer or having allergic reactions. E.g., I was prepared, its soly profile given, and micellar solns. containing PEGylated phosphatidylethanolamines were effective in enhancing the solubilization of I.

IT 296234-72-5P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of quinazolines for micellar pharmaceuticals for treatment of allergy and cancer)

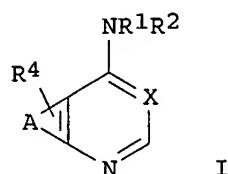
RN 296234-72-5 HCAPLUS
 CN Benzenesulfonyl fluoride, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI)
 (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2000:592396 HCAPLUS
 DOCUMENT NUMBER: 133:193157
 TITLE: Preparation of aminoquinazolines and related compounds as anticancer drugs.
 INVENTOR(S): Kath, John Charles; Tom, Norma Jacqueline; Cox, Eric David; Bhattacharya, Samit Kumar
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: Eur. Pat. Appl., 39 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1029853	A1	20000823	EP 1999-310574	19991224 <--
EP 1029853	B1	20040225		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000309577	A2	20001107	JP 1999-336570	19991126 <--
JP 3270834	B2	20020402		
CA 2290918	AA	20000727	CA 2000-2290918	19991129 <--
CA 2290918	C	20040217	CA 1999-2290918	19991129
EP 1396489	A1	20040310	EP 2003-24331	19991224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT 260263	E	20040315	AT 1999-310574	19991224
BR 9906013	A	20000905	BR 1999-6013	19991229 <--
US 6465449	B1	20021015	US 2000-488378	20000120 <--
US 2003055049	A1	20030320	US 2002-226255	20020822
PRIORITY APPLN. INFO.:				
			US 1999-117341P	P 19990127
			EP 1999-310574	A3 19991224
			US 2000-488378	A3 20000120
OTHER SOURCE(S): MARPAT 133:193157				
GI				



AB Title compds. [I; X = N, CH; A = (substituted) fused 5-7 membered ring optionally containing 1-4 heteroatoms selected from NR1, O, S, SO, SO2 containing

1-3 double bonds inclusive of the bond in the pyridine or pyrimidine ring to which it is fused etc.; R1 = H, alkyl; R3 = (CR1R2)mR8; m = 0, 1; R1R3N = (substituted) 1-indolinyl, 1-indolyl; R4, R8 = (substituted) aryl(alkyl), heterocycl(alkyl)], were prepared as neoplasm inhibitors (no data). Thus, 3-[4-(4-phenoxy-quinazolin-6-yl)benzyl]-3-aza-bicyclo[3.1.0]hex-6-ylmethanol (preparation given), 1-cyclopropylmethyl-1H-indol-5-ylamine, pyridinium hydrochloride, and phenol were heated at 110° overnight to give 67% 3-[4-[4-(1-cyclopropylmethyl-1H-indol-5-ylamino)-quinazolin-6-yl]-benzyl]-3-azabicyclo[3.1.0]hex-6-yl]methanol.

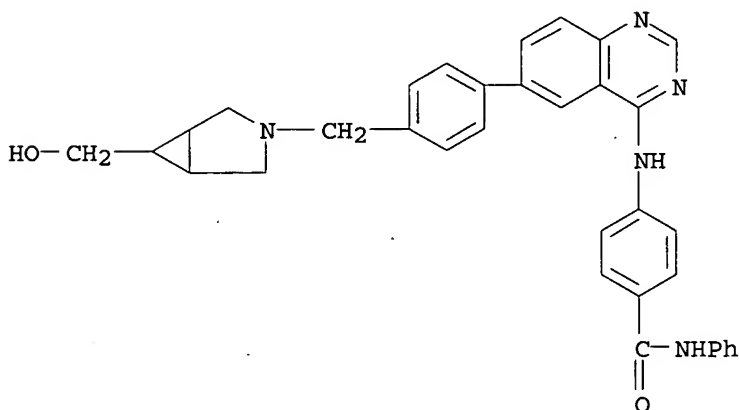
IT 289036-92-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoquinazolines and related compds. as anticancer drugs)

RN 289036-92-6 HCAPLUS

CN Benzamide, 4-[[6-[4-[[6-(hydroxymethyl)-3-azabicyclo[3.1.0]hex-3-yl]methyl]phenyl]-4-quinazolinyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:535121 HCAPLUS

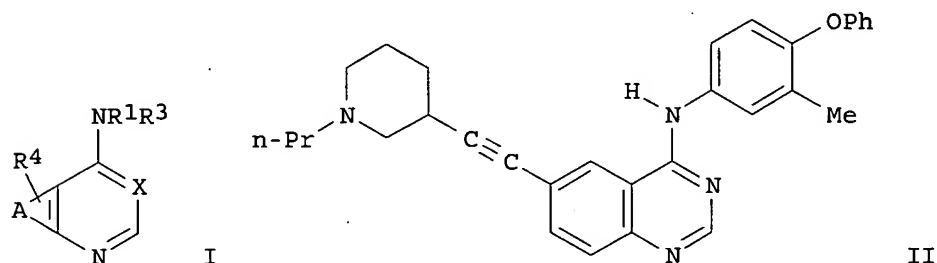
DOCUMENT NUMBER: 133:150572

TITLE: Preparation of substituted bicyclic derivatives useful as anticancer agents

INVENTOR(S): Kath, John Charles; Tom, Norma Jacqueline; Liu, Zhengyu; Cox, Eric David; Bhattacharya, Samit Kumar; Morris, Joel

PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 90 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044728	A1	20000803	WO 1999-IB1934	19991206 <--
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EP 1147093	A1	20011024	EP 1999-956281	19991206 <--
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US 6541481	B2	20030401		
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HR 2001000542	A1	20020831	HR 2001-542	20010718 <--
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BG 105842	A	20020430	BG 2001-105842	20010824 <--
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			WO 1999-IB1934	W 19991206
			US 2000-488350	A3 20000120
			US 2001-834259	A1 20010412
OTHER SOURCE(S):		MARPAT 133:150572		
GI				



AB The title compds. [I; X = N, CH; A = (un)substituted fused 5-7 membered ring optionally containing 1-4 heteroatoms selected from NR1, O, S(O)j (wherein j = 0-2); R1, R2 = H, alkyl; R3 = (CR1R2)mR8 (m = 0-1; R8 = (CR1R2)taryl, (CR1R2)heterocyclyl; t = 0-5); R1 and R3 are taken together

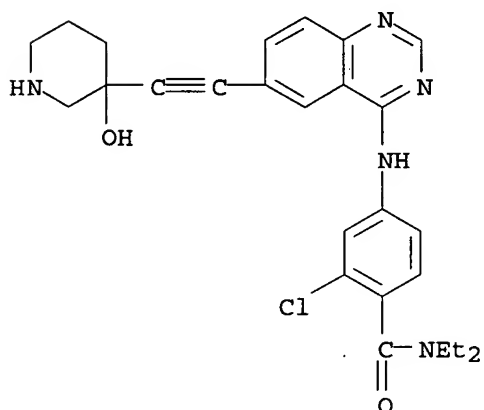
to form (un)substituted indol-1-yl, indolin-1-yl; R4 = (CR1R2)mC.tplbond.C(CR1R2)tR9 (m = 0-3; t = 0-5; R9 = a non-aromatic mono-cyclic ring, a fused or bridged bicyclic ring, etc.), C:NOR12 (R12 = H, alkyl, CO2alkyl, etc.), X1R12 (X1 = a divalent group derived from azetidine, oxetane or carbocyclic group), etc.] and their pharmaceutically acceptable salts, useful in treating abnormal cell growth in mammals, were prepared. Thus, treatment of (3-methyl-4-phenoxyphenyl)-(6-piperidin-3-ylethynylquinazolin-4-yl)amine with propionaldehyde in MeOH/H2O at pH = 5 followed by addition of NaBH3CN afforded quinazoline II.HCl. Compds. I are effective at 1-35 mg/kg/day.

IT 287190-90-3P 287190-98-1P 287191-00-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted bicyclic derivs. useful as anticancer agents)

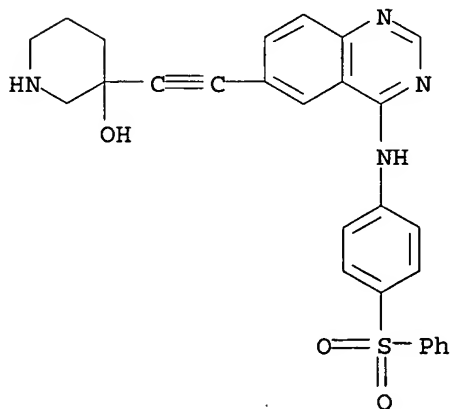
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CN Benzamide, 2-chloro-N,N-diethyl-4-[[6-[(3-hydroxy-3-piperidinyl)ethynyl]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



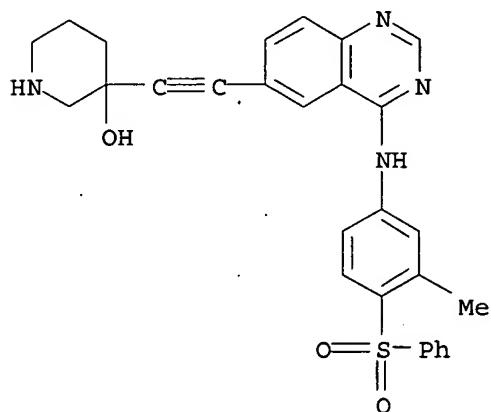
RN 287190-98-1 HCAPLUS

CN 3-Piperidinol, 3-[[4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]ethynyl]- (9CI) (CA INDEX NAME)



RN 287191-00-8 HCAPLUS

CN 3-Piperidinol, 3-[[4-[[3-methyl-4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]ethynyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:513673 HCAPLUS

DOCUMENT NUMBER: 133:135235

TITLE: Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines

INVENTOR(S): Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki

PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

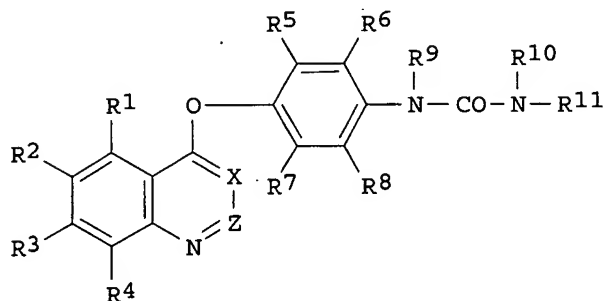
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FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2000043366	A1	20000727	WO 2000-JP255	20000120 <--
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EP 1384712 A1 20040128 EP 2003-24911 20000120
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 IE, FI, CY
NO 2001002617 A 20010914 NO 2001-2617 20010529 <--
PRIORITY APPLN. INFO.: JP 1999-14858 A 19990122
 JP 1999-26691 A 19990203
 JP 1999-142493 A 19990521
 JP 1999-253624 A 19990907
 EP 2000-900841 A3 20000120
 JP 2000-594782 A3 20000120
 WO 2000-JP255 W 20000120
OTHER SOURCE(S): MARPAT 133:135235
GI



I

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. containing the same are prepared and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compound I (X = CH; Z = CH; R1, R4, R5, R7-R10 each an H; R11 = 3,5-F2C6H3) was prepared and tested.

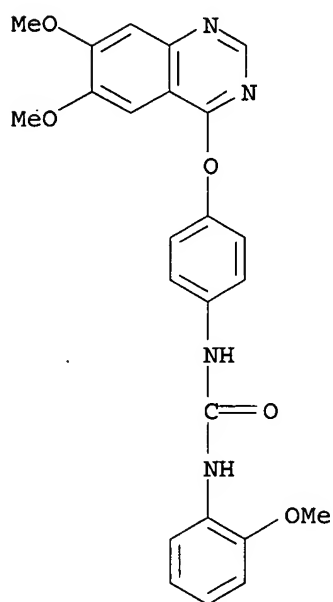
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 286371-42-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and antitumor activity of quinolines and quinazolines)

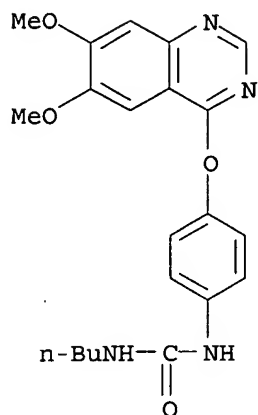
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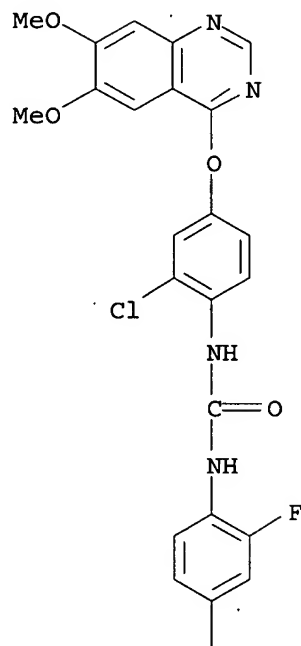
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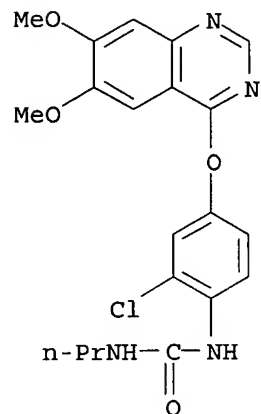


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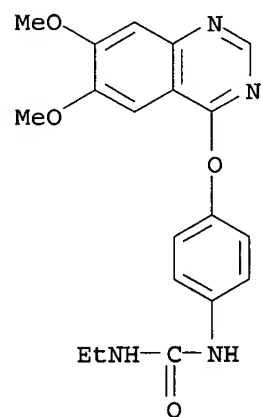
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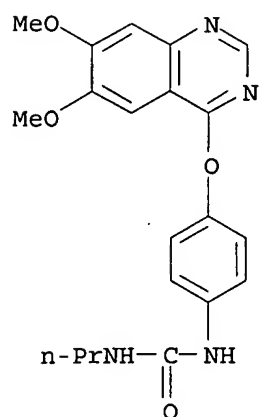
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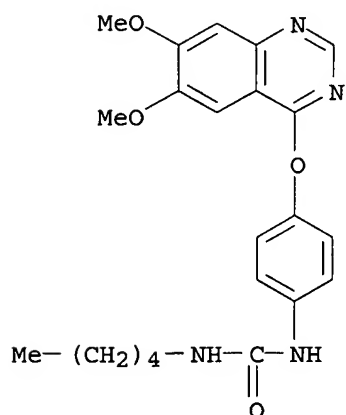


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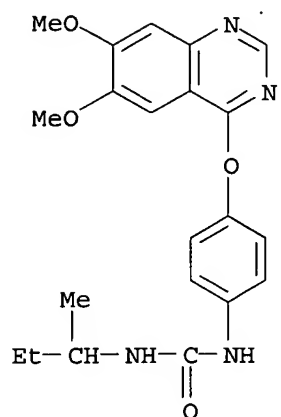
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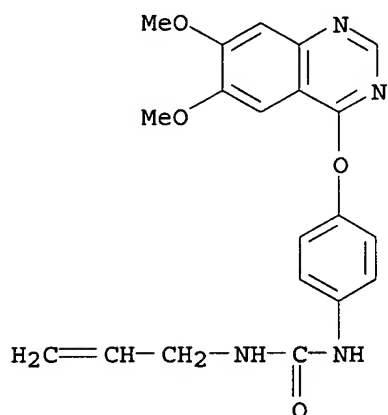
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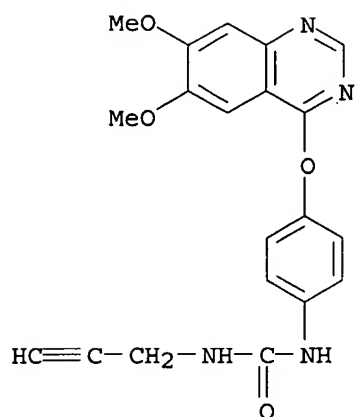
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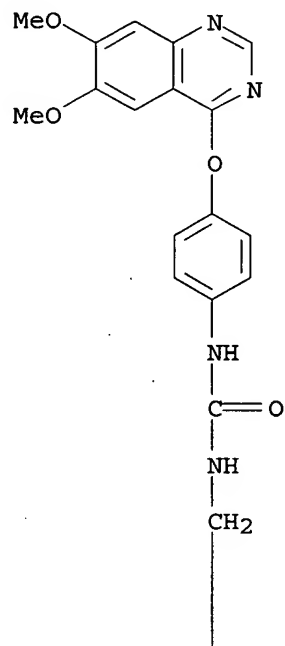


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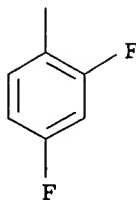


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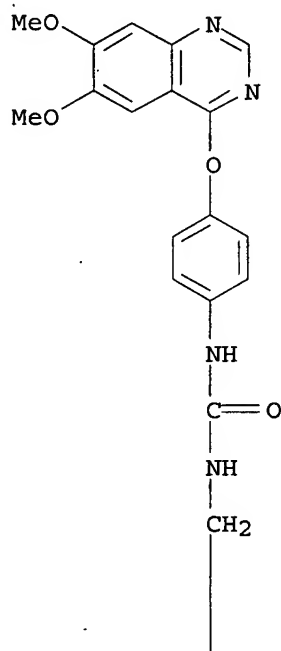


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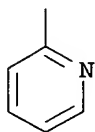


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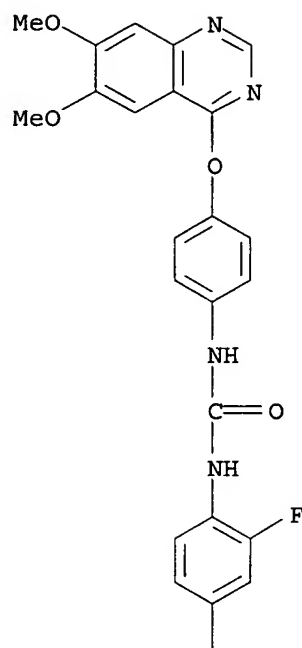


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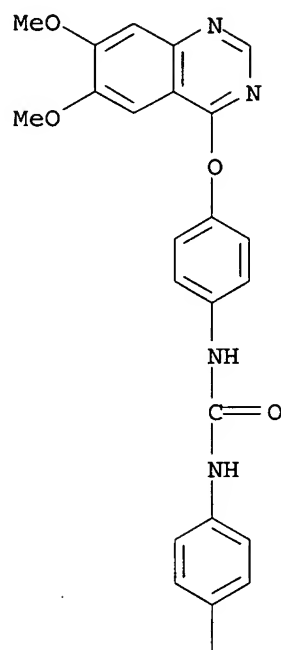


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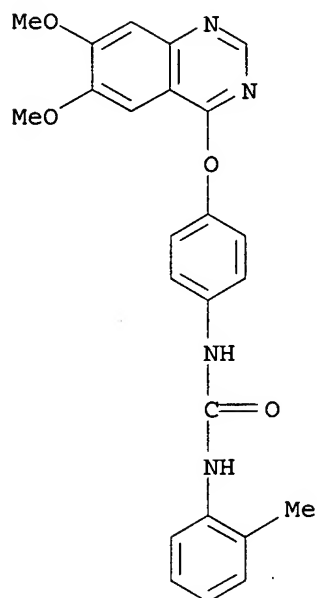
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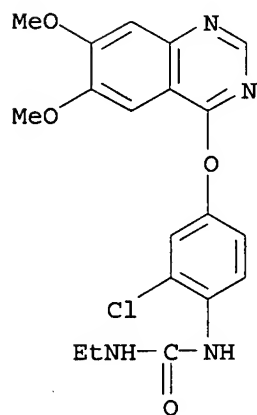
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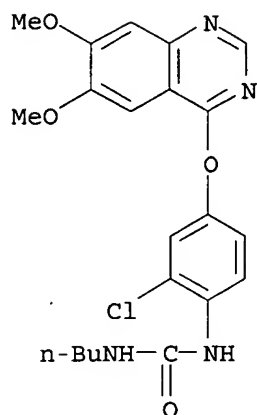
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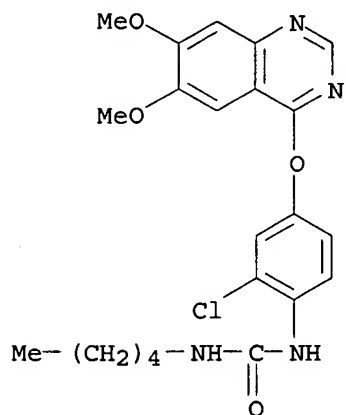
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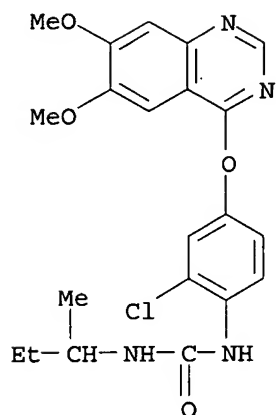
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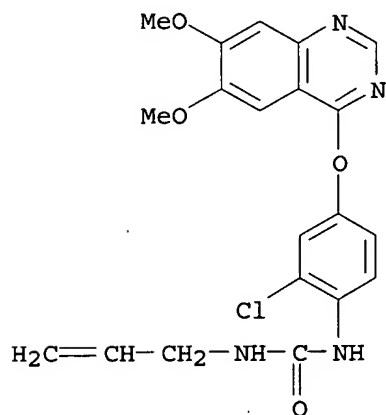
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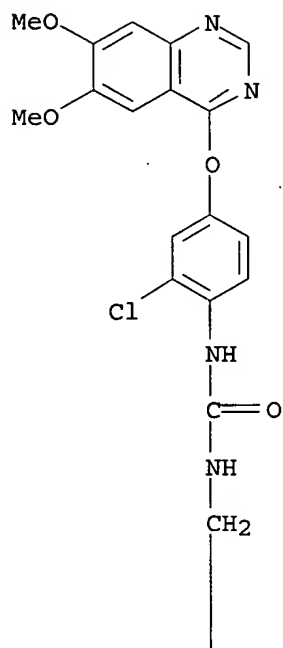


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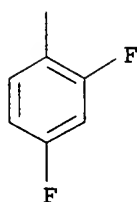


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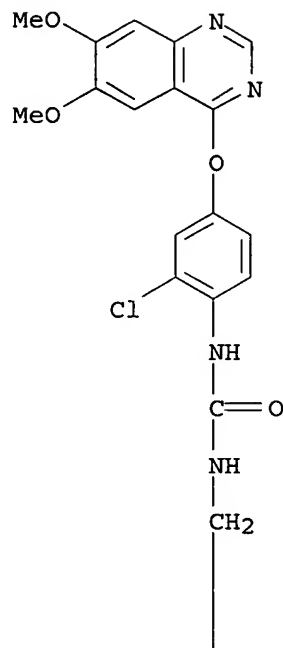


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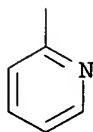


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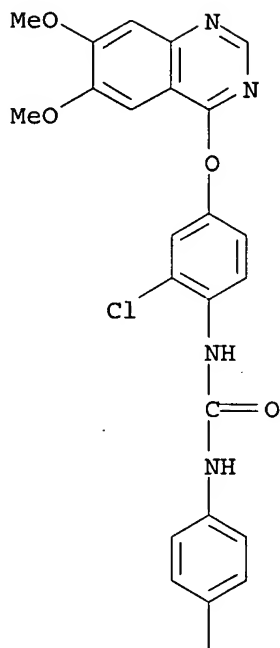


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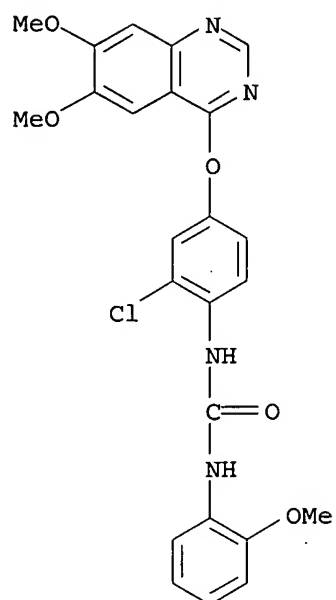
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PAGE 2-A

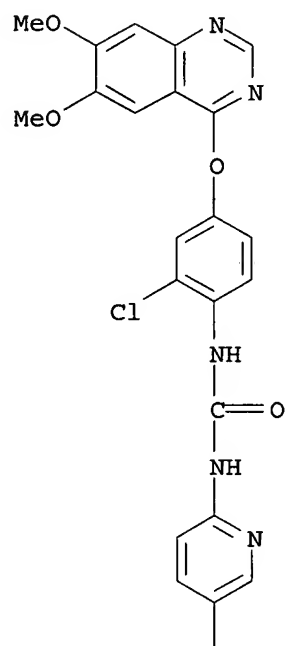
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RN 286370-37-4 HCAPLUS
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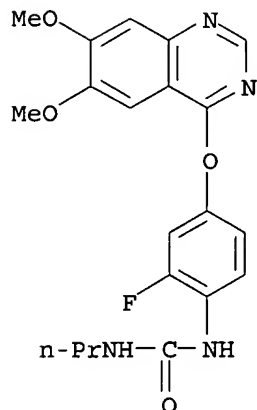
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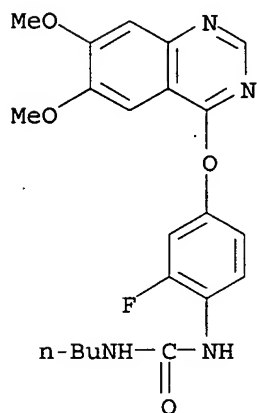




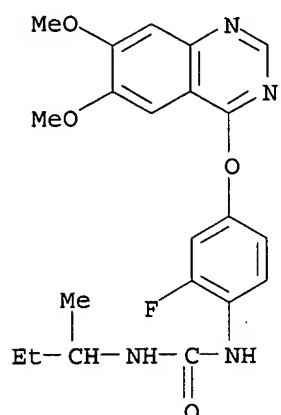
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CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-fluorophenyl]-N'-propyl-
(9CI) (CA INDEX NAME)



RN 286370-40-9 HCAPLUS
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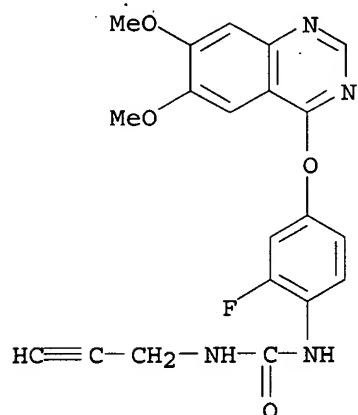


RN 286370-41-0 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-fluorophenyl]-N'-(1-methylpropyl)- (9CI) (CA INDEX NAME)



RN 286370-43-2 HCAPLUS

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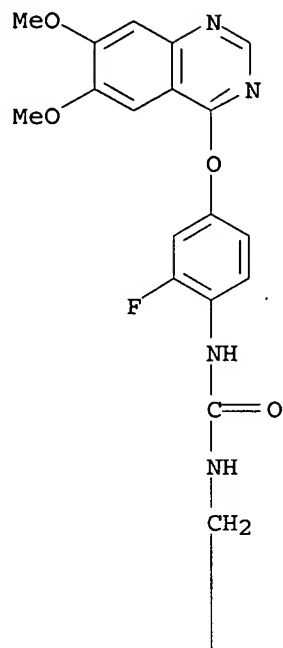


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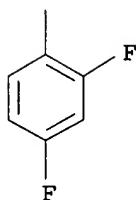
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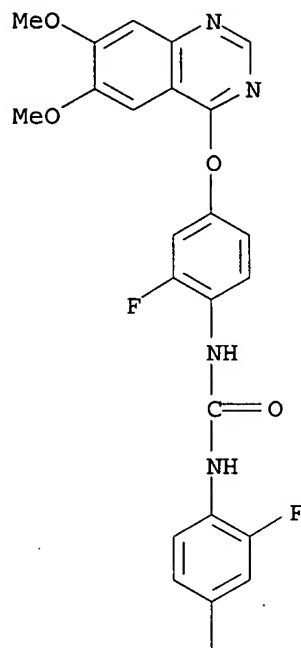


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RN 286370-45-4 HCAPLUS
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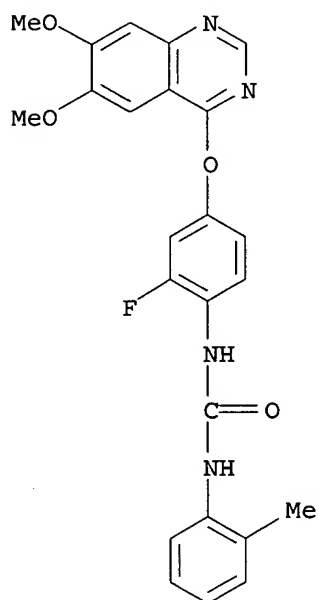
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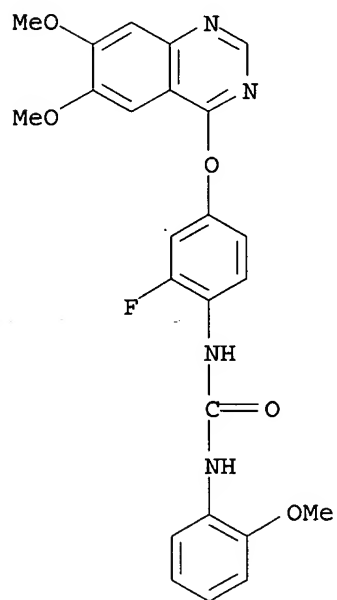
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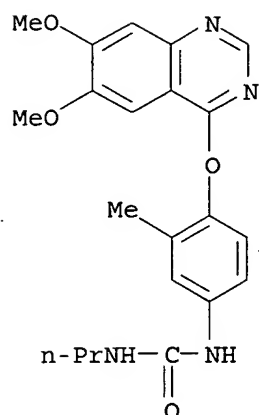
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CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-fluorophenyl]-N'-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 286370-47-6 HCAPLUS
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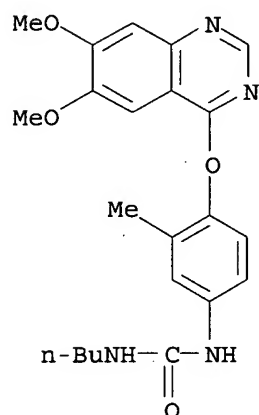


RN 286370-48-7 HCAPLUS
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RN 286370-50-1 HCAPLUS

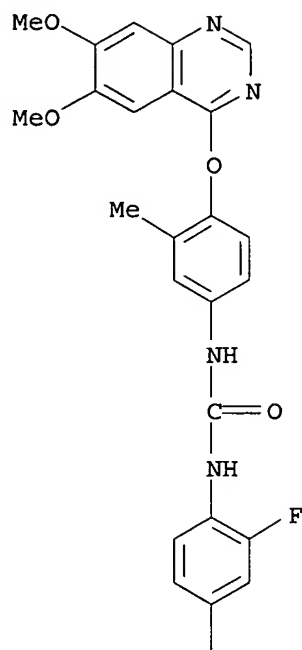
CN Urea, N-butyl-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-3-methylphenyl]-(9CI) (CA INDEX NAME)



RN 286370-52-3 HCAPLUS

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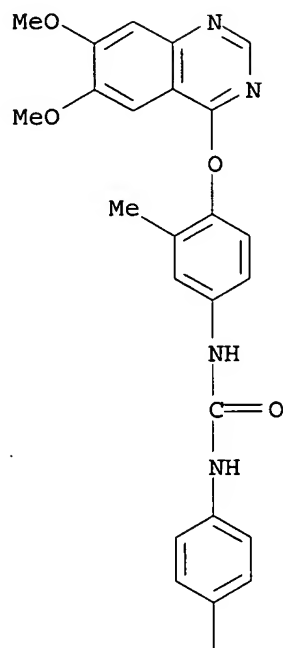


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RN 286370-53-4 HCAPLUS
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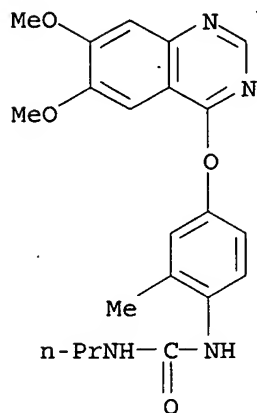
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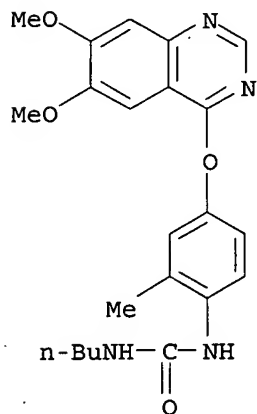
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RN 286370-56-7 HCAPLUS
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Searched by P. Ruppel

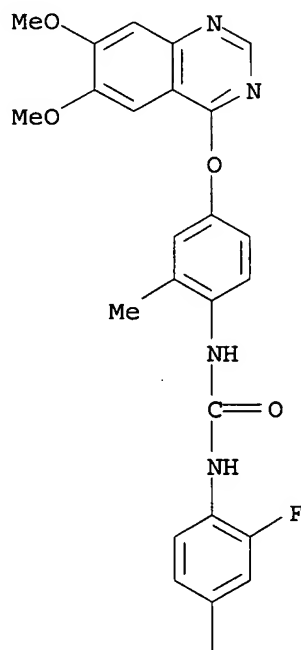
(9CI) (CA INDEX NAME)



RN 286370-58-9 HCAPLUS

CN Urea, N-(2,4-difluorophenyl)-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-methylphenyl]- (9CI) (CA INDEX NAME)

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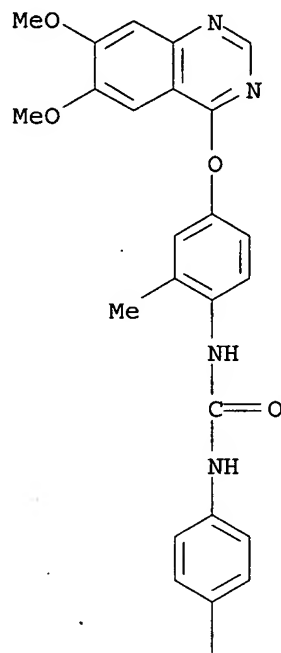
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RN 286370-60-3 HCAPLUS

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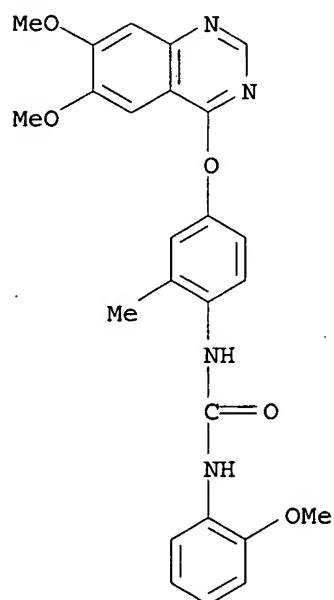


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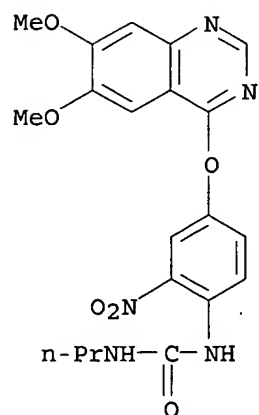
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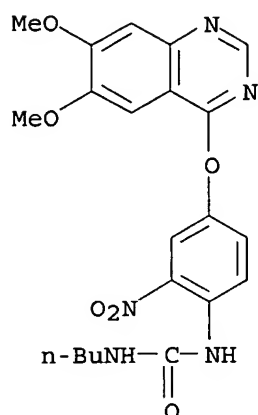
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-methylphenyl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



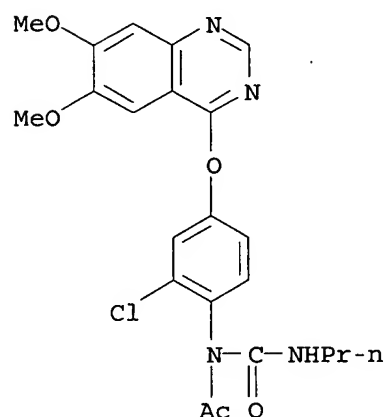
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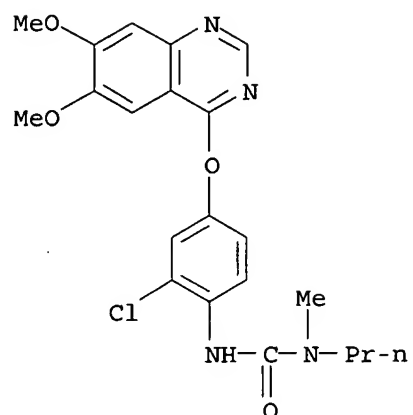
RN 286370-63-6 HCAPLUS
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 (9CI) (CA INDEX NAME)



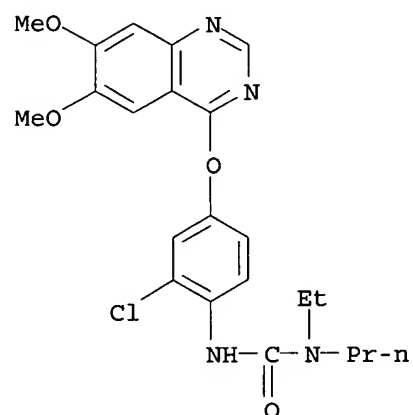
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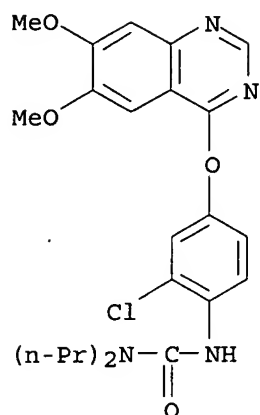
RN 286370-66-9 HCAPLUS
 CN Urea, N'-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N-methyl-N-propyl- (9CI) (CA INDEX NAME)



RN 286370-67-0 HCAPLUS
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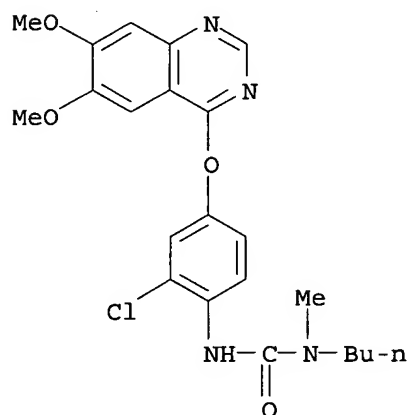


RN 286370-68-1 HCAPLUS
 CN Urea, N'-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 286370-69-2 HCAPLUS

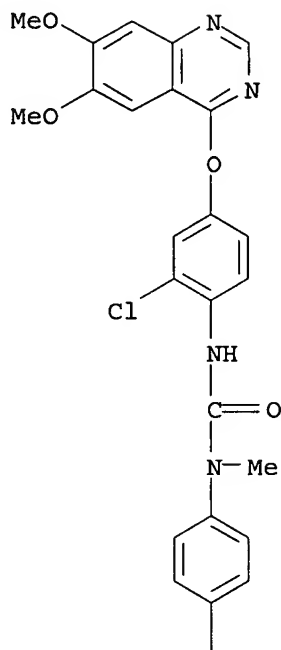
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RN 286370-70-5 HCAPLUS

CN Urea, N'-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N-(4-chlorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

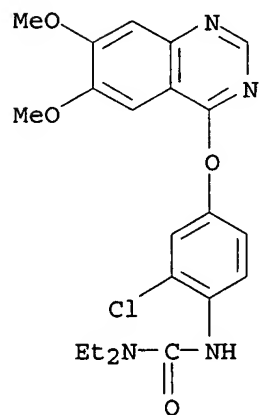
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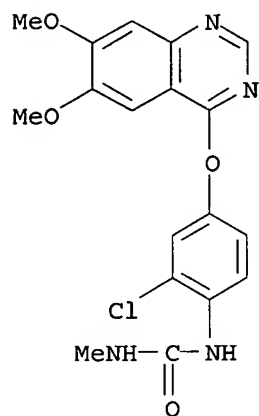


RN 286370-71-6 HCAPLUS
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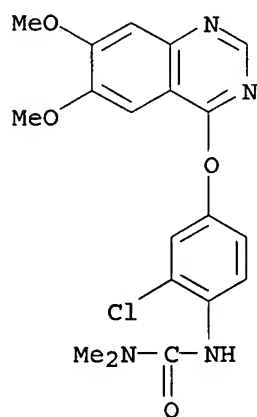
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(9CI) (CA INDEX NAME)



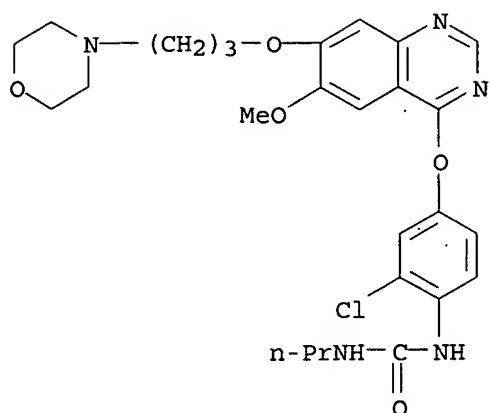
RN 286370-73-8 HCAPLUS

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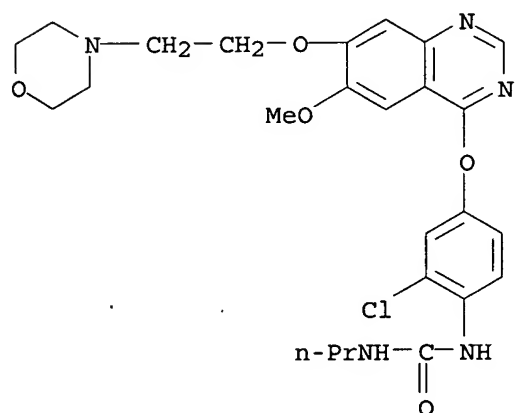
RN 286370-74-9 HCAPLUS

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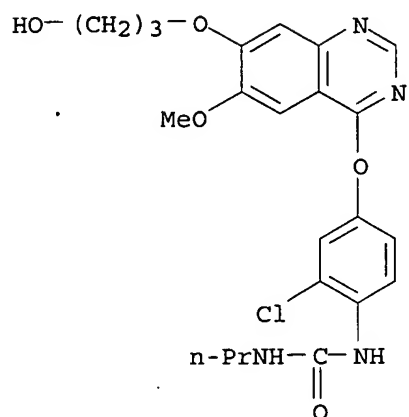
RN 286370-75-0 HCAPLUS

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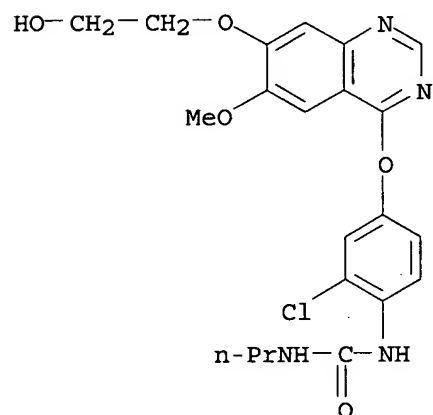


RN 286370-76-1 HCAPLUS

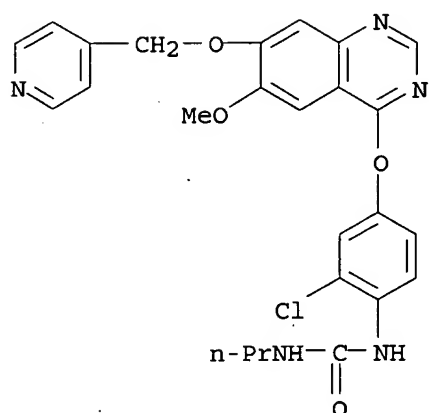
CN Urea, N-[2-chloro-4-[[7-(3-hydroxypropoxy)-6-methoxy-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286370-77-2 HCAPLUS
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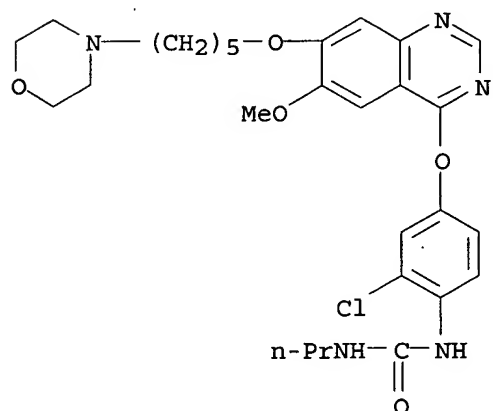


RN 286370-78-3 HCAPLUS
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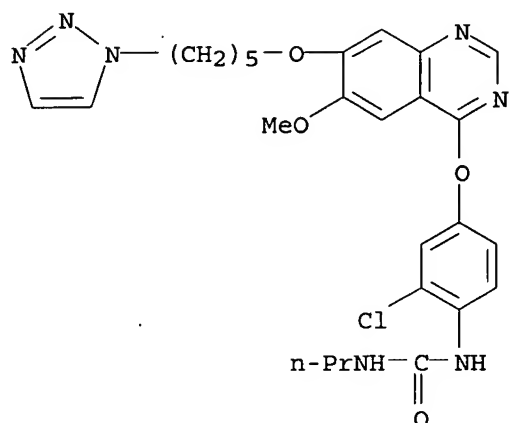
RN 286370-79-4 HCAPLUS

CN Urea, N-[2-chloro-4-[[6-methoxy-7-[[5-(4-morpholinyl)pentyl]oxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



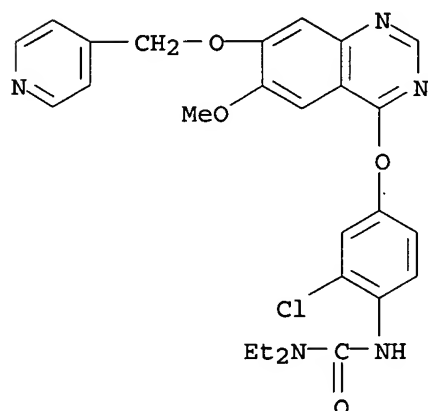
RN 286370-80-7 HCAPLUS

CN Urea, N-[2-chloro-4-[[6-methoxy-7-[[5-(1H-1,2,3-triazol-1-yl)pentyl]oxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



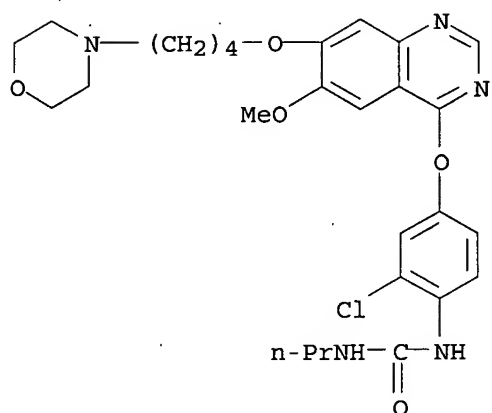
RN 286370-81-8 HCAPLUS

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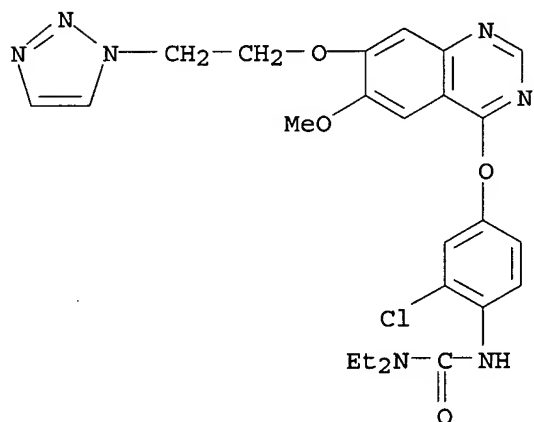
RN 286370-82-9 HCAPLUS

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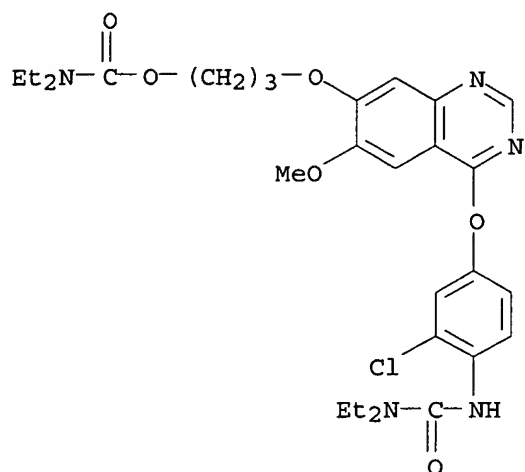
RN 286370-86-3 HCAPLUS

CN Urea, N'-[2-chloro-4-[[6-methoxy-7-[2-(1H-1,2,3-triazol-1-yl)ethoxy]-4-quinazolinyl]oxy]phenyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



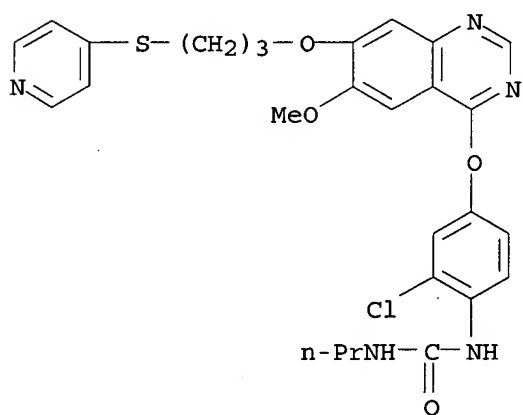
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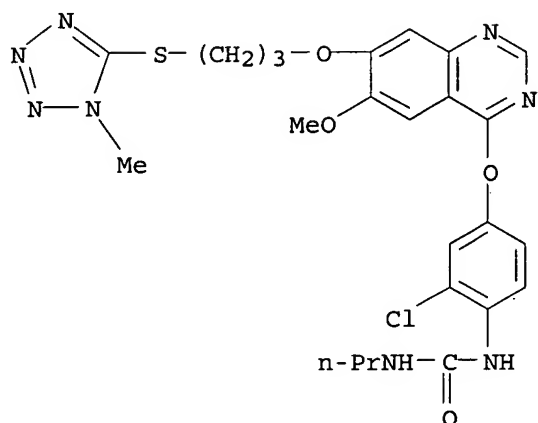
RN 286370-88-5 HCAPLUS

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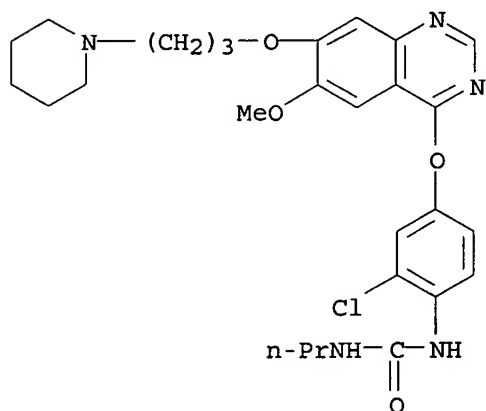
RN 286370-89-6 HCAPLUS

CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-[(1-methyl-1H-tetrazol-5-yl)thio]propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



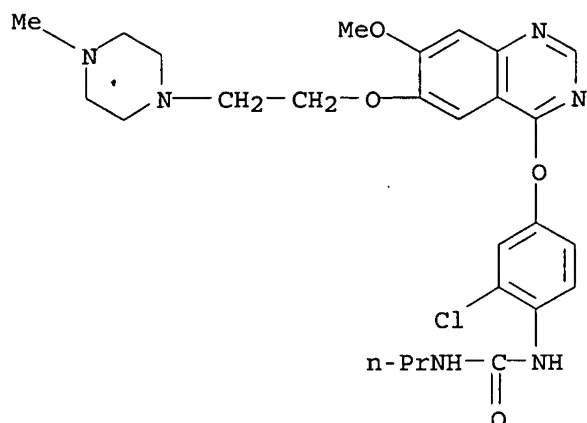
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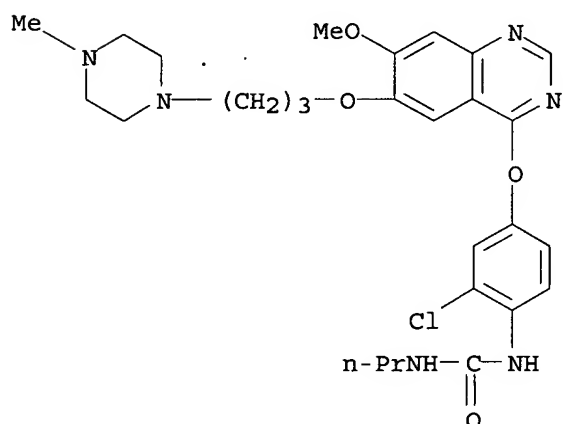
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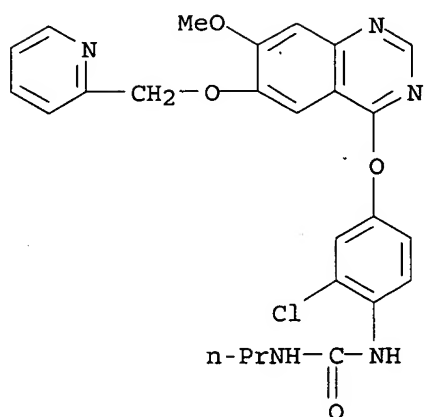
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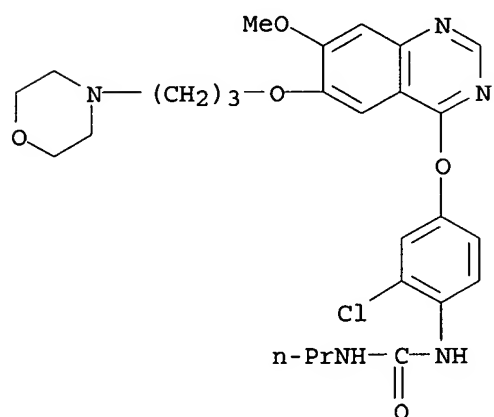
RN 286370-95-4 HCAPLUS

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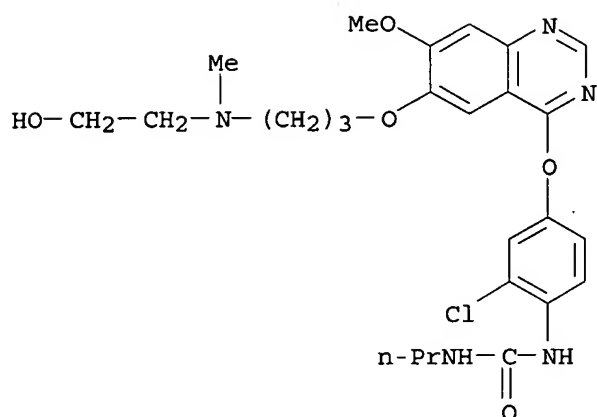
RN 286370-96-5 HCAPLUS

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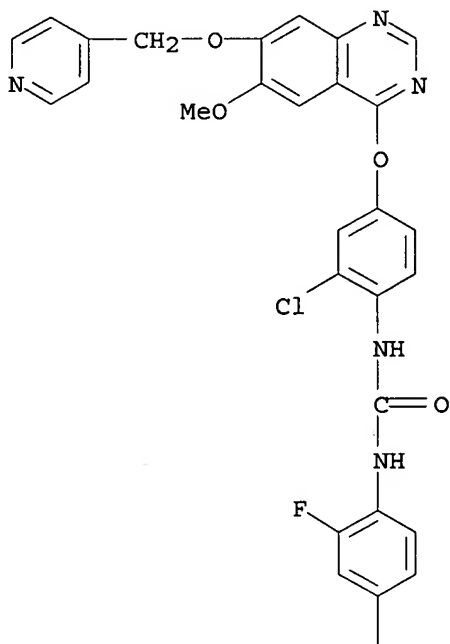
RN 286370-97-6 HCAPLUS

CN Urea, N-[2-chloro-4-[[6-[3-[(2-hydroxyethyl)methylamino]propoxy]-7-methoxy-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286371-18-4 HCAPLUS
 CN Urea, N-[2-chloro-4-[[6-methoxy-7-(4-pyridinylmethoxy)-4-quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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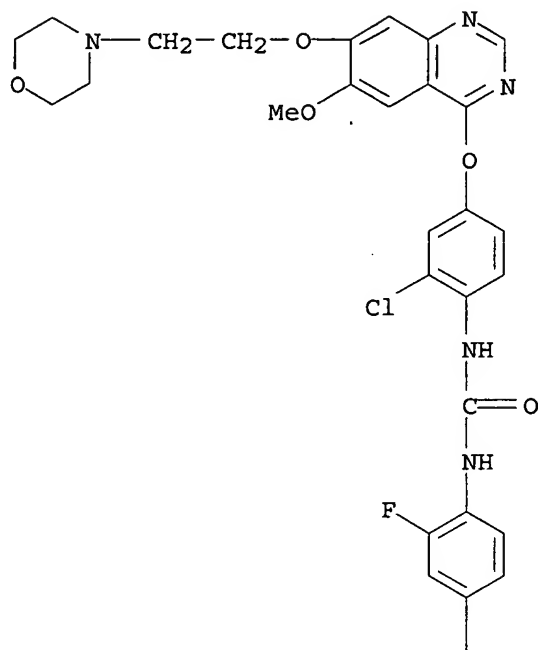
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RN 286371-19-5 HCAPLUS
 CN Urea, N-[2-chloro-4-[[6-methoxy-7-[2-(4-morpholinyl)ethoxy]-4-

quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)-(9CI) (CA INDEX NAME)

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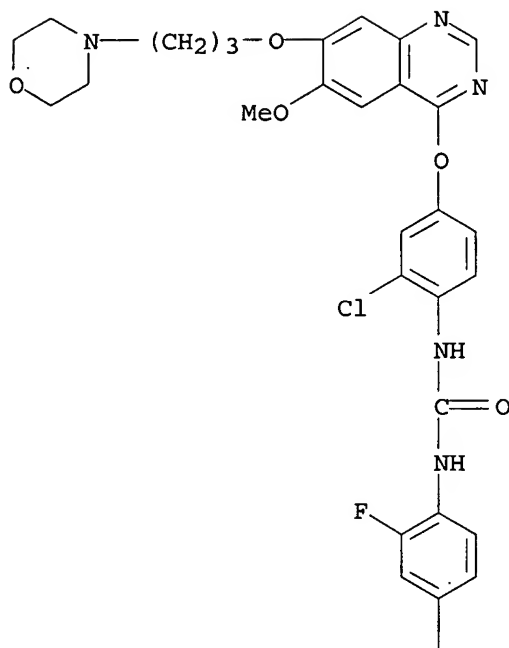


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RN 286371-20-8 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)-(9CI) (CA INDEX NAME)

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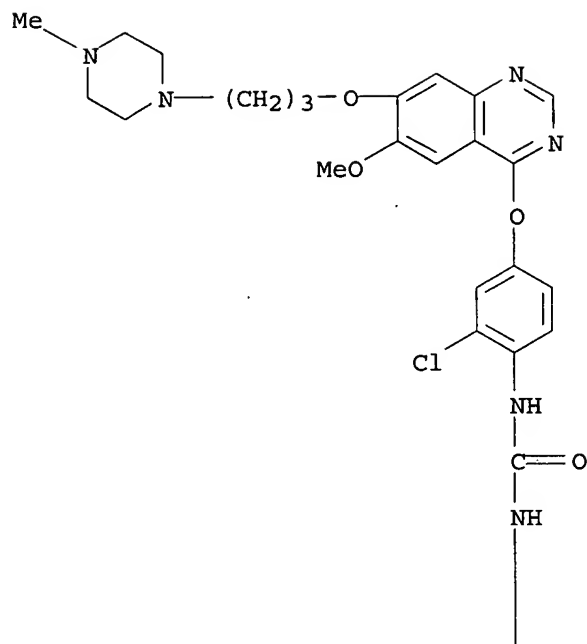


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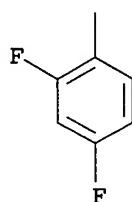


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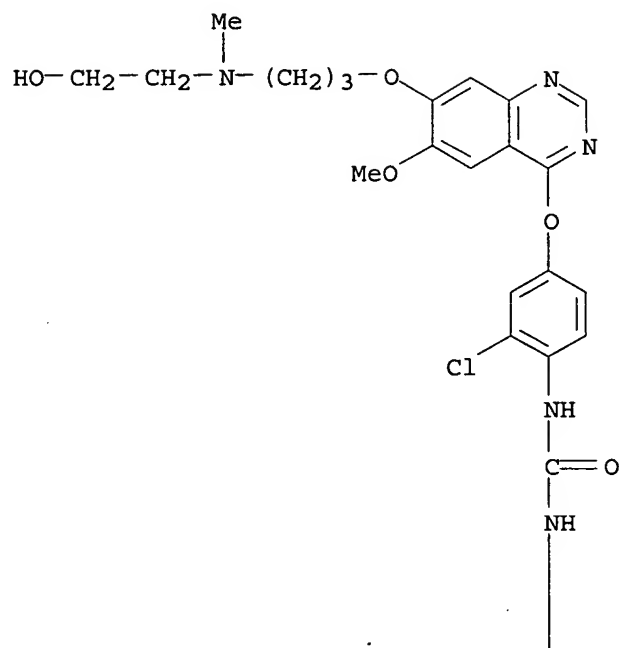
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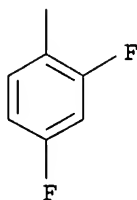
RN 286371-22-0 HCAPLUS

CN Urea, N-[2-chloro-4-[[7-[3-[(2-hydroxyethyl)methylamino]propoxy]-6-methoxy-4-quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

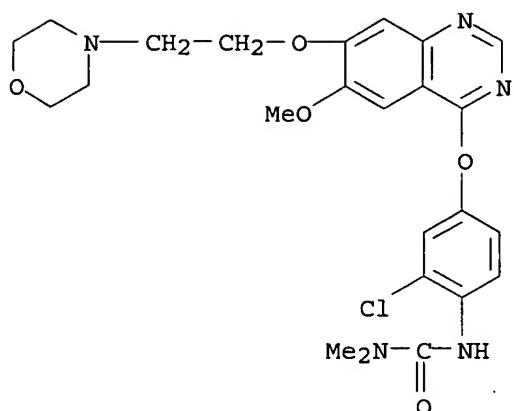
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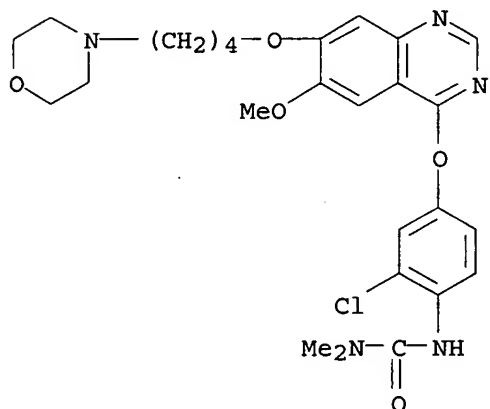
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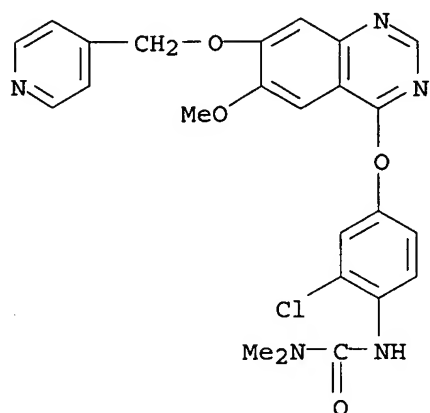
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RN 286371-36-6 HCAPLUS
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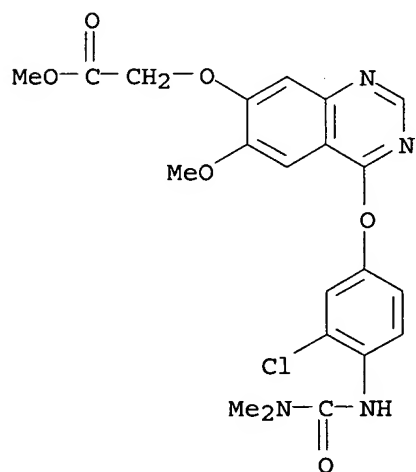


RN 286371-37-7 HCAPLUS
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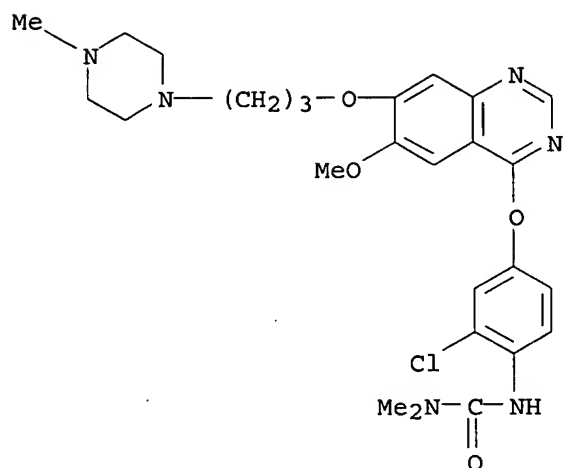
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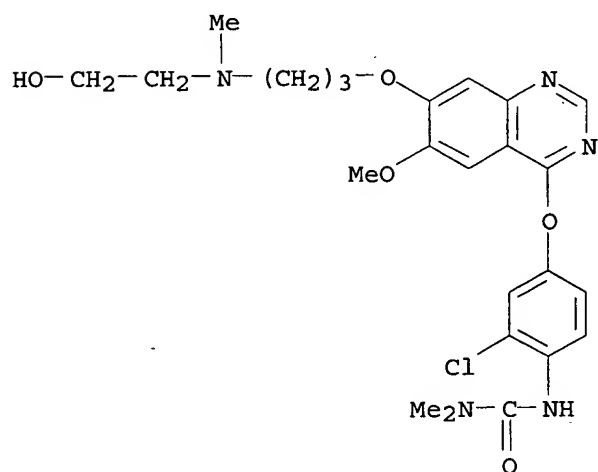
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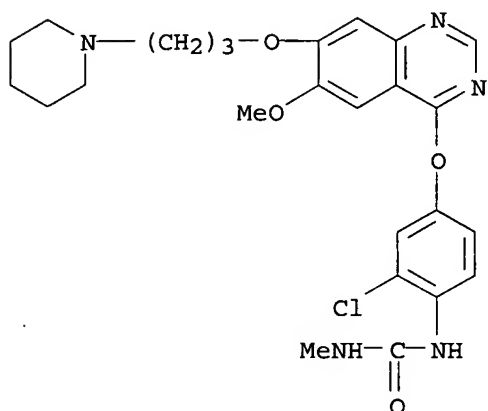
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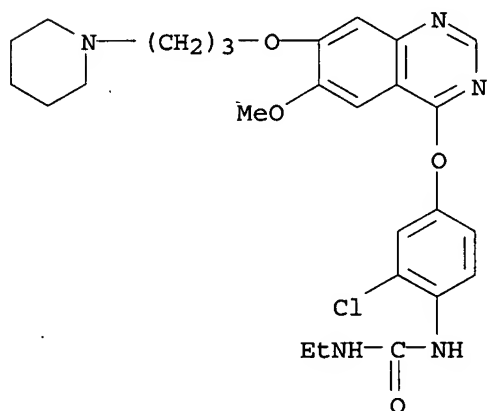


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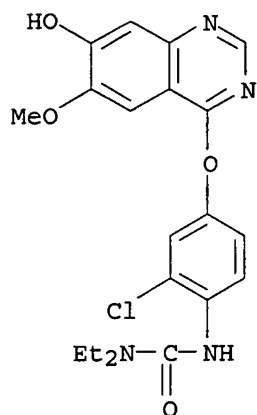
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RN 286371-42-4 HCAPLUS
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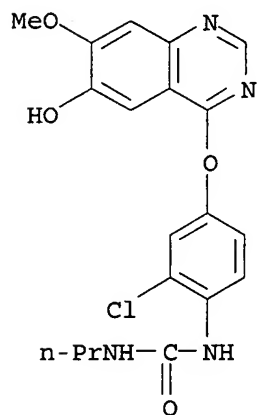


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 286371-98-0 286372-06-3 286372-08-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation and antitumor activity of quinolines and quinazolines)
 RN 286371-79-7 HCAPLUS
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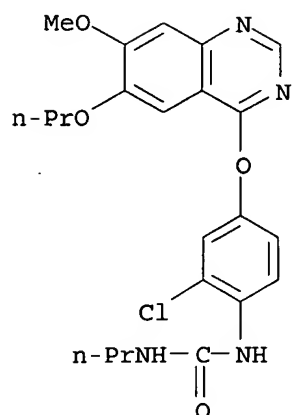
RN 286371-82-2 HCAPLUS

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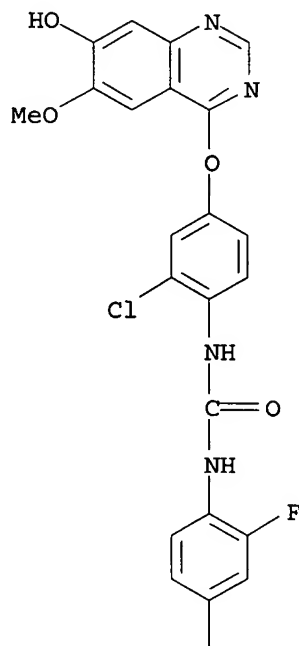
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RN 286371-91-3 HCAPLUS
 CN Urea, N-[2-chloro-4-[(7-hydroxy-6-methoxy-4-quinazolinyl)oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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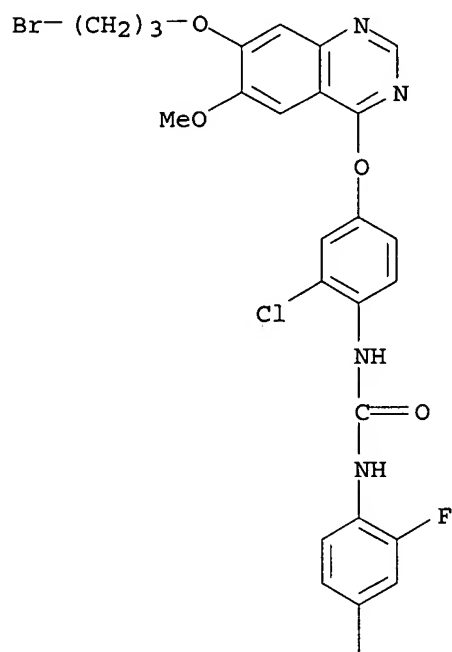
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RN 286371-93-5 HCAPLUS
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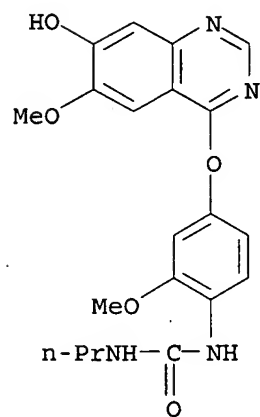


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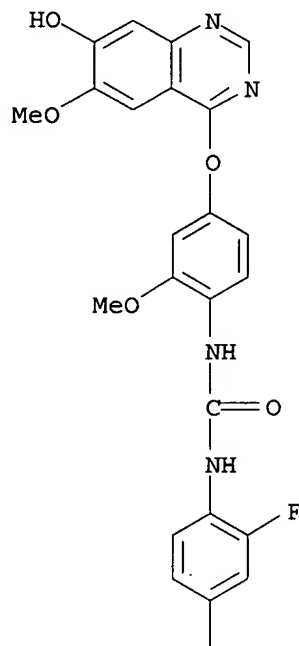


Searched by P. Ruppel

RN 286371-98-0 HCAPLUS

CN Urea, N-(2,4-difluorophenyl)-N'-[4-[(7-hydroxy-6-methoxy-4-quinazolinyl)oxy]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

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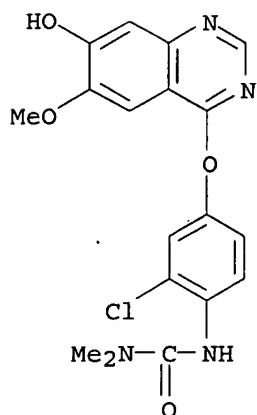


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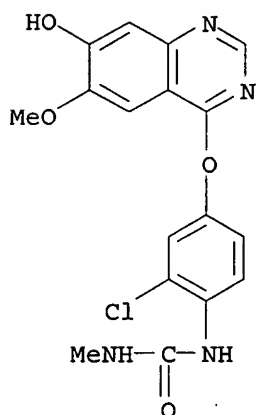
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RN 286372-08-5 HCAPLUS

CN Urea, N-[2-chloro-4-[(7-hydroxy-6-methoxy-4-quinazolinyl)oxy]phenyl]-N'-methyl- (9CI) (CA INDEX NAME)



IT 286371-67-3P 286371-68-4P 286371-76-4P

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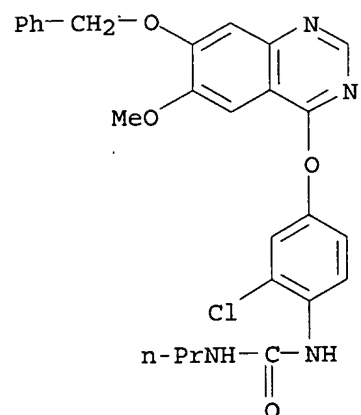
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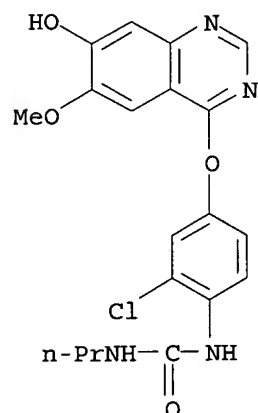
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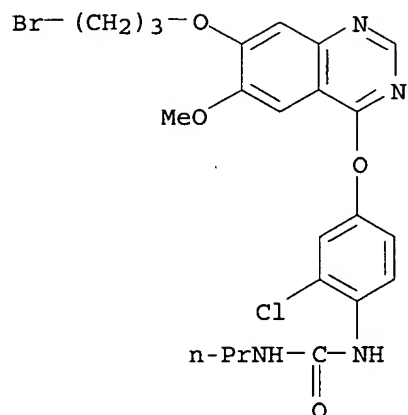
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RN 286371-68-4 HCAPLUS
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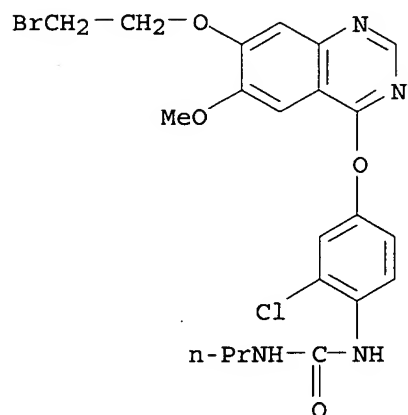


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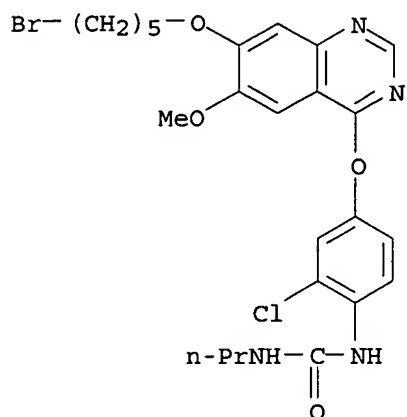
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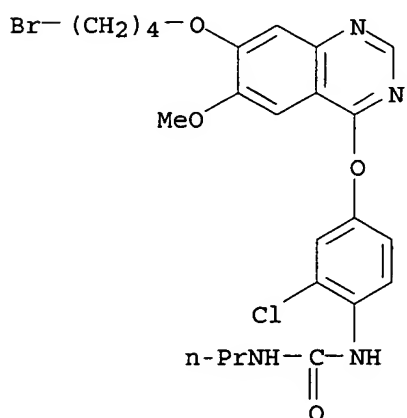
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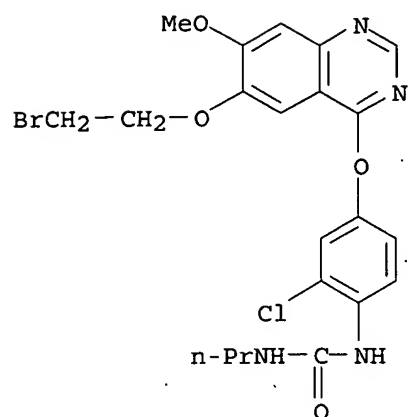
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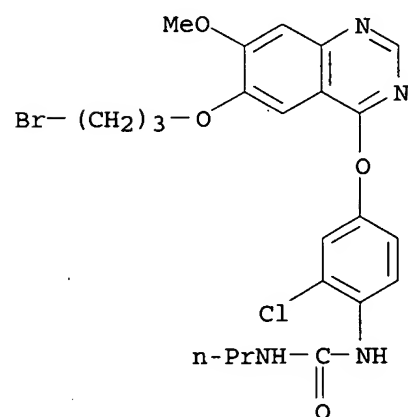


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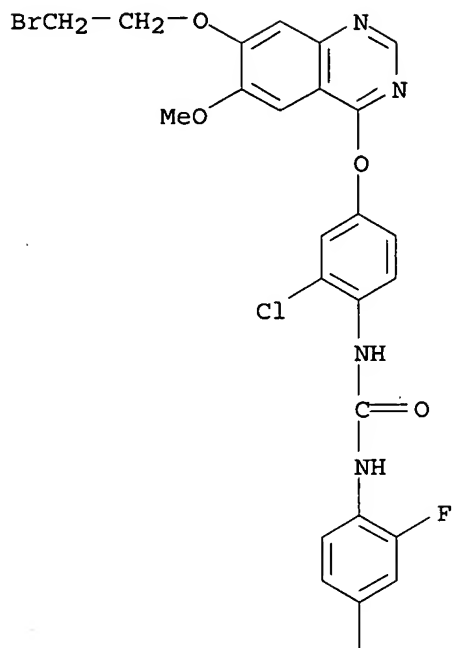


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RN 286371-92-4 HCAPLUS
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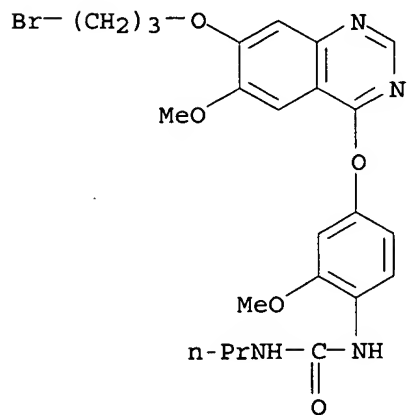
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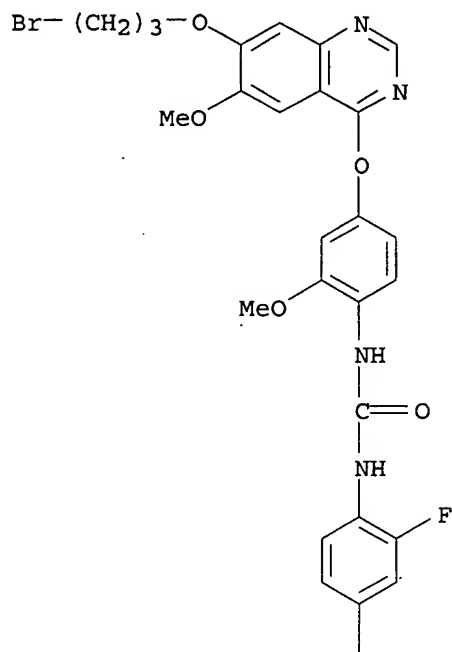


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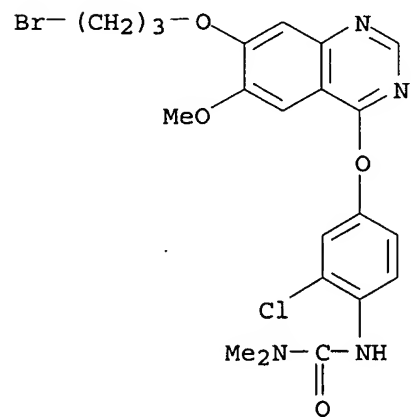


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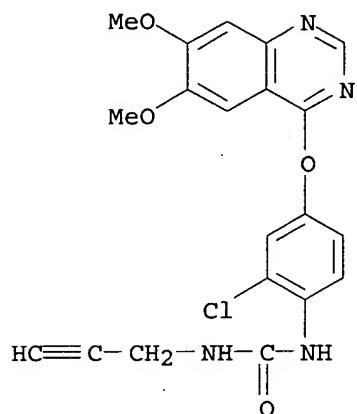
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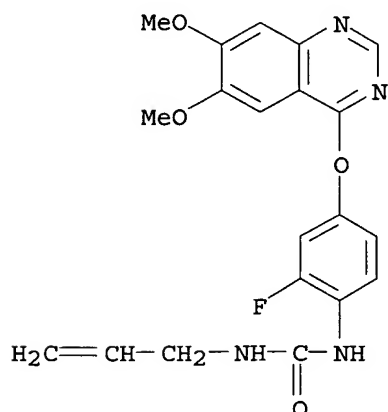
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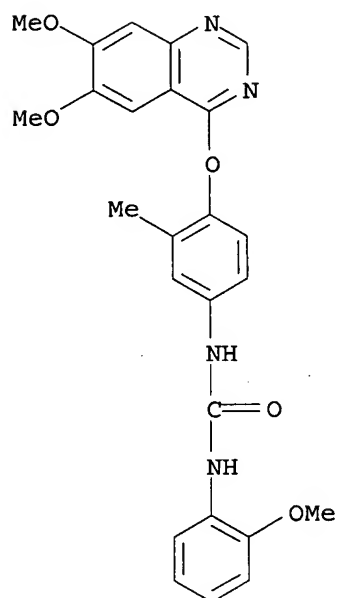
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 RN 286370-32-9 HCAPLUS
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RN 286370-42-1 HCAPLUS
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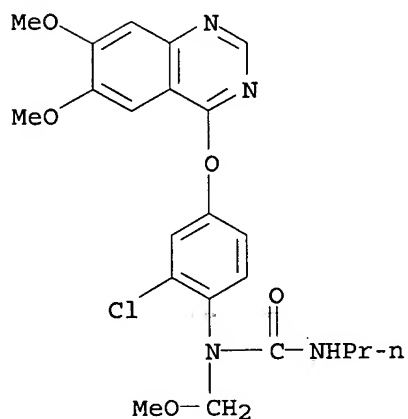


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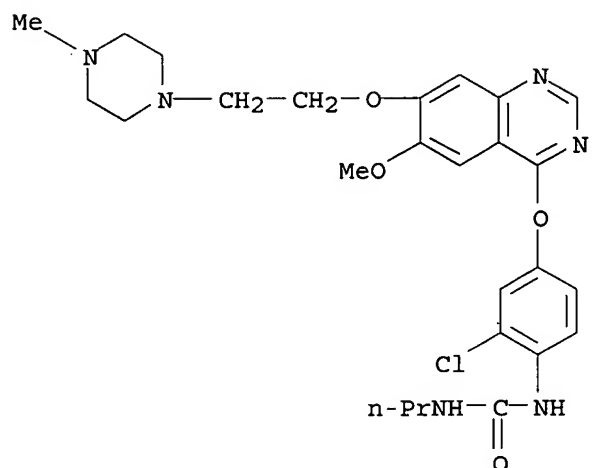
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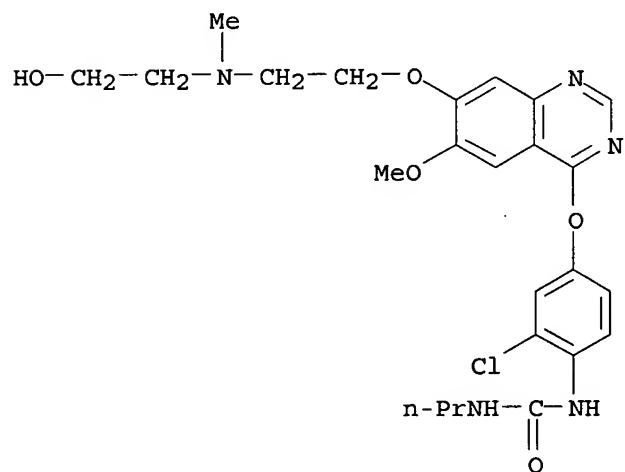
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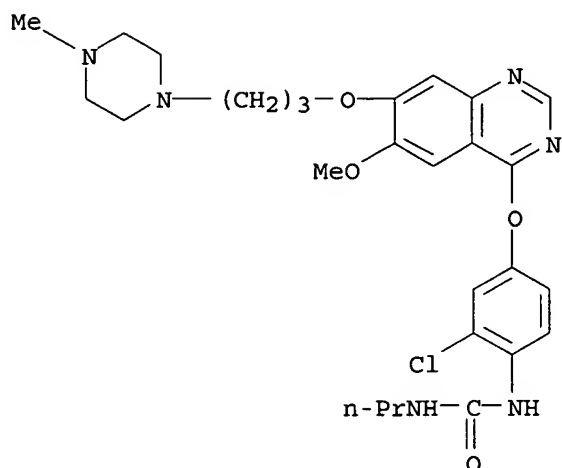
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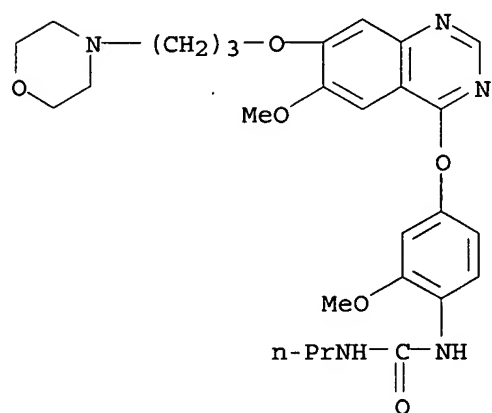
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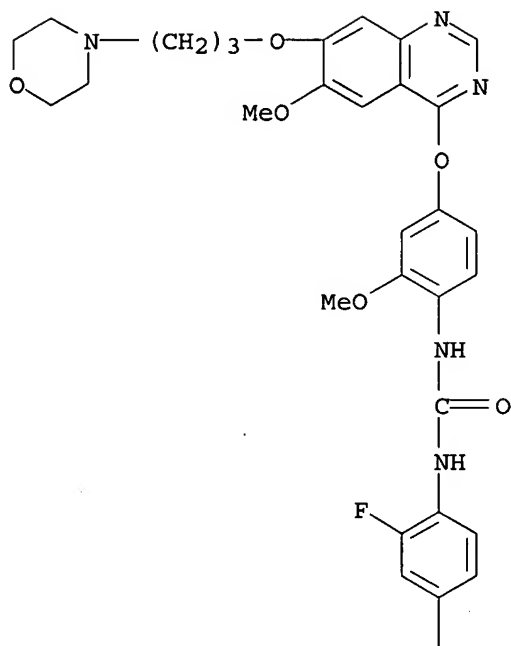
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REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 10 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:451297 HCAPLUS

DOCUMENT NUMBER: 131:102288

TITLE: Bicyclic heteroaromatic compounds [quinazolinamines, pyridopyrimidines, and analogs] useful as protein tyrosine kinase inhibitors

INVENTOR(S): Carter, Malcolm Clive; Cockerill, George Stuart; Guntrip, Stephen Barry; Lackey, Karen Elizabeth; Smith, Kathryn Jane

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9935146	A1	19990715	WO 1999-EP48	19990108 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				

Searched by P. Ruppel

DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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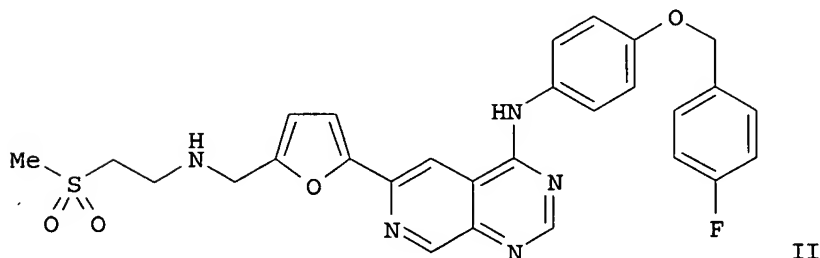
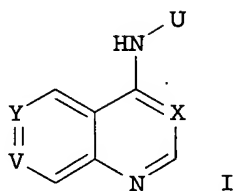
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GB 1998-569	A	19980112
JP 2000-527545	A3	19990108
WO 1999-EP48	W	19990108
US 2000-582746	A1	20000630

OTHER SOURCE(S):
GI

MARPAT 131:102288



AB Title compds. I and their salts and solvates are disclosed [wherein X = N or CH; Y = CR1 and V = N; or Y = N and V = CR1; or Y = CR1 and V = CR2; or Y = CR2 and V = CR1; R1 = MeSO2CH2CH2NHCH2-Ar-, wherein Ar =

(un)substituted Ph, furan, thiophene, pyrrole, or thiazole; R2 = H, halo, OH, C1-4 alkyl, C1-4 alkoxy, C1-4 alkylamino, or di[C1-4 alkyl]amino; U = Ph, pyridyl, 3H-imidazolyl, indolyl, isoindolyl, indolinyl, isoindolinyl, 1H-indazolyl, 2,3-dihydro-1H-indazolyl, 1H-benzimidazolyl, 2,3-dihydro-1H-benzimidazolyl or 1H-benzotriazolyl group, substituted by R3 and optionally by R4; R3 = (halo)benzyl, benzoyl, pyridylmethyl, pyridylmethoxy, phenoxy, benzyloxy, halo-, dihalo- and (halo)benzyloxy, PhSO2, (trihalomethyl)benzyl, (trihalomethyl)benzyloxy, (R5)n-substituted phthalimido; R4 = OH, halo, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, C1-4 alkoxy, (di) (alkyl)amino, C1-4 alkylthio, etc.; R5 = halo, C1-4 alkyl, C1-4 alkoxy; n = 0-3]. Also disclosed are methods for their preparation, pharmaceutical compns. containing them, and their use in medicine. The compds. are inhibitors of protein tyrosine kinases, and as such are useful in the treatment of cancer, psoriasis, and rheumatoid arthritis. Over 40 title compds. and numerous intermediates were prepared For example, 4,6-dichloropyrido[3,4-d]pyrimidine was condensed with 4-[(4-fluorobenzyl)oxy]aniline at the 4-chloro position, followed by Pd-catalyzed coupling with 5-(1,3-dioxolan-2-yl)-2-(tributylstannyl)furan at the 6-chloro position, hydrolysis of the dioxolane protecting group to give an aldehyde, reductive amination of the latter with MeSCH2CH2NH2, and finally S-oxidation with Oxone ® and acidification, to give title salt II.2HCl. In a methylene blue growth inhibition assay against 5 tumor cell lines, II.2HCl had an IC50 of < 5 µM against 4 of them, and an IC50 of 25-50 µM against the 5th.

IT 231278-19-6P 231278-30-1P 231278-37-8P

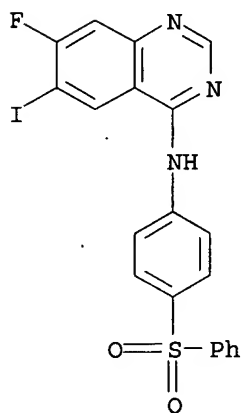
231278-39-0P 231278-42-5P 231278-63-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinazolinamines and analogs as protein tyrosine kinase inhibitors)

RN 231278-19-6 HCAPLUS

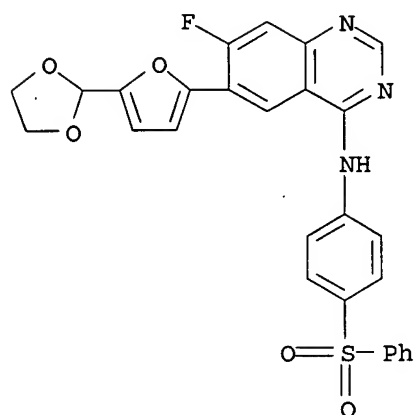
CN 4-Quinazolinamine, 7-fluoro-6-iodo-N-[4-(phenylsulfonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

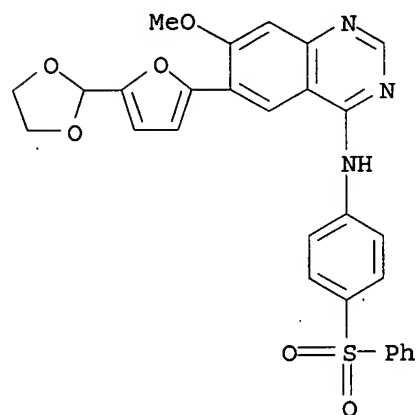
RN 231278-30-1 HCAPLUS

CN 4-Quinazolinamine, 6-[5-(1,3-dioxolan-2-yl)-2-furanyl]-7-fluoro-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



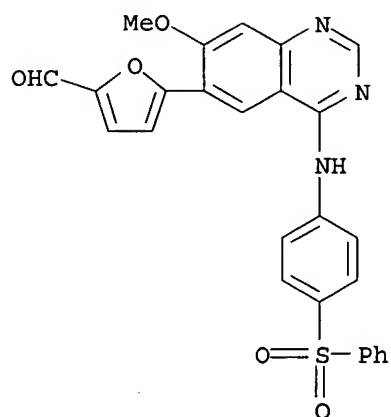
RN 231278-37-8 HCAPLUS

CN 4-Quinazolinamine, 6-[5-(1,3-dioxolan-2-yl)-2-furanyl]-7-methoxy-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



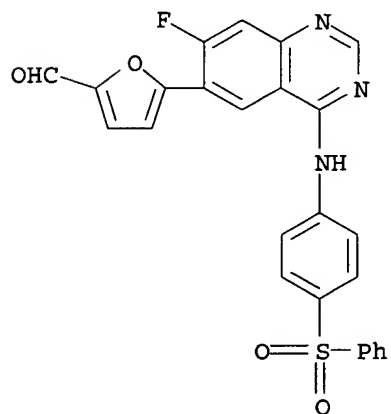
RN 231278-39-0 HCAPLUS

CN 2-Furancarboxaldehyde, 5-[7-methoxy-4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



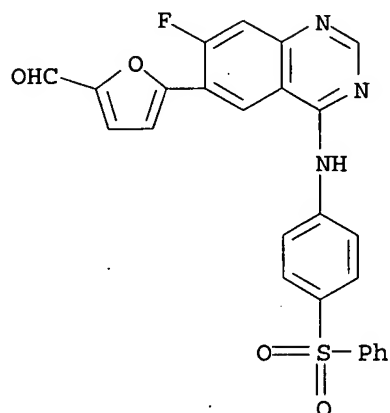
● HCl

RN 231278-42-5 HCAPLUS
 CN 2-Furancarboxaldehyde, 5-[7-fluoro-4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 231278-63-0 HCAPLUS
 CN 2-Furancarboxaldehyde, 5-[7-fluoro-4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)



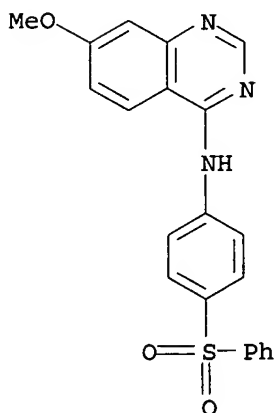
IT 231278-69-6 231278-80-1 231278-81-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of quinazolinamines and analogs as protein tyrosine kinase inhibitors)

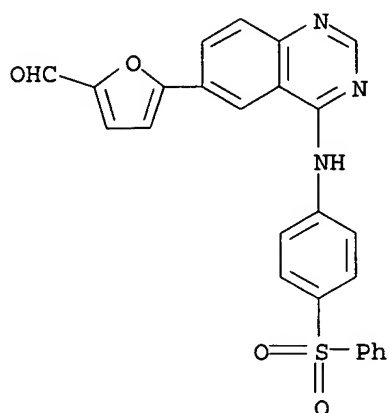
RN 231278-69-6 HCAPLUS

CN 4-Quinazolinamine, 7-methoxy-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



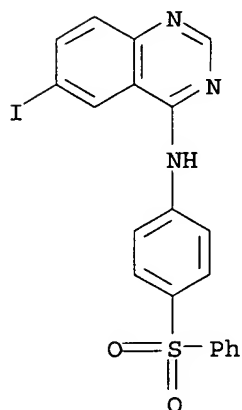
RN 231278-80-1 HCAPLUS

CN 2-Furancarboxaldehyde, 5-[4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



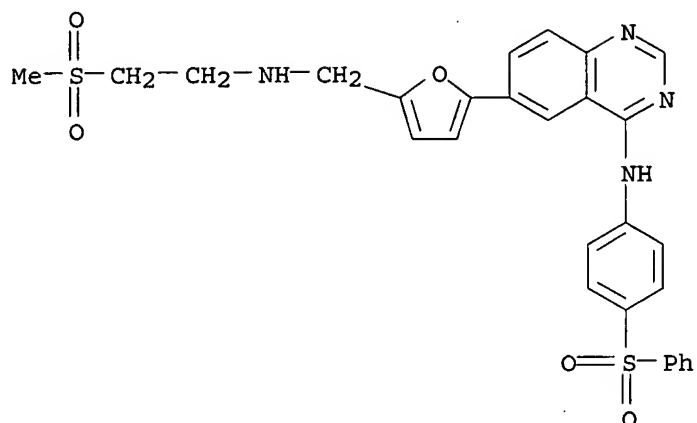
●2 HCl

RN 231278-81-2 HCAPLUS
 CN 4-Quinazolinamine, 6-iodo-N-[4-(phenylsulfonyl)phenyl]-, dihydrochloride
 (9CI) (CA INDEX NAME)



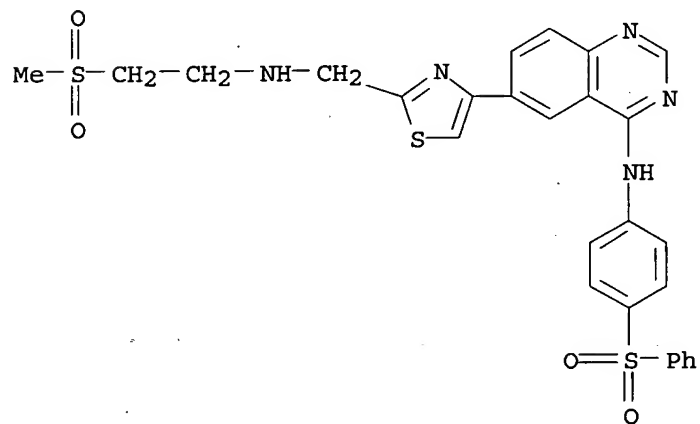
●2 HCl

IT 231277-85-3P 231277-86-4P 231277-96-6P
 231277-99-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (target compound; preparation of quinazolinamines and analogs as protein tyrosine kinase inhibitors)
 RN 231277-85-3 HCAPLUS
 CN 4-Quinazolinamine, 6-[5-[[[2-(methylsulfonyl)ethyl]amino]methyl]-2-furanyl]-N-[4-(phenylsulfonyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



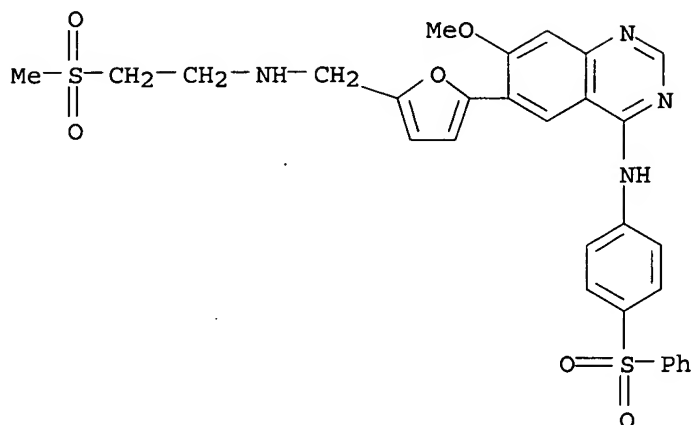
●2 HCl

RN 231277-86-4 HCAPLUS
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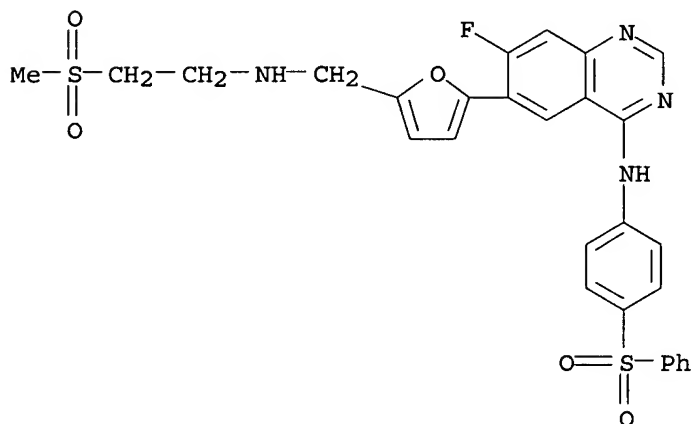


●2 HCl

RN 231277-96-6 HCAPLUS
 CN 4-Quinazolinamine, 7-methoxy-6-[5-[[[2-(methylsulfonyl)ethyl]amino]methyl]-2-furanyl]-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 231277-99-9 HCAPLUS
 CN 4-Quinazolinamine, 7-fluoro-6-[5-[[[2-(methylsulfonyl)ethyl]amino]methyl]-2-furanyl]-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

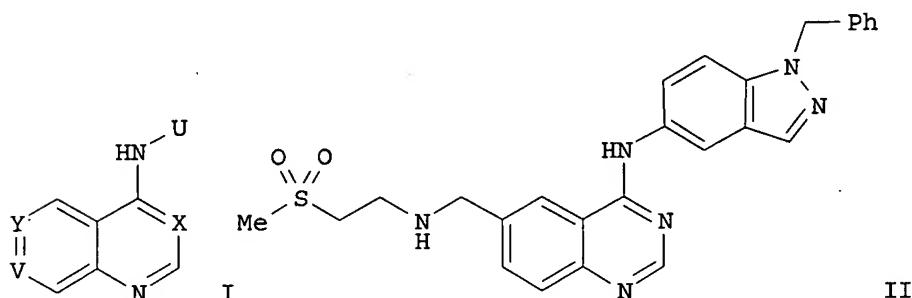
L24 ANSWER 11 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1999:451283 HCAPLUS
 DOCUMENT NUMBER: 131:102287
 TITLE: Preparation of quinazolinylamines and analogs as protein tyrosine kinase inhibitors
 INVENTOR(S): Cockerill, George Stuart; Lackey, Karen Elizabeth
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 145 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9935132	A1	19990715	WO 1999-GB76	19990111 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9919786 A1 19990726 AU 1999-19786 19990111 <--
 PRIORITY APPLN. INFO.: GB 1998-575 19980112
 WO 1999-GB76 19990111
 OTHER SOURCE(S): MARPAT 131:102287
 GI



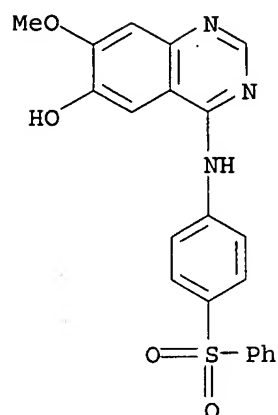
AB Substituted heteroarom. compds. I are prepared [wherein X = N or CH; Y = CR1 and V = N; or Y = N and V = CR1; or Y = CR1 and V = CR2; or Y = CR2 and V = CR1; R1 = Q-M-, wherein M = C1-5 alkylene where any C atom not immediately adjacent to Q may be replaced by O, S, or NR6; Q = wide variety of groups; R2 = H, halo, OH, alkyl, alkoxy, (di)alkylamino; U = Ph, pyridyl, pyrimidinyl, imidazolyl, or 9- or 10-membered bicyclic heterocyclyl containing 1-2 N atoms and 0-1 addnl. O, N, or S; U is substituted by R3, where R3 = benzyl, halobenzyl, pyridylmethyl, pyridylmethoxy, PhO, PhSO2, (un)substituted phthalimido; R6 = H, alkyl]. Twelve examples and a variety of intermediates were prepared For instance, 4-chloro-6-iodoquinazoline was aminated in the 4-position with 5-amino-1-benzyl-1H-indazole, followed by Pd-catalyzed carbonylation, to give 4-[(1-benzyl-1H-indazol-5-yl)amino]quinazoline-6-carbaldehyde. This underwent reductive amination by MeSO2CH2CH2NH2 and a reducing agent such as NaBH(OAc)3, to give title compound II.HCl. In an EGFr phosphorylation assay, II.HCl had an IC50 of <0.10 μ M.

IT 230955-58-5P 230955-63-2P 230955-64-3P
 230955-65-4P 230955-74-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of quinazolinylamines and analogs as protein tyrosine kinase inhibitors)

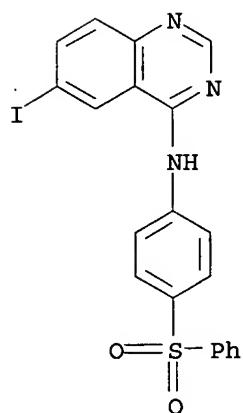
RN 230955-58-5 HCAPLUS

CN 6-Quinazolinol, 7-methoxy-4-[[4-(phenylsulfonyl)phenyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

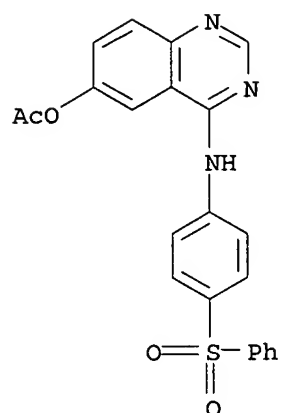


● HCl

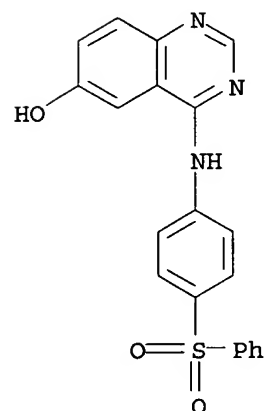
RN 230955-63-2 HCAPLUS
 CN 4-Quinazolinamine, 6-iodo-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



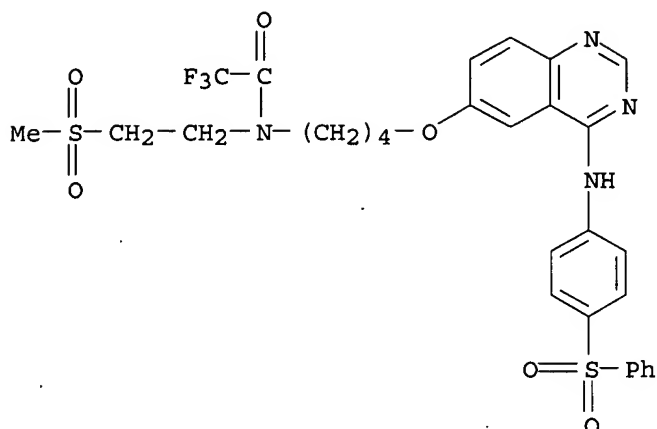
RN 230955-64-3 HCAPLUS
 CN 6-Quinazolinol, 4-[[4-(phenylsulfonyl)phenyl]amino]-, acetate (ester) (9CI) (CA INDEX NAME)



RN 230955-65-4 HCAPLUS
 CN 6-Quinazolinol, 4-[[4-(phenylsulfonyl)phenyl]amino]- (9CI) (CA INDEX NAME)



RN 230955-74-5 HCAPLUS
 CN Acetamide, 2,2,2-trifluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-[[4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]oxy]butyl]- (9CI) (CA INDEX NAME)

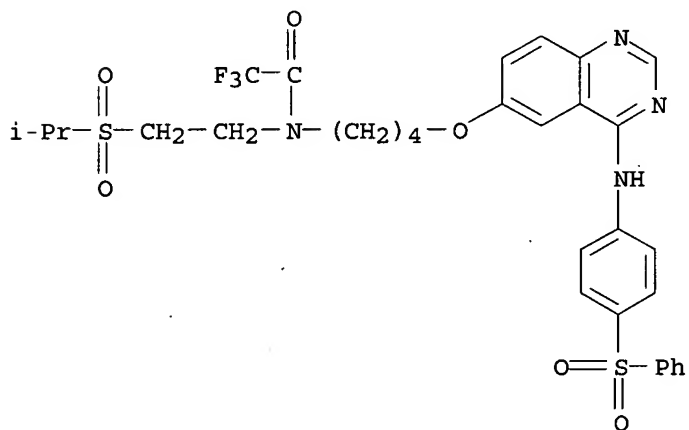


IT 230955-76-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of quinazolinylamines and analogs as protein tyrosine kinase inhibitors)

RN 230955-76-7 HCAPLUS

CN Acetamide, 2,2,2-trifluoro-N-[2-[(1-methylethyl)sulfonyl]ethyl]-N-[4-[[4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]oxy]butyl]- (9CI) (CA INDEX NAME)

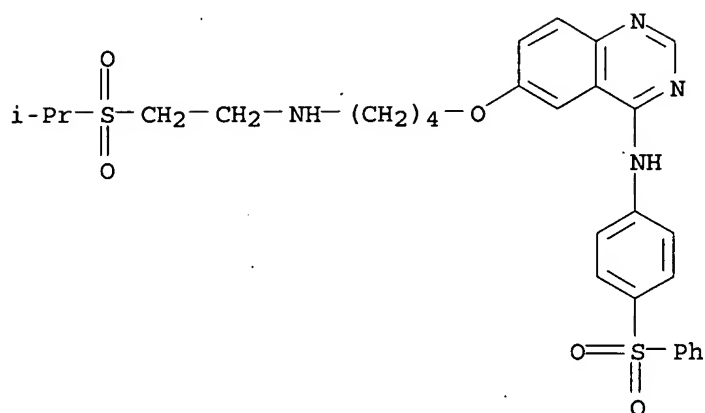


IT 230955-51-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of quinazolinylamines and analogs as protein tyrosine kinase inhibitors)

RN 230955-51-8 HCAPLUS

CN 4-Quinazolinamine, 6-[4-[[2-[(1-methylethyl)sulfonyl]ethyl]amino]butoxy]-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 12 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:105843 HCAPLUS

DOCUMENT NUMBER: 128:136497

TITLE: Aryl and heteroaryl quinazoline compounds which inhibit EGF and/or PDGF receptor tyrosine kinase

INVENTOR(S): Myers, Michael R.; Spada, Alfred P.; Maguire, Martin P.; Persons, Paul E.

PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SOURCE: U.S., 19 pp., Cont.-in-part of U.S. 5,480,883. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5710158	A	19980120	US 1994-229886	19940419 <--
US 5480883	A	19960102	US 1993-166199	19931210 <--
WO 9515758	A1	19950615	WO 1994-US14180	19941208 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9513050	A1	19950627	AU 1995-13050	19941208 <--
EP 871448	A1	19981021	EP 1995-904308	19941208 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 5656643	A	19970812	US 1995-385258	19950208 <--
US 6645969	B1	20031111	US 1995-521852	19950518
US 5714493	A	19980203	US 1996-652444	19960604 <--
US 37650	E	20020409	US 2000-496399	20000202 <--
PRIORITY APPLN. INFO.:			US 1991-698420	B2 19910510
			US 1992-988515	B2 19921210
			US 1993-166199	A2 19931210
			WO 1992-US3736	A2 19920506
			US 1993-146072	A3 19931108
			US 1994-229886	A 19940419

WO 1994-US14180 W 19941208
US 1996-652444 A5 19960604

OTHER SOURCE(S): MARPAT 128:136497

AB This invention relates to the modulation and/or inhibition of cell signaling, cell proliferation, cell inflammatory response, the control of abnormal cell growth and cell reproduction. More specifically, this invention relates to the use of mono- and/or bicyclic aryl or heteroaryl quinazoline compds. in inhibiting cell proliferation, including compds. which are useful protein tyrosine kinase (PTK) inhibitors. The method of treating cell proliferation using said quinazoline compds. and their use in pharmaceutical compns. is described. A number of compds. were tested for inhibition of PDGF receptor cell-free antophosphorylation procedure.

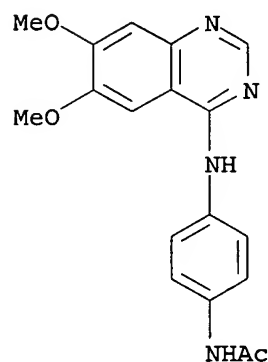
IT 202475-66-9 202475-67-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aryl and heteroaryl quinazoline compds. which inhibit EGF and/or PDGF receptor tyrosine kinase)

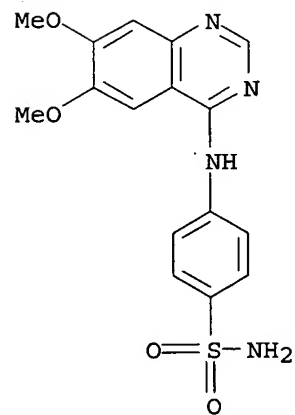
RN 202475-66-9 HCAPLUS

CN Acetamide, N-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]- (9CI) (CA INDEX NAME)



RN 202475-67-0 HCAPLUS

CN Benzenesulfonamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 13 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:71133 HCAPLUS

DOCUMENT NUMBER: 128:140716

TITLE: Preparation of azolylquinazolines and related compounds as protein tyrosine kinase inhibitors.

INVENTOR(S): Cockerill, George Stuart; Carter, Malcolm Clive; Guntrip, Stephen Barry; Smith, Kathryn Jane

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Cockerill, George Stuart; Carter, Malcolm Clive; Guntrip, Stephen Barry; Smith, Kathryn Jane

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

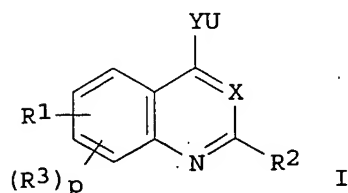
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9802434	A1	19980122	WO 1997-EP3672	19970711 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9706147	A	19990111	ZA 1997-6147	19970710 <--
AU 9737668	A1	19980209	AU 1997-37668	19970711 <--
EP 912559	A1	19990506	EP 1997-934458	19970711 <--
EP 912559	B1	20021106		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000514806	T2	20001107	JP 1998-505596	19970711 <--
AT 227283	E	20021115	AT 1997-934458	19970711 <--
PT 912559	T	20030331	PT 1997-97934458	19970711
ES 2186908	T3	20030516	ES 1997-934458	19970711
US 6391874	B1	20020521	US 1998-214267	19981231 <--
US 2002147214	A1	20021010	US 2002-62647	20020131 <--

PRIORITY APPLN. INFO.:

GB 1996-14755 A 19960713
GB 1996-25458 A 19961207
WO 1997-EP3672 W 19970711
US 1998-214267 A1 19981231

OTHER SOURCE(S): MARPAT 128:140716

GI



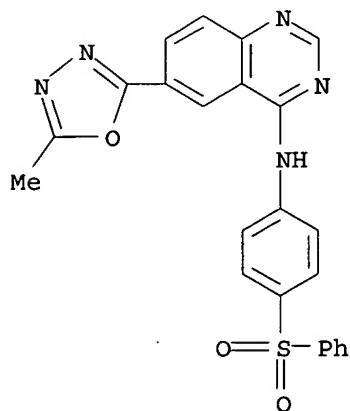
AB Title compds. [I; U = substituted Ph, mono- or bicyclic 5-10 membered (hetero)cyclyl; X = N, CH; Y = W(CH₂), (CH₂)W, W; W = O, S(O)m, NRa; Ra = H, alkyl; m = 0-2; R1 = (substituted) Ph, 5- or 6-membered heterocyclyl containing 1-4 heteroatoms selected from N, O, S(O)m; with the provision that the ring does not contain two adjacent O or S(O)m atoms and that where the ring contains only N as heteroatom(s) the ring is C-linked to the quinazoline or quinoline ring; R3 = H, amino, halo, OH, NO₂, CO₂H, CHO, cyano, CF₃, OCF₃, carbamoyl, alkoxycarbonyl, Ph, PhO, pyridonyl, pyrrolidinyl, imidazolyl, dioxolanyl, arylsulfonyl, alkylsulfonyl, alkylcarbamoylalkyl, piperidinoalkoxy, thiomorpholino, etc.; 2 adjacent R3 = methylenedioxy, ethylenedioxy; p = 0-3], were prepared Thus, (S)-1-[5-[4-(1-benzyl-1H-indazol-5-ylamino)quinazolin-6-yl]furan-2-ylmethyl]pyrrolidine-2-carboxylic acid amide dihydrochloride (preparation given) inhibited BT474 human breast cancer cell proliferation with IC₅₀ = 2 nM.

IT 202196-67-6P 202197-96-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolylquinazolines and related compds. as protein tyrosine kinase inhibitors)

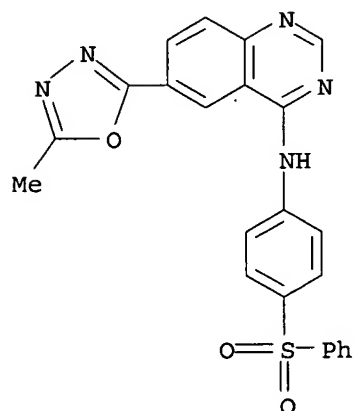
RN 202196-67-6 HCAPLUS

CN 4-Quinazolinamine, 6-(5-methyl-1,3,4-oxadiazol-2-yl)-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 202197-96-4 HCAPLUS

CN 4-Quinazolinamine, 6-(5-methyl-1,3,4-oxadiazol-2-yl)-N-[4-(phenylsulfonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

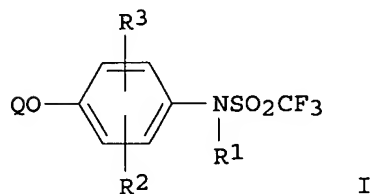


● HCl

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 14 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1998:38682 HCAPLUS
 DOCUMENT NUMBER: 128:167414
 TITLE: Preparation of thiazolyloxyphenylmethanesulfonamides as herbicides
 INVENTOR(S): Sato, Kazuo; Kudo, Noriaki; Honma, Toyokuni; Isarai, Kiyoshi; Kadotani, Junji
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10007657	A2	19980113	JP 1996-158177	19960619 <--
PRIORITY APPLN. INFO.:			JP 1996-158177	19960619
OTHER SOURCE(S):		MARPAT 128:167414		
GI				



AB Sulfonamides I (R1 = H, C2-6 alkanoyl, benzoyl; R2, R3 = H, halo, NO2, cyano, (substituted) lower alkyl, (substituted) lower alkoxy, etc.; R2R3

may form Ph or naphthalene; Q = (substituted) pyrazinyl, (substituted) 4-pyrimidinyl, (substituted) oxazolyl, (substituted) thiazolyl, (substituted) quinoxalyl, (substituted) quinazolyl, etc.; if Q = thiazolyl and R2 = R3, then R2 = R3 ≠ H) are prepared 2-(4-Amino-3-methoxycarbonylphenoxy)-4-chloro-5-difluoromethylthiazole was amidated with F3CSO3H in the presence of Et3N in CH2Cl2 under ice-cooling for 30 min, decomposed with NaOH in THF-H2O at room temperature for 1 h to give 86% I

(R1 = H, R2 = 2-CO2Me, R3 = H, Q = 4-chloro-5-difluoromethyl-2-thiazolyl) (II). II at 5 g/a preemergence controlled 91-100% Echinochloa oryzicola and broadleaf weeds, 71-90% Scirpus juncooides, and 31-50% Cyperus serotinus growth without damaging rice plants.

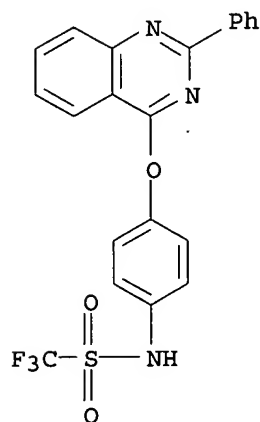
IT 202752-73-6

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(preparation of phenylmethanesulfonamides as herbicides)

RN 202752-73-6 HCAPLUS

CN Methanesulfonamide, 1,1,1-trifluoro-N-[4-[(2-phenyl-4-quinazolinyloxy)phenyl]- (9CI) (CA INDEX NAME)



L24 ANSWER 15 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:480973 HCAPLUS

DOCUMENT NUMBER: 127:108942

TITLE: Quinazoline-2,4-diazirines as NPY receptor antagonists

INVENTOR(S): Rueger, Heinrich; Schmidlin, Tibur; Rigollier, Pascal; Yamaguchi, Yasuchika; Tintelnot-Blomley, Marina; Schilling, Walter; Criscione, Leoluca

PATENT ASSIGNEE(S): Novartis Ag, Switz.; Rueger, Heinrich; Schmidlin, Tibur; Rigollier, Pascal; Yamaguchi, Yasuchika; Tintelnot-Blomley, Marina; Schilling, Walter; Criscione, Leoluca

SOURCE: PCT Int. Appl., 154 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

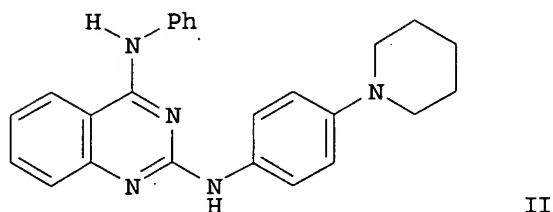
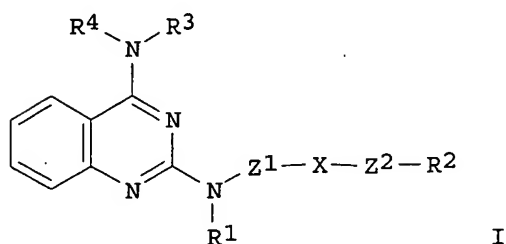
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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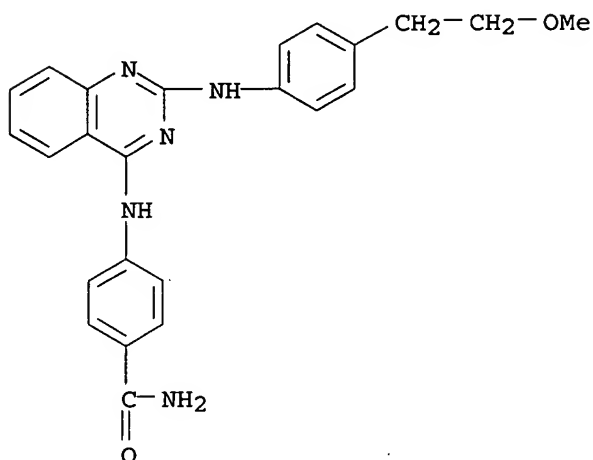
WO 9720822 A1 19970612 WO 1996-EP5066 19961118 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP,
KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG,
SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
MR, NE, SN, TD, TG
AU 9676928 A1 19970627 AU 1996-76928 19961118 <--
ZA 9610022 A 19970601 ZA 1996-10022 19961128 <--
PRIORITY APPLN. INFO.: US 1995-566027 A2 19951201
WO 1996-EP5066 W 19961118
OTHER SOURCE(S): MARPAT 127:108942
GI



AB The invention relates to a method of treatment of disorders and diseases associated with NPY receptor subtype Y5. The method comprises administration of a therapeutically effective amount of a compound I or a salt thereof [wherein Z1, Z2 = bond, alkylene; R1 = H, alk(en/yn)yl, hydroxyalkyl, cycloalkyl, (hetero)aryl, etc.; R2 = H, halo, NO2, cyano, alk(en/yn)yl, (un)substituted NH2, or OH, CO2H or derivs., etc.; R3, R4 = H, (un)substituted alk(en/yn)yl, aryl, heteroaryl, etc.; or R3R4 = alkylene which may be hetero-atom-interrupted or benzo-fused; X = (un)substituted (hetero)arylene; benzo ring of quinazoline nucleus may be substituted]. Also claimed are compds. and pharmaceutical compns. For instance, condensation of 2-chloro-4-(phenylamino)quinazoline with N-(4-aminophenyl)piperidine in a melt gave title compound II, isolated as the HCl salt. In a Y5 receptor binding assay, II.HCl had an IC50 value of 0.01 μ M.

IT 192215-97-7P 192217-45-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinediazirines as antagonists of NPY receptor subtype Y5)
RN 192215-97-7 HCAPLUS
CN Benzamide, 4-[[2-[[4-(2-methoxyethyl)phenyl]amino]-4-quinazolinyl]amino]-,

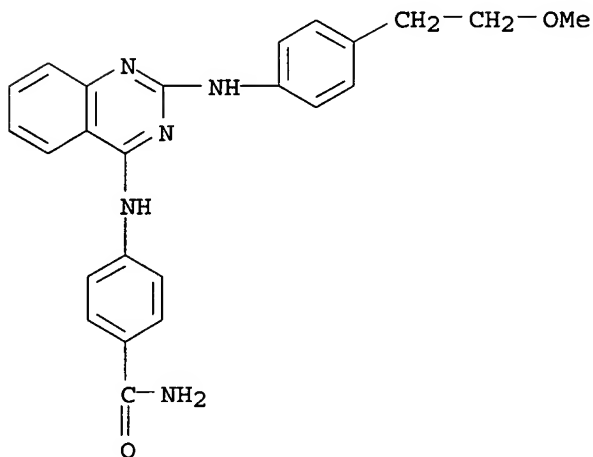
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 192217-45-1 HCAPLUS

CN Benzamide, 4-[[2-[[4-(2-methoxyethyl)phenyl]amino]-4-quinazolinyl]amino]-
(9CI) (CA INDEX NAME)



L24 ANSWER 16 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:414195 HCAPLUS

DOCUMENT NUMBER: 127:34137

TITLE: Preparation of quinoline and quinazoline derivatives
inhibiting platelet-derived growth factor receptor
autophosphorylation

INVENTOR(S): Kubo, Kazuo; Ohyama, Shinichi; Shimizu, Toshiyuki;
Nishitoba, Tsuyoshi; Kato, Shinichiro; Murooka,
Hideko; Kobayashi, Yoshiko; et al.

PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan; Kubo, Kazuo;

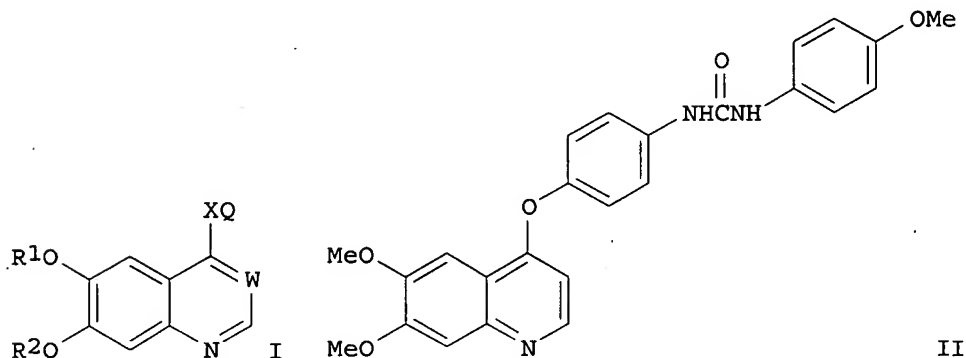
Searched by P. Ruppel

SOURCE: Ohyama, Shinichi; Shimizu, Toshiyuki; Nishitoba, Tsuyoshi; Kato, Shinichiro
PCT Int. Appl., 243 pp.
CODEN: PIXXD2

DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9717329	A1	19970515	WO 1996-JP3229	19961105 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9673400	A1	19970529	AU 1996-73400	19961105 <--
EP 860433	A1	19980826	EP 1996-935541	19961105 <--
EP 860433	B1	20020703		
R: CH, DE, FR, GB, LI				
TW 483891	B	20020421	TW 1996-85113529	19961106 <--
US 6143764	A	20001107	US 1998-68660	19980506 <--
PRIORITY APPLN. INFO.:				
			JP 1995-313555	A 19951107
			JP 1996-62121	A 19960223
			WO 1996-JP3229	W 19961105

OTHER SOURCE(S): MARPAT 127:34137
GI



AB The title compds. I [R¹ and R² represent each H or C1-4 alkyl, or R¹ and R² together form C1 to C3 alkylene; X represents O, S or CH₂; W represents CH or N; and Q represents substituted aryl or substituted heteroaryl] are prepared I inhibit platelet-derived growth factor receptor autophosphorylation and are useful in the treatment of cancer, arthritis, etc. The title compound II (preparation given) (at 100 mg/kg i.p. once daily for 9 days) increased the survival of mice with transplanted leukemic P388 cells by 130%.

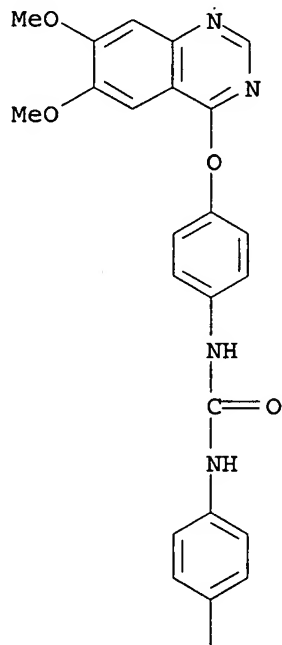
IT 190727-97-0P 190727-98-1P 190727-99-2P
190728-00-8P 190728-01-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline and quinazoline derivs. inhibiting platelet-derived growth factor receptor autophosphorylation)

RN 190727-97-0 HCAPLUS

CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(4-methoxyphenyl)-
(9CI) (CA INDEX NAME)

PAGE 1-A

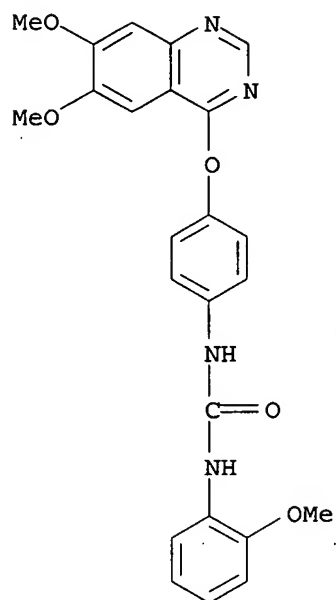


PAGE 2-A

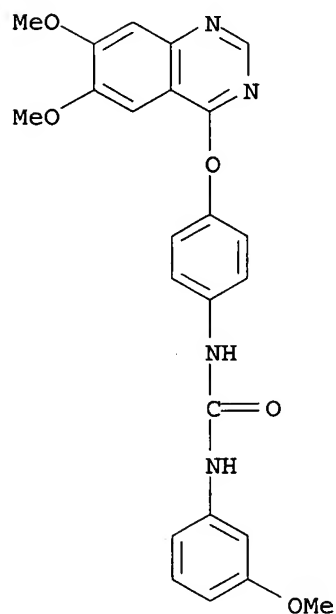


RN 190727-98-1 HCAPLUS

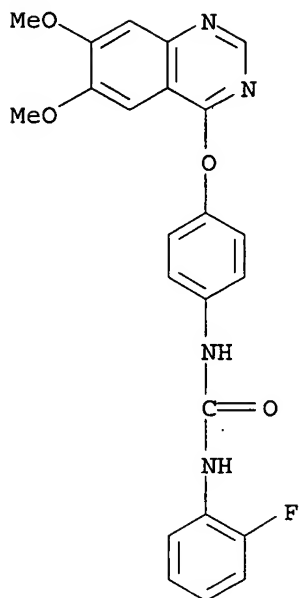
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(2-methoxyphenyl)-
(9CI) (CA INDEX NAME)



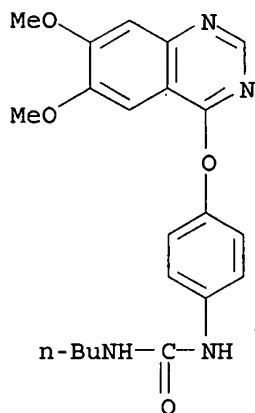
RN 190727-99-2 HCAPLUS
 CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(3-methoxyphenyl)-
 (9CI) (CA INDEX NAME)



RN 190728-00-8 HCAPLUS
 CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(2-fluorophenyl)-
 (9CI) (CA INDEX NAME)



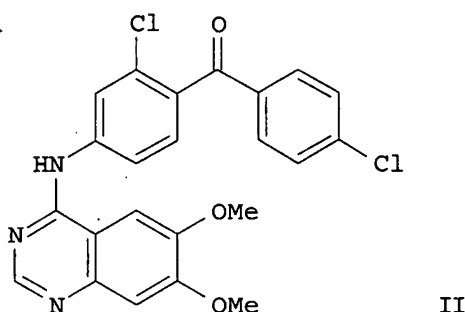
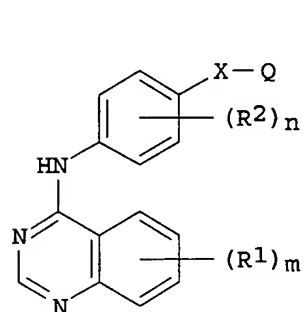
RN 190728-01-9 HCAPLUS
 CN Urea, N-butyl-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]- (9CI) (CA
 INDEX NAME)



L24 ANSWER 17 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1996:483485 HCAPLUS
 DOCUMENT NUMBER: 125:142741
 TITLE: Preparation of N-phenyl-4-quinazolinamines for the
 treatment of proliferative diseases
 INVENTOR(S): Brown, Dearg Sutherland; Morris, Jeffrey James;
 Thomas, Andrew Peter
 PATENT ASSIGNEE(S): Zeneca Limited, UK
 SOURCE: PCT Int. Appl., 120 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9615118	A1	19960523	WO 1995-GB2606	19951108 <--
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2200871	AA	19960523	CA 1995-2200871	19951108 <--
AU 9538130	A1	19960606	AU 1995-38130	19951108 <--
AU 703328	B2	19990325		
EP 790986	A1	19970827	EP 1995-936044	19951108 <--
EP 790986	B1	19990120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10508616	T2	19980825	JP 1995-515816	19951108 <--
AT 175962	E	19990215	AT 1995-936044	19951108 <--
ES 2128092	T3	19990501	ES 1995-936044	19951108 <--
ZA 9509572	A	19960513	ZA 1995-9572	19951110 <--
FI 9701970	A	19970507	FI 1997-1970	19970507 <--
NO 9702152	A	19970512	NO 1997-2152	19970509 <--
US 5821246	A	19981013	US 1997-836362	19970521 <--
PRIORITY APPLN. INFO.:			GB 1994-22866	19941112
			GB 1995-7308	19950407
			WO 1995-GB2606	19951108
OTHER SOURCE(S):			MARPAT 125:142741	
GI				



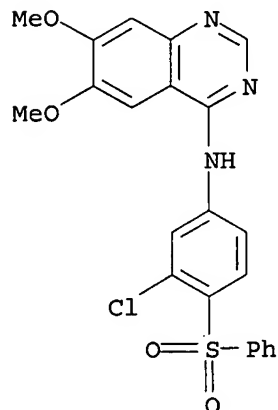
AB The title compds. I (m = 1-3; R1 = halo, hydroxy, amino, ureido, etc.; n = 0-3; R2 = halo, trifluoromethyl, hydroxy, amino, nitri, cyano, alkyl; X = carbonyl, methine, O,S, etc.) were disclosed. I were claimed for the use as receptor tyrosine kinase inhibitors and for treatment of proliferative disease such as cancer. An example compound is the chlorophenyl [(quinazolinyl)aminophenyl]methanone II.

IT 179687-20-8P 179687-22-0P 179687-48-0P
 179687-49-1P 179687-52-6P 179687-53-7P
 179687-54-8P 179687-55-9P 179687-56-0P
 179687-57-1P 179687-58-2P 179687-59-3P
 179688-82-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-phenylquinazolinamines as tyrosine kinase inhibitors)

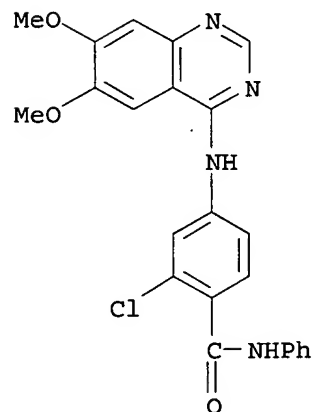
RN 179687-20-8 HCAPLUS

CN 4-Quinazolinamine, N-[3-chloro-4-(phenylsulfonyl)phenyl]-6,7-dimethoxy-
(9CI) (CA INDEX NAME)



RN 179687-22-0 HCAPLUS

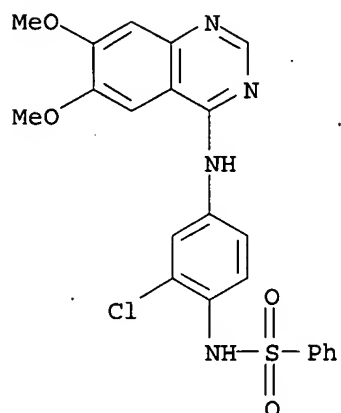
CN Benzamide, 2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)amino]-N-phenyl-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

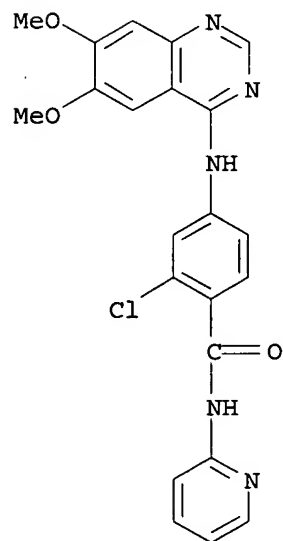
RN 179687-48-0 HCAPLUS

CN Benzenesulfonamide, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



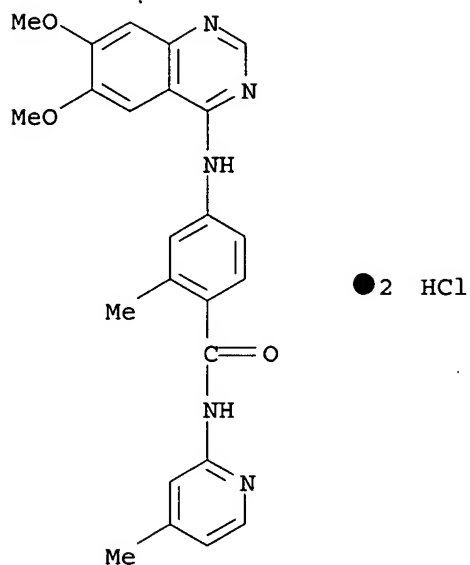
● HCl

RN 179687-49-1 HCAPLUS
 CN Benzamide, 2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)amino]-N-2-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

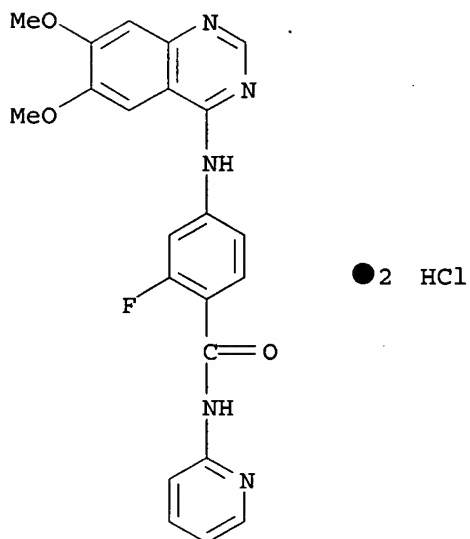


● HCl

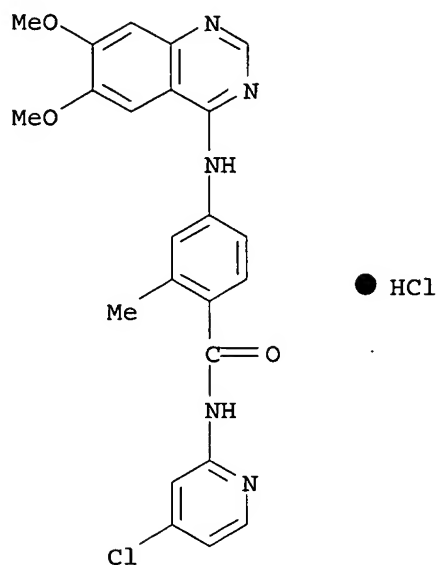
RN 179687-52-6 HCAPLUS
 CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methyl-N-(4-methyl-2-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



RN 179687-53-7 HCAPLUS
 CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-fluoro-N-2-pyridinyl-, dihydrochloride (9CI) (CA INDEX NAME)

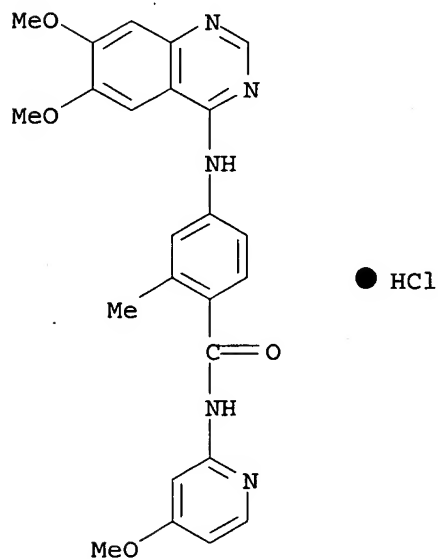


RN 179687-54-8 HCAPLUS
 CN Benzamide, N-(4-chloro-2-pyridinyl)-4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



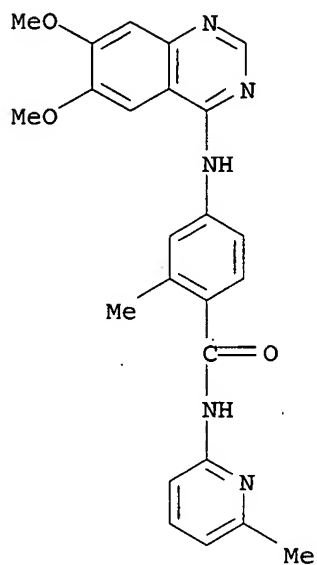
RN 179687-55-9 HCAPLUS

CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-N-(4-methoxy-2-pyridinyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



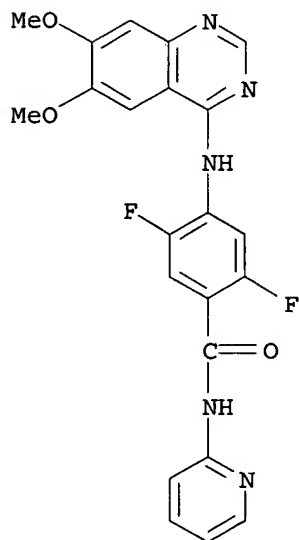
RN 179687-56-0 HCAPLUS

CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methyl-N-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



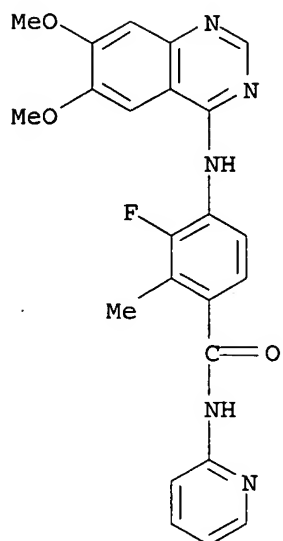
RN 179687-57-1 HCAPLUS

CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2,5-difluoro-N-2-pyridinyl- (9CI) (CA INDEX NAME)

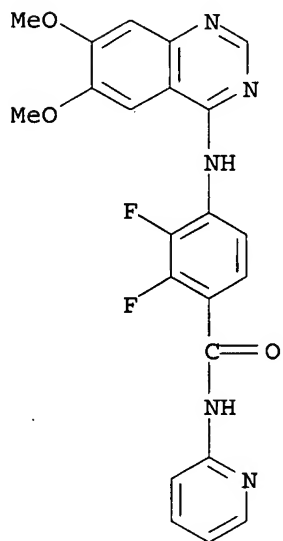


RN 179687-58-2 HCAPLUS

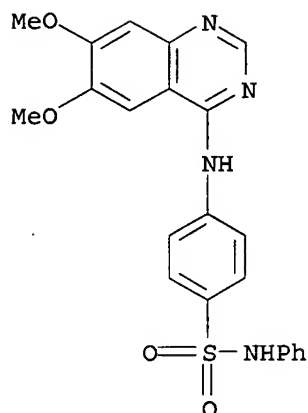
CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-fluoro-2-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 179687-59-3 HCAPLUS
 CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2,3-difluoro-N-2-pyridinyl- (9CI) (CA INDEX NAME)

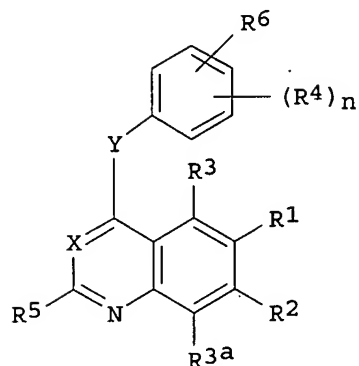


RN 179688-82-5 HCAPLUS
 CN Benzenesulfonamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-N-phenyl- (9CI) (CA INDEX NAME)



L24 ANSWER 18 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1996:462220 HCAPLUS
 DOCUMENT NUMBER: 125:114665
 TITLE: Preparation of quinoline and quinazoline protein tyrosine kinase inhibitors
 INVENTOR(S): Hudson, Alan Thomas; Vile, Sadie; Barraclough, Paul; Franzmann, Karl Witold; McKeown, Stephen Carl; Page, Martin John
 PATENT ASSIGNEE(S): Wellcome Foundation Limited, UK
 SOURCE: PCT Int. Appl., 139 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9609294	A1	19960328	WO 1995-GB2202	19950918 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9534824	A1	19960409	AU 1995-34824	19950918 <--
ZA 9507853	A	19970318	ZA 1995-7853	19950918 <--
EP 782570	A1	19970709	EP 1995-931351	19950918 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10505600	T2	19980602	JP 1995-509740	19950918 <--
PRIORITY APPLN. INFO.:				
			GB 1994-18852	A 19940919
			GB 1995-7788	A 19950413
			GB 1995-10757	A 19950526
			WO 1995-GB2202	W 19950918
OTHER SOURCE(S): MARPAT 125:114665				
GI				



I

AB The title compds. [I; X = N, CH; Y = W(CH₂), (CH₂)W, W; W = O, S(O)m, (un)substituted NH; R₁ = NH₂, H, halogen, OH, NO₂, CO₂H, CF₃, CF₃O, ureido, etc.; R₄ = H, OH, halogen, alkyl, alkoxy, alkylthio, CN, NO₂, CF₃, etc.; n = 1-3; R₅ = H, halogen, CF₃, alkyl, alkoxy; R₆ = substituted hydrocarbyl, etc.], which are protein tyrosine kinase inhibitors, are prepared Thus, 4-chloroquinoline was reacted with 4-methoxyaniline in the presence of HCl, producing 4-(4-phenoxyanilino)quinoline hydrochloride, m.p. 216-218°, which demonstrated a IC₅₀ against p56lck protein tyrosine kinase of 5 μM.

IT 179247-41-7P 179247-42-8P 179247-43-9P

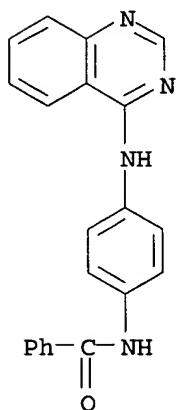
179247-44-0P 179247-53-1P 179247-55-3P

179247-58-6P 179248-04-5P 179248-05-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline and quinazoline protein tyrosine kinase inhibitors)

RN 179247-41-7 HCAPLUS

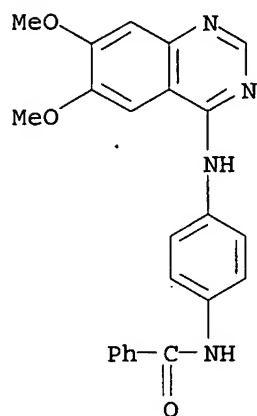
CN Benzamide, N-[4-(4-quinazolinylamino)phenyl]-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

RN 179247-42-8 HCAPLUS

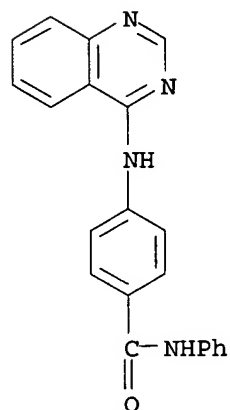
CN Benzamide, N-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 179247-43-9 HCAPLUS

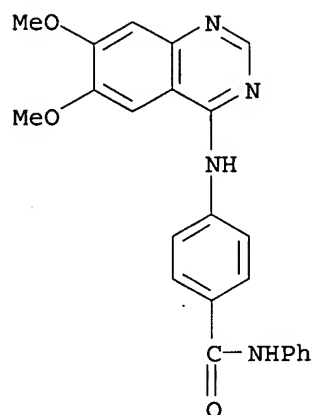
CN Benzamide, N-phenyl-4-(4-quinazolinylamino)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

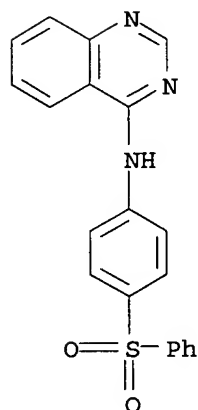
RN 179247-44-0 HCAPLUS

CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-N-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

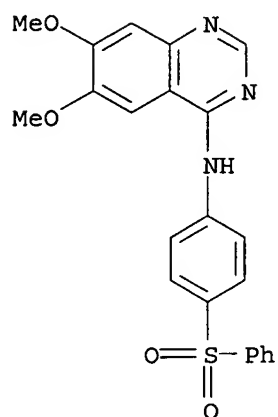


● HCl

RN 179247-53-1 HCAPLUS
CN 4-Quinazolinamine, N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

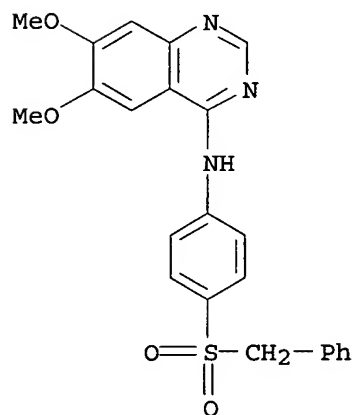


RN 179247-55-3 HCAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 179247-58-6 HCAPLUS

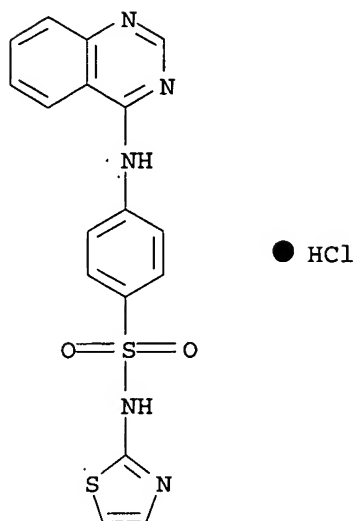
CN 4-Quinazolinamine, 6,7-dimethoxy-N-[4-[(phenylmethyl)sulfonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

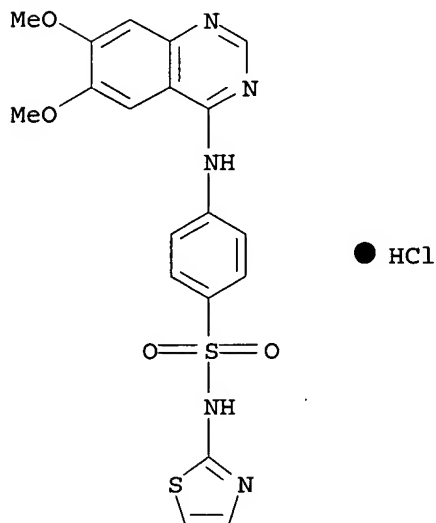
RN 179248-04-5 HCAPLUS

CN Benzenesulfonamide, 4-(4-quinazolinylamino)-N-2-thiazolyl-, monohydrochloride (9CI) (CA INDEX NAME)



RN 179248-05-6 HCAPLUS

CN Benzenesulfonamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-N-(2-thiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



L24 ANSWER 19 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:795361 HCAPLUS

DOCUMENT NUMBER: 124:29779

TITLE: 4-Aminoquinazoline derivatives as inhibitors of cGMP phosphodiesterase and TXA2 synthetase

INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.

CODEN: USXXAM

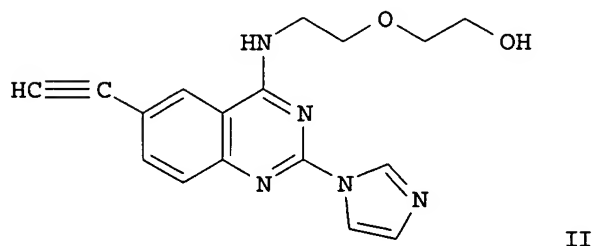
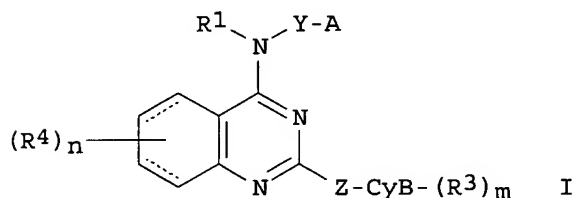
Searched by P. Ruppel

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5439895	A	19950808	US 1993-154691	19931119 <--
JP 06192235	A2	19940712	JP 1993-197039	19930714 <--
CA 2100626	AA	19940116	CA 1993-2100626	19930715 <--
AT 208771	E	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
PT 579496	T	20020531	PT 1993-93305557	19930715 <--
JP 08099962	A2	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.: US 1992-913473 B2 19920715
 US 1993-76431 B2 19930614

OTHER SOURCE(S): MARPAT 124:29779
 GI



AB The compds. of the formula I and acid addition salts thereof, salts thereof, and hydrates thereof wherein R1 is hydrogen or C1-4 alkyl; Y is C1-6 alkylene; A is OR0 or S(O)pR0, in which R0 is C1-4 alkyl-hydroxy; p is 0-2; Z is single bond, methylene, ethylene, vinylene or ethynylene; CyB is (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atom, one nitrogen atom, (4) 4- or 5-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, or (5) 4-7 membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms,

one or two oxygen atoms, or one or two sulfur atoms; R3 = e.g., H, C1-4 alkyl, C1-4 alkoxy; R4 = e.g., H, C1-4 alkyl, C1-4 alkoxy; and m and n independently are 1 or 2; with the proviso that (1) a CyB ring does not bond to Z through a nitrogen atom in the CyB ring when Z is vinylene or ethynylene, have inhibitory effect on cGMP-PDE, and addnl. on TXA2 synthetase. Thus, e.g., 2-(1-imidazolyl)-4-[2-(2-hydroxyethoxy)ethyl]amino-6-ethynylquinazoline.2HCl (II.2HCl) (prepared by desilylation of a silylacetylene precursor) exhibited inhibitory effect on cGMP-PDE and TXA2 synthetase with IC50 = 4.6×10^{-8} M and 1.33×10^{-6} M, resp. Pharmaceutical formulations were given.

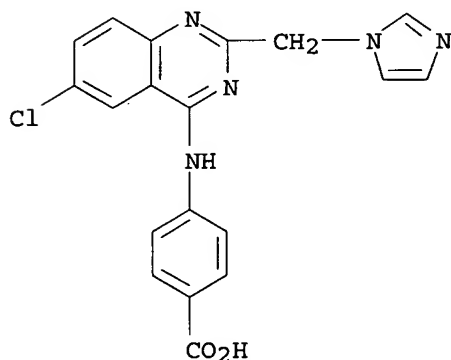
IT 171661-61-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(4-aminoquinazoline derivs. as inhibitors of cGMP phosphodiesterase and TXA2 synthetase)

RN 171661-61-3 HCAPLUS

CN Benzoic acid, 4-[[6-chloro-2-(1H-imidazol-1-ylmethyl)-4-quinazolinyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L24 ANSWER 20 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:608903 HCAPLUS

DOCUMENT NUMBER: 105:208903

TITLE: Quinazoline and cinnoline derivatives

INVENTOR(S): Boyle, John Terence Arnott; Todd, Richard Simon

PATENT ASSIGNEE(S): John Wyeth and Brother Ltd., UK

SOURCE: Brit. UK Pat. Appl., 13 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2160201	A1	19851218	GB 1985-14648	19850610 <--
GB 2160201	B2	19880511		
US 4640920	A	19870203	US 1985-744364	19850613 <--
GB 2168977	A1	19860702	GB 1985-30586	19851212 <--

Searched by P. Ruppel

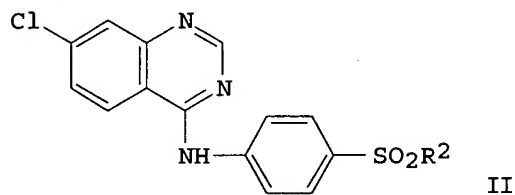
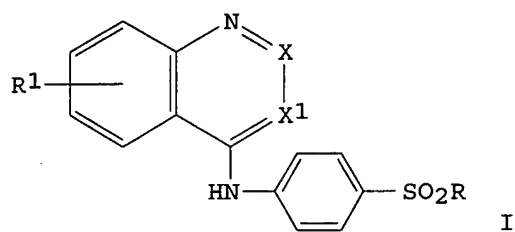
GB 2168977	B2	19871021		
US 4695574	A	19870922	US 1985-809996	19851217 <--
US 4734510	A	19880329	US 1986-916984	19861009 <--
GB 2191489	A1	19871216	GB 1987-16248	19870710 <--
GB 2191489	B2	19880511		
US 4808715	A	19890228	US 1988-141178	19880106 <--

PRIORITY APPLN. INFO.:

GB 1984-15174	19840614
GB 1984-32091	19841219
GB 1985-14648	19850610
US 1985-744364	19850613
US 1986-916984	19861009

OTHER SOURCE(S): CASREACT 105:208903

GI

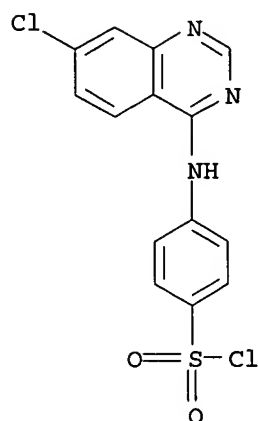


AB The title compds. (I; R = amino, substituted N-heterocycllyl; R1 = H, F3C; 1 of X, X1 = N, the other = CH) were prepared as antihypertensives. Thus, 4-H2NC6H4SO3H·H2O was condensed with 4,7-dichloroquinazoline to give (quinazolinylamino)benzenesulfonate II (R2 = OH). This was converted to the acid chloride and treated with H2NCH2CH2NEt2 t give II (R = NHCH2CH2NEt2) (III). In rats 0.03 mmol III/kg orally decreased blood pressure 33% after 6 h.

IT 105037-37-4P 105037-41-0P 105037-45-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and amidation of)

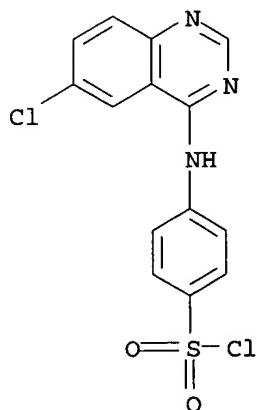
RN 105037-37-4 HCAPLUS

CN Benzenesulfonyl chloride, 4-[(7-chloro-4-quinazolinyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



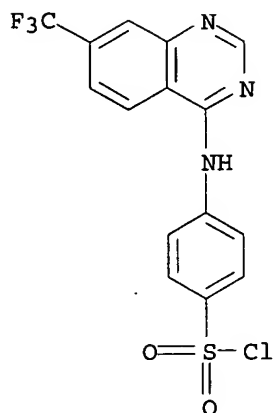
● HCl

RN 105037-41-0 HCAPLUS
 CN Benzenesulfonyl chloride, 4-[(6-chloro-4-quinazolinyl)amino]-,
 monohydrochloride (9CI) (CA INDEX NAME)



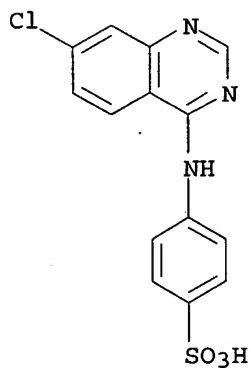
● HCl

RN 105037-45-4 HCAPLUS
 CN Benzenesulfonyl chloride, 4-[[7-(trifluoromethyl)-4-quinazolinyl]amino]-,
 monohydrochloride (9CI) (CA INDEX NAME)

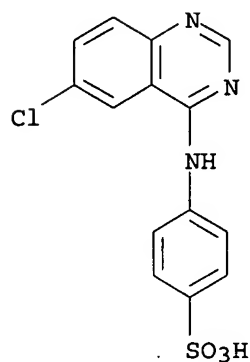


● HCl

IT 105037-36-3P 105037-40-9P 105037-44-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and conversion of, to acid chloride)
 RN 105037-36-3 HCAPLUS
 CN Benzenesulfonic acid, 4-[(7-chloro-4-quinazolinyl)amino]- (9CI) (CA INDEX
 NAME)

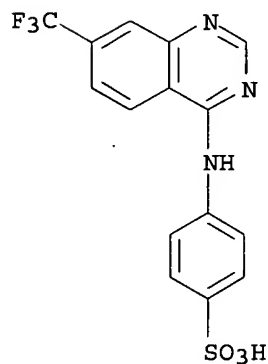


RN 105037-40-9 HCAPLUS
 CN Benzenesulfonic acid, 4-[(6-chloro-4-quinazolinyl)amino]- (9CI) (CA INDEX
 NAME)



RN 105037-44-3 HCAPLUS

CN Benzenesulfonic acid, 4-[[7-(trifluoromethyl)-4-quinazolinyl]amino]- (9CI)
(CA INDEX NAME)

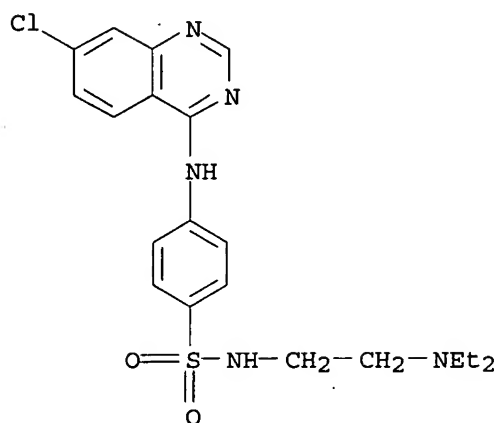


IT 105037-23-8P 105037-24-9P 105037-25-0P
105037-26-1P 105037-27-2P 105037-28-3P
105037-31-8P 105037-32-9P 105037-33-0P
105037-34-1P 105037-35-2P 105037-46-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

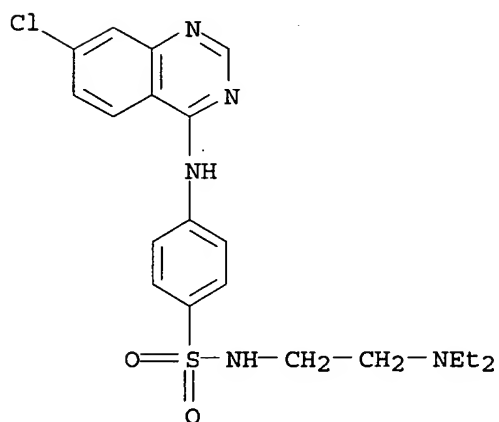
RN 105037-23-8 HCAPLUS

CN Benzenesulfonamide, 4-[(7-chloro-4-quinazolinyl)amino]-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)



RN 105037-24-9 HCAPLUS

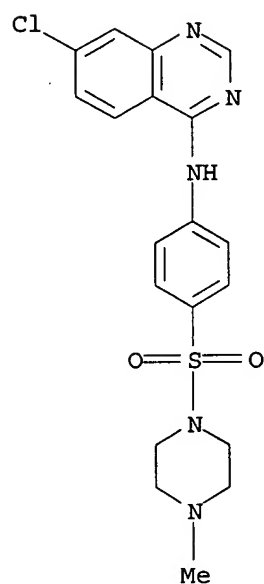
CN Benzenesulfonamide, 4-[(7-chloro-4-quinazolinyl)amino]-N-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



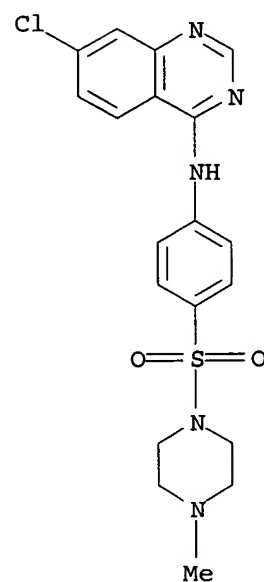
● HCl

RN 105037-25-0 HCAPLUS

CN Piperazine, 1-[[4-[(7-chloro-4-quinazolinyl)amino]phenyl]sulfonyl]-4-methyl-, (9CI) (CA INDEX NAME)

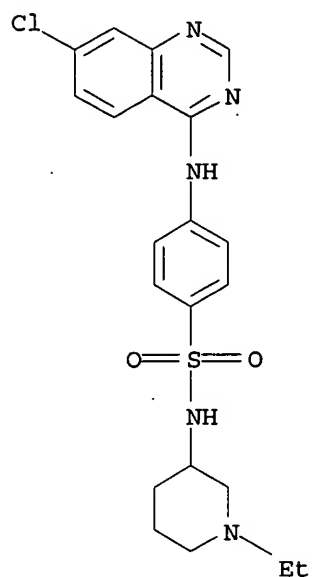


RN 105037-26-1 HCAPLUS
 CN Piperazine, 1-[[4-[(7-chloro-4-quinazolinyl)amino]phenyl]sulfonyl]-4-methyl-, hydrochloride (2:3) (9CI) (CA INDEX NAME)



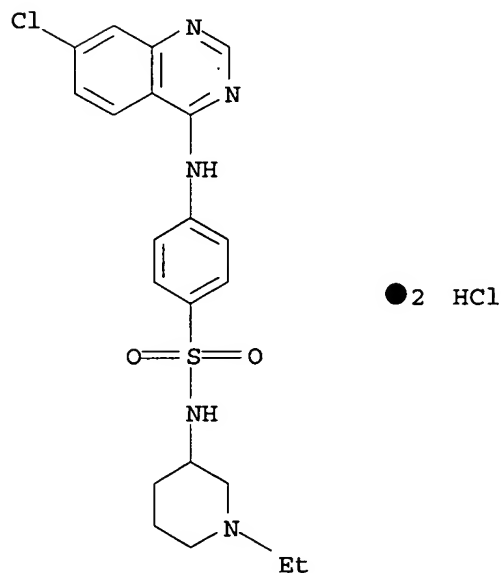
● 3/2 HCl

RN 105037-27-2 HCAPLUS
 CN Benzenesulfonamide, 4-[(7-chloro-4-quinazolinyl)amino]-N-(1-ethyl-3-piperidinyl)- (9CI) (CA INDEX NAME)



RN 105037-28-3 HCAPLUS

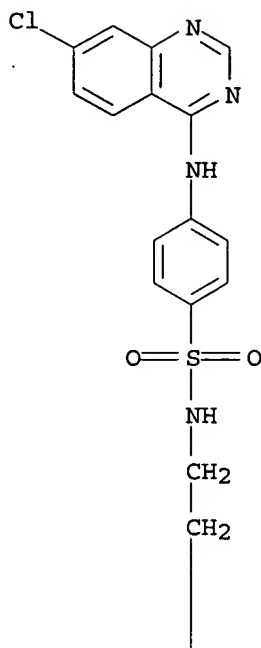
CN Benzenesulfonamide, 4-[(7-chloro-4-quinazolinyl)amino]-N-(1-ethyl-3-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



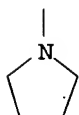
RN 105037-31-8 HCAPLUS

CN Benzenesulfonamide, 4-[(7-chloro-4-quinazolinyl)amino]-N-[2-(1-pyrrolidiny)ethyl]- (9CI) (CA INDEX NAME)

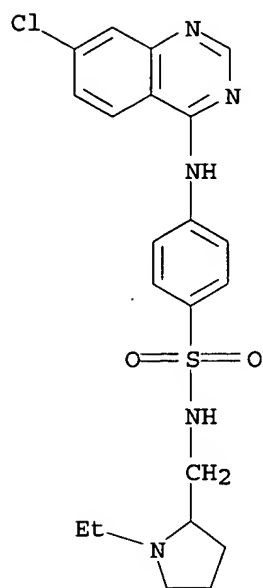
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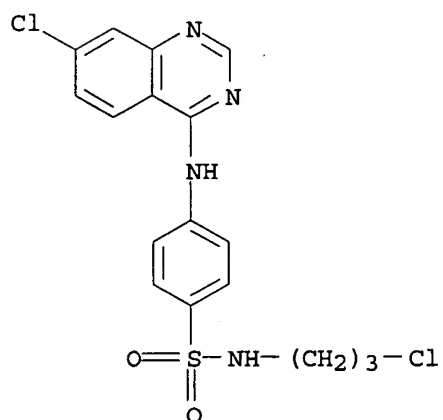
PAGE 2-A



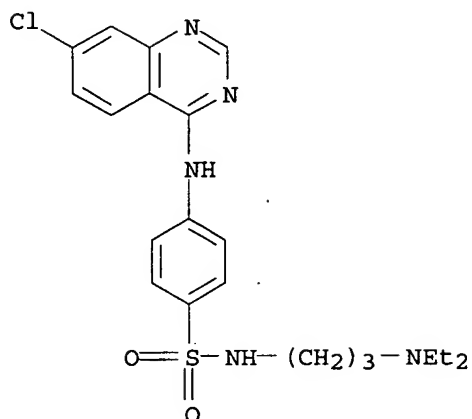
RN 105037-32-9 HCAPLUS
CN Benzenesulfonamide, 4-[(7-chloro-4-quinazolinyl)amino]-N-[(1-ethyl-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)



RN 105037-33-0 HCAPLUS
 CN Benzenesulfonamide, N-(3-chloropropyl)-4-[(7-chloro-4-quinazolinyl)amino]-
 (9CI) (CA INDEX NAME)

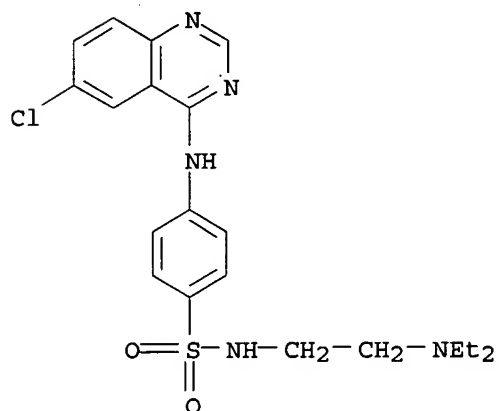


RN 105037-34-1 HCAPLUS
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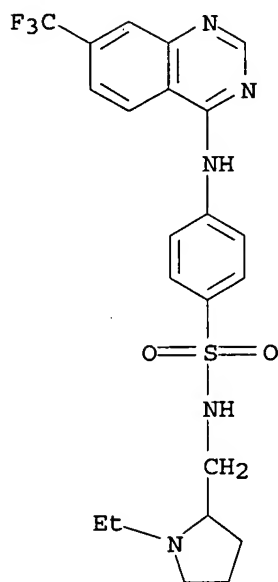
RN 105037-35-2 HCAPLUS

CN Benzenesulfonamide, 4-[(6-chloro-4-quinazolinyl)amino]-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)



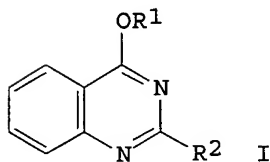
RN 105037-46-5 HCAPLUS

CN Benzenesulfonamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-4-[[7-(trifluoromethyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



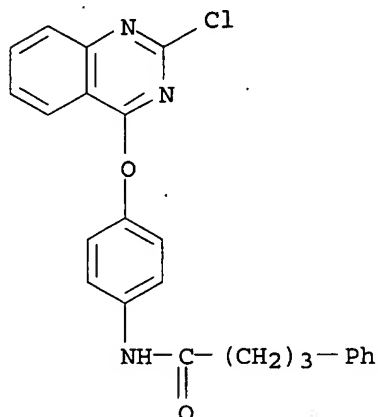
L24 ANSWER 21 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1976:543129 HCAPLUS
 DOCUMENT NUMBER: 85:143129
 TITLE: Ether derivatives of quinazoline
 INVENTOR(S): Serafin, Barbara; Modzelewski, Maciej; Kadlubowski, Rozcislaw; Kurnatowska, Alicja
 PATENT ASSIGNEE(S): Politechnika Warszawska, Pol.
 SOURCE: Pol., 2 pp.
 CODEN: POXXA7
 DOCUMENT TYPE: Patent
 LANGUAGE: Polish
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 78381	B	19750630	PL 1972-157193	19720809 <--
PRIORITY APPLN. INFO.: GI			PL 1972-157193	19720809



AB The (aryloxy)quinazolines I (R1 = C6H3Cl2-2,4, C6H4F-4, C6H4NO2-o, C6H4Cl-o, C6H3Cl2-3,5, C6H2Cl3-2,4,6, C6Cl5; R2 = Cl, C6H4Cl-o, C6H4NO2-o, C6H4Cl-p, C6H4Cl2-3,5, C6F5) were prepared by treating 2,4-dichloroquinazoline (II) with the appropriate phenol. Thus, 3.1 g Ph(CH2)3CONHC6H4OH-p was heated with 2.4 g I,i in dioxane containing Na to

give 4.1 g I [R1 = C6H4NHCO(CH2)3Ph, R2 = Cl].
 IT 60096-89-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 60096-89-1 HCAPLUS
 CN Benzenebutanamide, N-[4-[(2-chloro-4-quinazolinyl)oxy]phenyl]- (9CI) (CA
 INDEX NAME)



L24 ANSWER 22 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1966:36424 HCAPLUS
 DOCUMENT NUMBER: 64:36424
 ORIGINAL REFERENCE NO.: 64:6797h,6798a-c
 TITLE: Anthraquinone pigments
 PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik A.-G.
 SOURCE: 6 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 299516		19650825	NL	<--

PRIORITY APPLN. INFO.: DE 19590502

AB Pigments of the aminoanthraquinone series are obtained by the copolymn. of an acrylamidoanthraquinone with a suitable monomer. CH2:CMcCONH2 (I) (19 parts) and 1 part 1-amino-2-acetyl-4-acrylamidoanthraquinone (II) in 85 parts BuOH treated at 80-90° with 0.2 part [Me2C(CN)N:]2 (III) in 5 parts BuOH, stirred 7 h. at 80-90°, treated with an addnl. 0.2 part III in 5 parts BuOH, and stirred 6 h. gave 20 parts deep blue pigment powder. CH2:CMcCO2Me (19.5 parts), 0.5 part II, and 0.2 part III gave similarly during 7 h. at 80° a blue powder. I 19, 1-acrylamido-4-[(2-phenyl-4-quinazolyl)amino]anthraquinone, III 0.5, and BuOH 80 parts gave similarly 19.8 parts deep blue pigment. Styrene 29, 1-acrylamido-5-benzamidoanthraquinone 1, III 1.5, and N-methylpyrrolidone 120 parts heated 11 h. at 85° and diluted with 700 parts MeOH yielded 19.2 parts orange pigment. I 18, CH2:CHSO3H 1, II 1, III 0.5, and BuOH 120 parts heated 6.5 h. at 85-90° gave 19 parts deep blue powder. Butyrolactone (IV) 200, CH2:CHCl 100, 1-acrylamidoanthraquinone (V) 7.5, and condensation product (VI) 0.5 part of 95% pentaerythritol and 5% glycerol with 4-5 mol equivs. epichlorohydrin and 0.2 part Bz2O2 heated 33

h. at 55° yielded an orange pigment. CH₂:CCl₂ 80, V 6, VI 0.6, Bz202 0.5, and IV 200 parts treated 30 h. at 65-70° with a stream of N gave 36 parts yellow pigment. I 18, V 1.5, 4-acrylamidoanthraquinone-1(N)-2-benzacridone 0.5, and HCONMe₂ 100 parts stirred 2 h. at 85-90° with 0.5 part III in 10 parts HCONMe₂ yielded 8.8 parts green pigment.

IT 618858-40-5, Acrylamide, N-[4-[(2-phenyl-4-quinazolinyl)amino]-1-anthraquinonyl]-, polymer with methacrylamide
(pigments from)

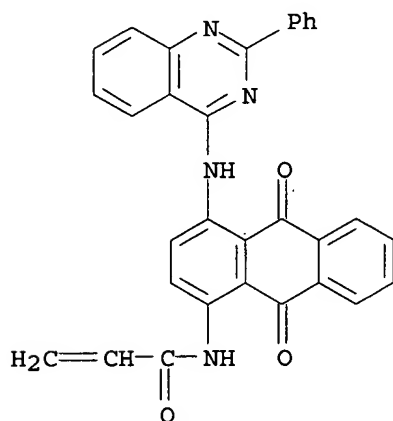
RN 618858-40-5 HCAPLUS

CN Acrylamide, N-[4-[(2-phenyl-4-quinazolinyl)amino]-1-anthraquinonyl]-, polymer with methacrylamide (7CI) (CA INDEX NAME)

CM 1

CRN 5003-45-2

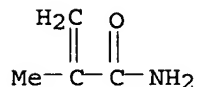
CMF C31 H20 N4 O3



CM 2

CRN 79-39-0

CMF C4 H7 N O



L24 ANSWER 23 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1963:422238 HCAPLUS

DOCUMENT NUMBER: 59:22238

ORIGINAL REFERENCE NO.: 59:4075a-d

TITLE: Reactive dyes containing a chloroquinoxaline group

INVENTOR(S): Jirou, Marcel; Brouard, Claude; Bouvet, Pierre

PATENT ASSIGNEE(S): Compagnie Francaise des Matieres Colorantes

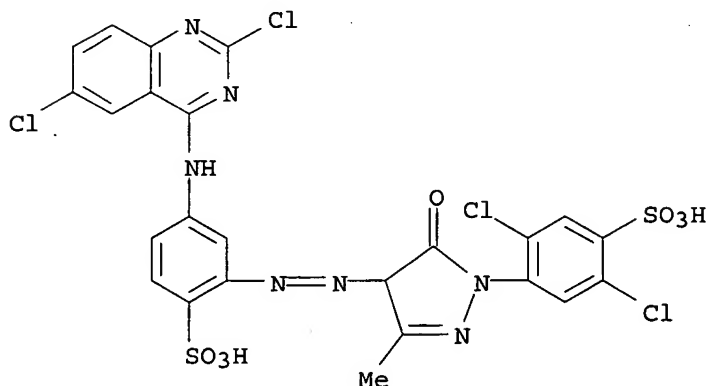
SOURCE: 15 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

PATENT INFORMATION:

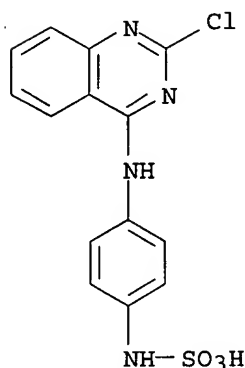
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	FR 1308044		19621102	FR	19610922 <--
	BE 621643			BE	
AB	<p>Azo, phthalocyanine, and anthraquinone dyes containing a 2-chloro, 2,4- or 2,6-dichloroquin-azoline group and suitable for dyeing cotton and wool were prepared. Thus, 1,8,3,6-H₂N(HO)C₁₀H₄(SO₃H)₂ (I) 17 was dissolved in H₂O 100 with 30% NaOH, NaOAc 14 and AcOH were added to give pH 6.5-7, 6-nitro-2,4-dichloroquinazoline 14 and EtOH 20 parts were added, the mixture heated to 50-5°, cooled, filtered, and dried at 40° in vacuo to yield a yellow dye, fixed on cotton by an alkaline after-treatment at 100-50°. 6-Amino-2,4-dichloroquinazoline 5.35 was diazotized, coupled with 1,8,3,6-AcNH(HO)C₁₀H₄(SO₃H)₂ 10 in H₂O 100 and NaHCO₃ 8.4 parts, and salted to give a red dye. I 17 dissolved in H₂O 200 with NaOH, 40% AcOH added to give pH 7, 2,4,6-trichloroquin-azoline (II) 14 and EtOH 40 parts added, the mixture heated to 60-5°, and cooled to yield 1-[(2,6-dichloro-4-quinazolinyl)-amino]-8-naphthol-3,6-disulfonic acid, which dissolved in H₂O 300 with NaHCO₃ and coupled neutral with diazotized 2-HO₃-SC₆H₄NH₂ 8.65 parts to yield a red dye. 1,3,6-(H₂N)2C₆H₃SO₃H 18.8 dissolved in alkaline H₂O 200, NaOAc 30 and 40% AcOH added to pH 6.7-7, II 28 and EtOH 40 parts added, heated to 60-5°, cooled, filtered, and the condensation product 20 dissolved in H₂O 500, diazotized and coupled neutral with 1-(2,5-dichloro-4-sulfo-phenyl)-3-methyl-5-pyrazolone 16.2 in H₂O 150 parts, and filtered gave a greenish yellow dye for printing cotton. 4,8,2-(HO₃S)2C₁₀H₅N:NC₆H₃(NH₂)Me-4,2 (III) 20 dissolved in alkaline 20 300, NaOAc 15 added, condensed with II 14 parts at 60-5°, and cooled gave a reddish yellow dye for wool. Similarly, 1-(3-aminophenyl)-3-methyl-4-(2,5-disulfo-phenylazo)-5-pyrazolone 22.6 and II 14 parts gave a yellow dye; the Cu complex of 2,5,7,6-H₂N(HO)(HO₃S)C₁₀H₄N:NC₆H₃(OH)SO₃H-2,5 25 and II 14 parts gave a red dye; 1-amino-4-(4-amino-3-sulfo-anilino)-2-anthraquinonesulfonic acid 24.5 and II 14 parts gave a blue dye; III 20 and 2,4-dichloroquinazoline-6-sulfonyl chloride 15 parts, and the SO₂Cl group hydrolyzed, gave a reddish yellow dye.</p>				
IT	96761-90-9, Sulfanilic acid, N-(2,6-dichloro-4-quinazolinyl)-2-[[1-(2,5-dichloro-4-sulfo-phenyl)-3-methyl-5-oxo-2-pyrazolin-4-yl]azo]- (preparation of)				
RN	96761-90-9 HCAPLUS				
CN	Sulfanilic acid, N-(2,6-dichloro-4-quinazolinyl)-2-[[1-(2,5-dichloro-4-sulfo-phenyl)-3-methyl-5-oxo-2-pyrazolin-4-yl]azo]- (7CI) (CA INDEX NAME)				



L24 ANSWER 24 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1962:463342 HCAPLUS
 DOCUMENT NUMBER: 57:63342
 ORIGINAL REFERENCE NO.: 57:12670a-e
 TITLE: Azo dyes
 INVENTOR(S): Barker, Peter W.; Hunter, James S.; Waite, Frederick A.
 PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
 SOURCE: 11 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	GB 892323		19620328	GB	19590814 <--
GI	For diagram(s), see printed CA Issue.				
AB	<p>Sulfamic acid derivs. of the general formula I are diazotized and treated with coupling components to give yellow to red dyes for cotton. Thus, 18.8 parts 4-H₂NC₆H₄NHSO₃H (II) is condensed with 18.6 parts cyanuric chloride (III) at pH 7. A solution of HN(CH₂CH₂OH)₂ 10.5 in H₂O 50 is added, the mixture is stirred for 2 hrs. at 35-40°, then for 20 hrs. at 45-50° at pH 7 to give I, X = N(CH₂CH₂OH)₂, R = Y = Z = H (IV). Similarly, I are prepared (compound number, X, Y, Z, R given): V, Cl, H, H, H; VI, MeO, H, H, H; VII, Cl, Cl, H, H; VIII, PhNH, H, H, H; IX, benzothiazol-2-yl-thio, H, H, H; X, Cl, MeO, Me, H; XI, Cl, H, H, Me. An isomer (XII) of V is prepared from III and 3-H₂NC₆H₄ NHSO₃H. Analogs of I (XIII, XIV, and XV) are prepared from II and 2,4,6-trichloropyrimidine, 2,4-dichloro-5-cyanopyrimidine, and 2,4-dichloroquinazoline, resp. Diazotized IV coupled with p-MeC₆H₄OH (XVI) gave a 37.3% yield of yellow dye [82.2% yield when IV was diazotized in the presence of poly(glycerol ricinoleate)]. Similarly, other dyes were prepared (diazo component, coupling component, % yield, and shade given): XIII, XVI, 66.7, yellow; V, 1-C₁₀H₇NHCH₂CH₂OH, 47, red; V, m-C₆H₄(OH)₂, 50.3, orange; V, 2,6-ClCH₂COC₁₀H₆OH, 43.3, red; VI, XVI, 58, yellow; XII, 1,8,3,6-AcNH(HO)C₁₀H₄(SO₃H)₂ (XVII), 73.4, red; VII, 1-phenyl-3-methyl-5-pyrazolone, (XVIII), 70.5, yellow; XIV, XVI, 32.7, yellow; VIII, 1,2,6-H₂N(MeO)C₁₀H₅SO₃H, 59.3, red; IX, 4'-SO₃H derivative of XVIII, 63.2, yellow; XV, XVII, 44.5, red; X, PhNHCOCH₂Ac, 60.8, greenish yellow; XI, XVI, 54.9, yellow; IV, m-MeC₆H₄N(CH₂CH₂OH)₂, 62.9, orange; IV, 2,5,7,1-H₂N(HO)(HO₃S)C₁₀H₄N: NC₆H₄SO₃H-2, 63.3, brown.</p>				
IT	93309-34-3, Sulfamic acid, [p-[(2-chloro-4-quinazolinyl)amino]phenyl]-(preparation of)				
RN	93309-34-3 HCAPLUS				
CN	Sulfamic acid, [p-[(2-chloro-4-quinazolinyl)amino]phenyl]-(7CI) (CA INDEX NAME)				



L24 ANSWER 25 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1961:5198 HCAPLUS

DOCUMENT NUMBER: 55:5198

ORIGINAL REFERENCE NO.: 55:1009g-i,1010a-d

TITLE: Vat dyes for dyeing fibers, fabrics, and other structures consisting of high molecular weight substances containing carboxamide groups

INVENTOR(S): Ebel, Friedrich; Schuhmacher, Alfred; Kling, Karl E.

PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik Akt.-Ges.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

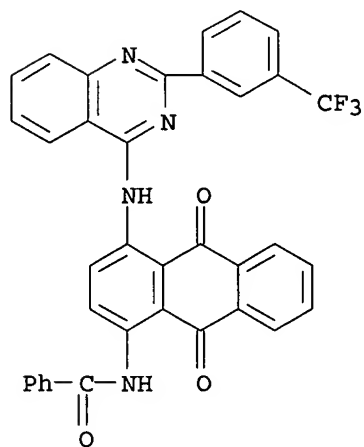
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1046565		19581218	DE	<--

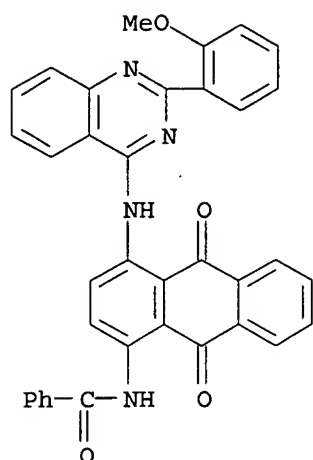
AB The dyes are 4-aminoquinazolines with the amino group substituted by a vatable radical and the 2-position substituted by a vatable or nonvatable radical. They are prepared by reaction of vatable ring systems containing NH₂ groups with monohaloquinazolines or their derivs. having the halogen atoms in the 4-position. Thus, the following 4-chloroquinazolines were prepared as intermediates for the preparation of these dyes (color and m.p. given): 2-(o-chlorophenyl) (I) (colorless, 124-5°); 2-(2,4-dichlorophenyl) (II) (colorless 133-4°); 2-(m-trifluoromethylphenyl) (III) (colorless 86-8°); 2-(p-methoxyphenyl) (IV) (colorless 125.5-6.5°); 2-(o-methoxyphenyl) (V) (colorless 100-1°); and 2-(anthraquinonyl) (VI) (yellow 276-8°). Thus, stirring at 180° a mixture of 107 parts of 2-phenyl-4-chloroquinazoline (VII), 114.5 parts of 1-amino-5-chloroanthraquinone (VIII), and 1700 parts of PhNO₂ for 2 hrs., cooling, filtering, washing with MeOH, and drying gives 132 parts of red crystals. It dyes poly(hexamethylenediammonium adipate) (IX) fibers yellow orange shades. Similarly, vat dyes were prepared from the following components (shades on polyamides given): 2-aminoanthraquinone (X) and VII yellow; X and I, yellow; X and V, yellow; X and III, yellow; X and IV, yellow; 1-aminoanthraquinone (XI) and VII, yellowish orange; XI and I, yellowish orange; XI and V, yellow; XI and III, yellowish orange; XI and II, yellowish orange; XI and IV, yellowish orange; 8-amino-4-benzamidoanthraquinone (XII) and VII, orange; XII and I, orange; XII and V, orange; XII and III, orange; XII and II, orange; XII and IV, orange; 1-amino-4-benzamidoanthraquinone (XIII) and VII, claret: XIII and I,

claret; XIII and V, claret; XIII and III, claret; XIII and II, claret; XIII and IV, claret; VIII and I, orange; VIII and V, yellowish orange; VIII and III, orange; VIII and II, orange; VIII and IV, orange; 1-amino-6-chloroanthraquinone (XIV) and VII, yellowish orange; XIV and V, yellowish orange; 1-amino-6,7-dichloroanthraquinone (XV) and VII, yellowish orange; XV and V, yellowish orange; 1-amino-4-chloroanthraquinone (XVI) and VII, orange; XVI and I, orange; 1,4-diamino-2-acetylanthraquinone (XVII) and VII, blue; XVII and I, blue; XVII and V, greenish blue; XVII and III, blue; XVII and II, blue; XVII and IV, blue; 4-amino-2,1(N)-1',2'(N)-benzacridone (XVIII) and VII, turquoise blue; XVIII and III, grayish blue; 1-amino-4-methoxyanthraquinone (XIX) and VII, red; XIX and I, red; XIX and V, red; XIX and III, red; XIX and II, red; XIX and IV, red; VI and XII with II and XII, brown; VI and XIII, dark brown; VI and XIII with II and XIII, reddish brown; VI and 5-benzamido-7-chloro-8-aminoanthraquinone, reddish brown; X, II, and XII, gray brown; XI, II, and XII, brown; VI and 7-chloro-8-amino-4-benzamidoanthraquinone pale red brown; and XII, II, and XII, dark claret.

IT 7604-25-3, Anthraquinone, 1-benzamido-4-{[2-(α,α,α -trifluoro-m-tolyl)-4-quinazolinyl]amino}-
104508-88-5, Anthraquinone, 1-benzamido-4-{[2-(o-methoxyphenyl)-4-quinazolinyl]amino}- 104509-84-4, Anthraquinone,
1-benzamido-4-{[2-(p-methoxyphenyl)-4-quinazolinyl]amino}-
108520-31-6, Anthraquinone, 1-{[2-(anthraquinonyl)-4-quinazolinyl]amino}-4-benzamido- 108520-59-8, Anthraquinone,
1-{[2-(anthraquinonyl)-4-quinazolinyl]amino}-4-benzamido-2-chloro-
117875-03-3, Anthraquinone, 1-benzamido-4-{[2-phenyl-4-quinazolinyl]amino}-
(preparation of)
RN 7604-25-3 HCAPLUS
CN Anthraquinone, 1-benzamido-4-{[2-(α,α,α -trifluoro-m-tolyl)-4-quinazolinyl]amino}- (6CI, 8CI) (CA INDEX NAME)

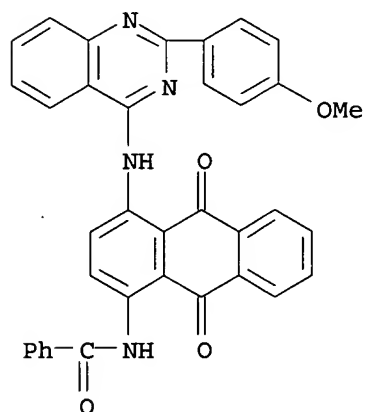


RN 104508-88-5 HCAPLUS
CN Anthraquinone, 1-benzamido-4-{[2-(o-methoxyphenyl)-4-quinazolinyl]amino}-
(6CI) (CA INDEX NAME)



RN 104509-84-4 HCAPLUS

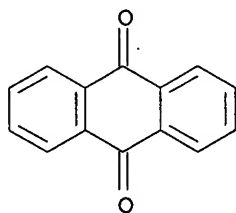
CN Anthraquinone, 1-benzamido-4-[[2-(p-methoxyphenyl)-4-quinazolinyl]amino]-
(6CI) (CA INDEX NAME)



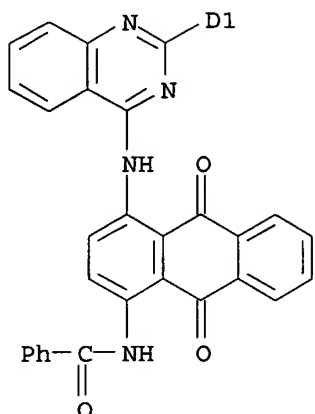
RN 108520-31-6 HCAPLUS

CN Anthraquinone, 1-[[2-(anthraquinonyl)-4-quinazolinyl]amino]-4-benzamido-
(6CI) (CA INDEX NAME)

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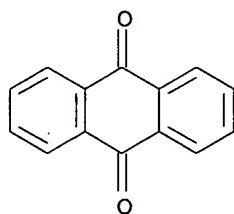


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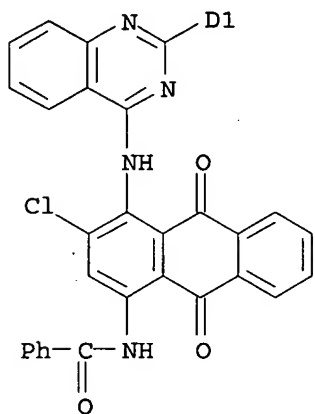


RN 108520-59-8 HCAPLUS
CN Anthraquinone, 1-[[2-(anthraquinonyl)-4-quinazolinyl]amino]-4-benzamido-2-chloro- (6CI) (CA INDEX NAME)

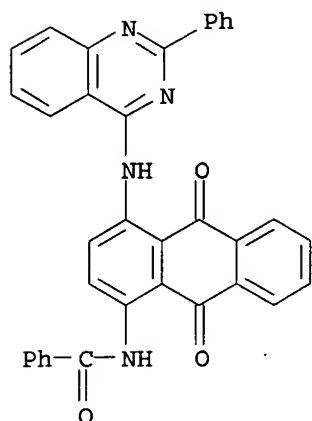
PAGE 1-A



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RN 117875-03-3 HCAPLUS
CN Anthraquinone, 1-benzamido-4-[(2-phenyl-4-quinazolinyl)amino]- (6CI) (CA INDEX NAME)



L24 ANSWER 26 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1957:103388 HCAPLUS
 DOCUMENT NUMBER: 51:103388
 ORIGINAL REFERENCE NO.: 51:18631e-i,18632a
 TITLE: Anthraquinone vat dyes
 INVENTOR(S): Holbro, Theodor; Kern, Walter
 PATENT ASSIGNEE(S): C I B A Ltd.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2792384		19570514	US	<--
CH 327728			CH	
DE 1070315			DE	
DE 1156527			DE	
GB 802681			GB	

GI For diagram(s), see printed CA Issue.

AB Anthraquinone compds. of the formula I were prepared, where X is O, NH, N-alkyl, or N-aryl, R is a substituted benzene or naphthalene radical, and A is an acyl radical of an aromatic dicarboxylic acid or s-triazinyl radical. 1-Amino-4-nitro-2-anthraquinonecarboxylic acid chloride 330 and 2-H₂NC₆H₄OH (II) 114 in dry O-C₆H₄Cl₂ (III) 5200 parts are treated with pyridine (IV) 100 parts. The mixture is stirred 5 hrs. at 65°, the precipitate filtered off, washed with III, and steam distilled to give N-(o-hydroxyphenyl)-1-amino-4-nitro-2-anthraquinonecarboxamide (V), orange-brown, m. 275° (decomposition). V 201.5 is boiled for 1 hr. with p-MeC₆H₄SO₃H.H₂O 10 and C₆H₃Cl₃ 3000 parts (H₂O and some C₆H₃Cl₃ distil off). The mixture is cooled and the precipitate filtered off, washed with C₆H₃Cl₃, C₆H₆, and EtOH to give 2-(1-amino-4-nitro-2-anthraquinonyl)benzoxazole (VI), m. 315°. VI 150 parts, suspended with stirring in IV, is treated at the b.p. during 15 min. with N₂H₄.H₂O 47 parts, the mixture is boiled for 1 hr., cooled, and the precipitate filtered off to give the 4-H₂N analog (VII) of VI, m. 300°. VII 35.5 in dry PhNO₂ 600 is treated with BzCl 17 and dry IV 10 parts; the mixture is stirred for 4 hrs. at 65°, the precipitate is filtered off and washed with PhNO₂ and EtOH to give the 4-BzNH analog of VI (VIII), dyeing cotton from a claret-colored vat in blue-violet shades. VIII was also obtained by condensing

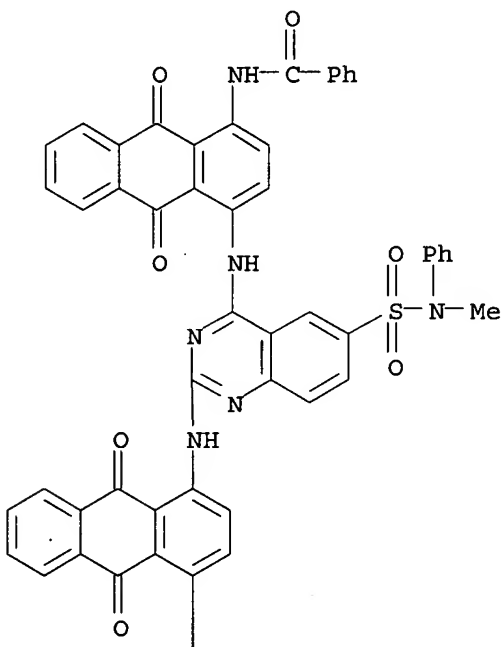
1-amino-4-benzamido-2-anthraquinonecarboxylic acid chloride with II followed by ring closure. Similarly were prepared the following I (anthraquinone(s) condensed, acid chloride used, dyeing shade on cotton given): VII, m-C₆H₄(COCl)₂ (IX), blue-violet; VII and 4-aminoanthraquinone-1-(N), 2-benzacridone, IX, blue; VII, cyanuric chloride, gray-blue (replacing the last Cl group with H₂N gives a product dyeing cotton in more greenish shades); VII, 2-methyl-4,6 dichloro-s-triazine, reddish blue.

IT 119039-41-7, 6-Quinazolinesulfonanilide, 2,4-bis[4-benzamido-1-anthraquinonylamino]-N-methyl-
(preparation of)

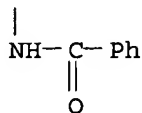
RN 119039-41-7 HCAPLUS

CN 6-Quinazolinesulfonanilide, 2,4-bis(4-benzamido-1-anthraquinonylamino)-N-methyl- (6CI) (CA INDEX NAME)

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L24 ANSWER 27 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1957:79239 HCAPLUS

DOCUMENT NUMBER: 51:79239

ORIGINAL REFERENCE NO.: 51:14280a-e

TITLE: Vat dyes of the anthraquinone series

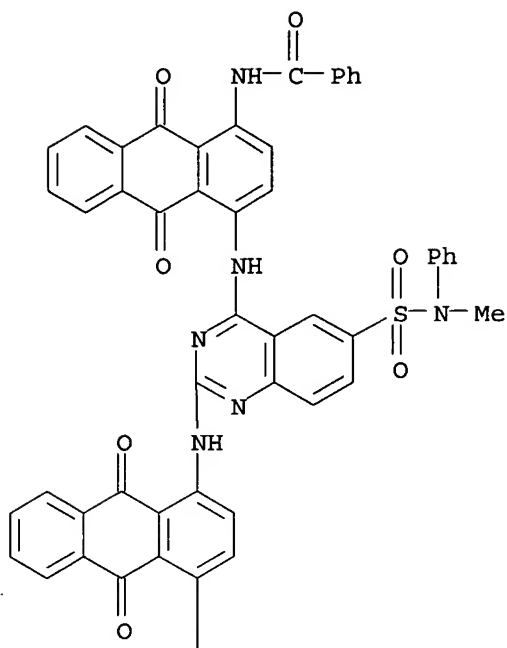
PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik Akt.-Ges.

Searched by P. Ruppel

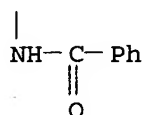
DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	GB 771347		19570327	GB	<---
AB	<p>Clear and very fast shades of color can be obtained on natural or synthetic cellulose or polyamides by dyes made by treating 2 moles of identical or different anthraquinones (I) with 1 mole of a 2,4-dihaloquinazoline, containing a sulfonamide or CF₃ group and which may contain further halogen atoms. A mixture of 2,4-dichloro-6-(dimethylsulfamoyl)quinazoline (II), m. 161-2°, 10.8, 1-amino-5-benzamino-I (III) 24, and PhNO₂ 450 parts is heated and stirred 5 hrs. at 160°. Red-orange needles 21.5 parts are obtained which dye cotton from an olive vat in clear orange shades of very good fastness properties. In a similar fashion the following intermediates produce the listed vat colors and dyeings: 1-amino-4-benzamido-I (IV), II, olive, ruby-red; 2,4-dichloro-6-methylphenylsulfamoylquinazoline (V), III, red-brown, orange; IV, V, ruby-red, ruby-red; 2-amino-I (VI), II, red, clear yellow; V, VI, red, yellow; V, 1-amino-I (VII), red-brown, yellow-orange; 1-amino-5-chloro-I (VIII), V, brown, orange; 1-amino-6-chloro-I (IX), II, red-brown, orange; II, 1-amino-4-methoxy-I, (X), brown-red, neutral red; V, X, brown, neutral red; III, 2,4,6-trichloro-8-dimethylsulfamoylquinazoline (XI), brown, orange; IV, XI, olive, ruby-red. X, XI, red-brown, red; VI, XI, brown-red, orange; VII, XI, brown-red, golden-orange; 2,4-dichloro-7-(trifluoromethyl)quinazoline (XII), VI, red-brown, yellow; VII, XII, red-brown, yellow-orange; III, XII, brown-violet, orange; VIII or IX, XII, red-brown, orange; X, XII, orange, red; IV, XII, brown-violet, ruby-red; XII, 3-trifluoromethyl-7-amino-5,6-phthaloylacridanone (XIII), violet, greenish blue; XII, 1,4-diamino-2-acetyl-I (XIV), olive, blue; II, XIV, brown-olive, blue; 2-chloro-4-(1-anthraquinonylamino)-6-(methylphenylsulfamoyl)-quinazoline (XV) (made by heating V 40, VII, 66, PhOH 40, and toluene 1000 parts to 70° for 12 hrs., cooling, filtering, and washing with C₆H₆ and cyclohexane), IV, red, red-brown; III, XV, -, red-orange; 2-chloro-7-(trifluoromethyl)-4-(1-anthraquinonylamino)quinazoline (XVI) (made by heating VII 40, XII 48, PhOH 80, and toluene 1000 parts 7 hrs. to 70°) XIV, olive, gray; XVI, 2,4-dichloro-7-amino-5,6-phthaloylacridanone, -, gray.</p>				
IT	<p>119039-41-7, 6-Quinazolinesulfonanilide, 2,4-bis[4-benzamido-1-anthraquinonylamino]-N-methyl- (preparation of)</p>				
RN	<p>119039-41-7 HCAPLUS</p>				
CN	<p>6-Quinazolinesulfonanilide, 2,4-bis(4-benzamido-1-anthraquinonylamino)-N-methyl- (6CI) (CA INDEX NAME)</p>				

PAGE 1-A



PAGE 2-A



=> file home

FILE 'HOME' ENTERED AT 13:30:10 ON 08 APR 2004

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COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
0.21	421.55

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
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CA SUBSCRIBER PRICE

SESSION WILL BE HELD FOR 60 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 13:30:12 ON 08 APR 2004

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FILE 'HCAPLUS' ENTERED AT 15:07:16 ON 08 APR 2004

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FILE COVERS 1907 - 8 Apr 2004 VOL 140 ISS 15

FILE LAST UPDATED: 7 Apr 2004 (20040407/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

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L3          72 SEA FILE=HCAPLUS ABB=ON PLU=ON L2
L4          61 SEA FILE=HCAPLUS ABB=ON PLU=ON L3 AND PD<=2002
L5          36 SEA FILE=HCAPLUS ABB=ON PLU=ON L4 AND P/DT
L9          49 SEA FILE=HCAPLUS ABB=ON PLU=ON L3 AND PD<=2000
L10         27 SEA FILE=HCAPLUS ABB=ON PLU=ON L9 AND P/DT
L18         9 SEA FILE=HCAPLUS ABB=ON PLU=ON L5 NOT L10
    
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L18 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:869496 HCAPLUS

DOCUMENT NUMBER: 137:363033

TITLE: Peptidomimetic modulators of cell adhesion

INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenjian

PATENT ASSIGNEE(S): Can.

SOURCE: U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002168761	A1	20021114	US 2001-769145	20010124 <--
US 2004058864	A1	20040325	US 2003-412701	20030410

Searched by P. Ruppel

US 2004006011 A1 20040108 US 2003-425557 20030428
PRIORITY APPLN. INFO.: US 2000-491078 A2 20000124

US 1996-21612P P 19960712
US 1997-893534 A1 19970711
US 2000-507102 A1 20000217
US 2001-769145 B1 20010124
US 2001-6982 A2 20011204

OTHER SOURCE(S): MARPAT 137:363033

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

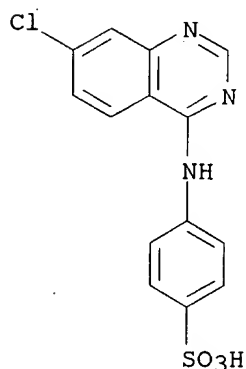
IT 105037-36-3, Benzenesulfonic acid, 4-[(7-chloro-4-quinazolinyl)amino]-

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

RN 105037-36-3 HCAPLUS

CN Benzenesulfonic acid, 4-[(7-chloro-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



L18 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:849617 HCAPLUS

DOCUMENT NUMBER: 137:370101

TITLE: Preparation of quinoline derivatives having azolyl group and quinazoline derivatives as antitumor agents

INVENTOR(S): Kubo, Kazuo; Sakai, Teruyuki; Nagao, Rika; Fujiwara, Yasunari; Ioe, Toshiyuki; Hasegawa, Kazumasa

PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

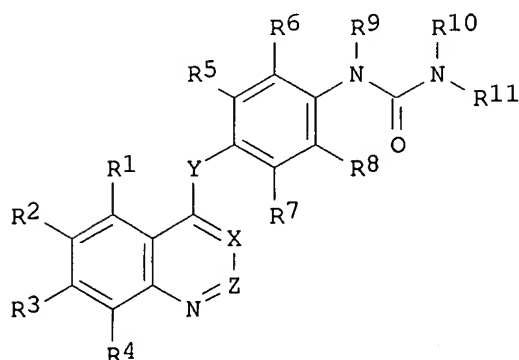
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2002088110	A1	20021107	WO 2002-JP4279	20020426 <--
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JP 2003012668	A2	20030115	JP 2002-126869	20020426
US 2003087907	A1	20030508	US 2002-132473	20020426
EP 1382604	A1	20040121	EP 2002-724651	20020426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003004595	A	20031219	NO 2003-4595	20031014
PRIORITY APPLN. INFO.:			JP 2001-132775	A 20010427
			WO 2002-JP4279	W 20020426
OTHER SOURCE(S):			MARPAT 137:370101	
GI				



I

AB N-[(4-quinolinyl or 4-quinazolinyl)thio or -oxy]phenyl-N'-azolyurea derivs. represented by the formula (I) or pharmaceutically acceptable salts or solvates thereof [wherein X, Z = CH, N; Y = O, S; R1, R2, R3 = H, NO2, NH2, each (un)substituted C1-6 alkyl or alkoxy or C2-6 alkenyl or alkynyl; R4 = H; R5-R8 = H, halo, C1-4 alkyl, alkoxy, or alkylthio, CF3, NO2, NH2; R9, R10 = C1-6 alkyl, each (un)substituted C1-4 alkylcarbonyl or C1-6 alkyl; R11 = (un)substituted azolyl] are prepared These compds. are useful for the treatment of tumor, diabetic retinopathy, chronic articular rheumatism, psoriasis, atherosclerosis, and Kaposi's sarcoma. They are also used for inhibiting neovascularization of a target blood vessel by contacting them with vascular endothelial cells of the target blood vessel. Thus, 100 mg 2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]aniline was dissolved in 5 mL CHCl3 and 0.5 mL Et3N, treated with a solution of 100 mg triphosgene in CHCl3, and stirred at room temperature for 15 min, followed by adding 49 mg 2-aminothiazole, and the

resulting mixture was stirred at room temperature overnight to give 31 mg N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(1,3-thiazol-2-yl)urea (II). II at 20 mg/kg/day for 9 days inhibited the growth of human lung cancer transplanted in nude mice by 92.0%. The compds. I in vitro showed IC50 of 0.001-0.0697 μ M for inhibiting the phosphorylation of the intracellular domain of human vascular endothelial cell growth factor (VEGF) receptor KDR (kinase insert domain-containing receptor) in IH3T3 cell expressing human KDR.

IT **475108-24-8P**, N-[4-[(6,7-Dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(5-methyl-3-isoxazolyl)urea

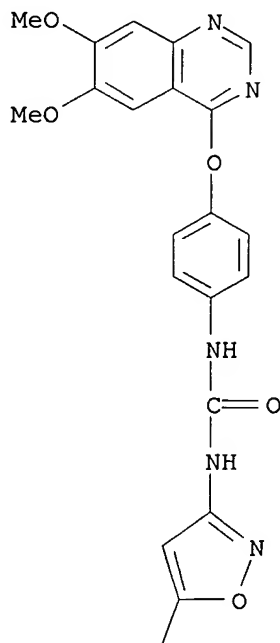
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[(4-quinolinyl or 4-quinazolinyl)oxy]phenyl-N'-azolyurea derivs. as neovascularization inhibitors for treatment of tumor, diabetic retinopathy, chronic articular rheumatism, psoriasis, atherosclerosis, and Kaposi's sarcoma)

RN 475108-24-8 HCAPLUS

CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(5-methyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

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REFERENCE COUNT:

24

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Searched by P. Ruppel

L18 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:566258 HCAPLUS

DOCUMENT NUMBER: 137:109061

TITLE: One-pot preparation of asymmetric ureas

INVENTOR(S): Maruo, Masafumi; Saito, Kenji; Soejima, Tadashi; Yoda, Josuke; Yoshida, Tetsu; Nakajima, Tatsuo

PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan; Sankyo Kasei Kogyo K. K.; Kirin Brewery Co., Ltd.

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

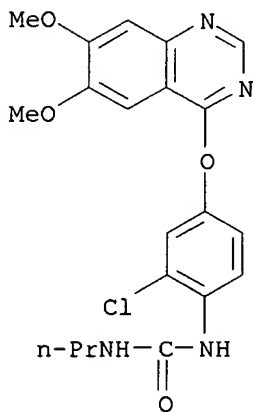
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

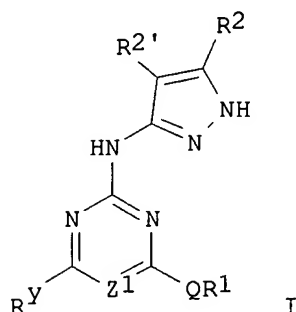
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002212160	A2	20020731	JP 2001-6945	20010115 <--
PRIORITY APPLN. INFO.:			JP 2001-6945	20010115
OTHER SOURCE(S): CASREACT 137:109061; MARPAT 137:109061				
<p>AB ArNHCONR1R2 [Ar = (un)substituted aryl, (un)substituted aromatic heterocyclyl; R1 = (un)substituted C1-12 alkyl, C7-12 aralkyl, aromatic heterocyclyl, (un)substituted aryl; R2 = H, (un)substituted C1-12 alkyl; R1R2N may form ring] are prepared by addition of pyridine-type bases and either ArNH2 (Ar = same as above) or NHR2R2 = (R1, R2 = same as above) to solvents, treating the mixts. with ClCO2Ph, and further treating with the other amines. Thus, ClCO2Ph was dropwise added to a mixture of THF, 2-aminopyridine, and pyridine at 20-30° over 70 min. Then, 1-propylamine was dropwise added to the reaction mixture at 20-30° over 1 h to give 83.5% 1-(2-pyridyl)-3-propylurea.</p>				
<p>IT 286370-15-8P RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (one-pot preparation of asym. ureas)</p>				
<p>RN 286370-15-8 HCAPLUS</p>				
<p>CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)</p>				



L18 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:487557 HCAPLUS
 DOCUMENT NUMBER: 137:57588
 TITLE: Pyrazole compounds useful as protein kinase inhibitors, and therapeutic use thereof
 INVENTOR(S): Golec, Julian; Pierard, Francoise; Charrier, Jean-Damien; Bebbington, David
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050066	A2	20020627	WO 2001-US49585	20011220 <--
WO 2002050066	A3	20030220		
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WO 2002066461	A1	20020829	WO 2001-US49139	20011219 <--
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WO 2002068415	A1	20020906	WO 2001-US50312	20011219 <--
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US 2003004161	A1	20030102	US 2001-26975	20011219
US 6653300	B2	20031125		
US 2003036543	A1	20030220	US 2001-25164	20011219
US 6664247	B2	20031216		
US 2003055068	A1	20030320	US 2001-26967	20011219
US 2003078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 2003105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219
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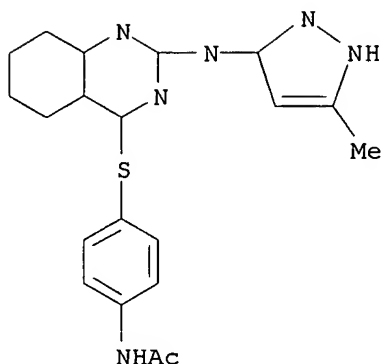
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 EP 1355905 A1 20031029 EP 2001-273861 20011219
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 AU 2002031166 A5 20020701 AU 2002-31166 20011220 <--
 US 2003004164 A1 20030102 US 2001-34683 20011220
 US 6656939 B2 20031202
 US 2003022885 A1 20030130 US 2001-34019 20011220
 EP 1345928 A2 20030924 EP 2001-991439 20011220
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 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 PRIORITY APPLN. INFO.: US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219
 WO 2001-US49585 W 20011220
 OTHER SOURCE(S): MARPAT 137:57588
 GI



AB The invention describes pyrazole compds. I [Z1 = N, CR8; Q = O, S, etc.; R1 = T-Ring D; T = valence bond, alkylidene chain; Ring D = 5-7-membered monocyclic ring, 8-10-membered bicyclic ring; R2, R2' = H, (un)substituted C1-6 aliphatic, (un)substituted C6-10 aryl, etc.; Ry = (un)substituted C1-6 aliphatic, (un)substituted C6-10 aryl, etc.; R8 = halo, NO2, CN, etc.]. The compds. are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease.

IT **439076-36-5**
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pyrazole compds. as protein kinase inhibitors, and therapeutic use)

RN 439076-36-5 HCAPLUS
 CN Acetamide, N-[4-[[2-[(5-methyl-1H-pyrazol-3-yl)amino]-4-quinazolinyl]thio]phenyl]- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L18 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:545724 HCAPLUS

DOCUMENT NUMBER: 135:147398

TITLE: Peptidomimetic modulators of cell adhesion

INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang, Shoameng; Hu, Zengjian

PATENT ASSIGNEE(S): Adherex Technologies, Inc., Can.

SOURCE: PCT Int. Appl., 416 pp.

CODEN: PIXXD2

DOCUMENT TYPE: **Patent**

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053331	A2	20010726	WO 2001-US2508	20010124 <--
WO 2001053331	A3	20020711		
WO 2001053331	C2	20021031		

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PRIORITY APPLN. INFO.: US 2000-491078 A 20000124

OTHER SOURCE(S): MARPAT 135:147398

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

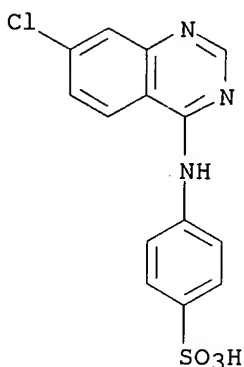
IT 105037-36-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(peptidomimetic modulators of cell adhesion)

RN 105037-36-3 HCAPLUS

CN Benzenesulfonic acid, 4-[(7-chloro-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



L18 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:489404 HCAPLUS

DOCUMENT NUMBER: 135:76901

TITLE: Preparation of quinazoline and quinoline derivatives as remedies for diseases mediated by autophosphorylation of PDGF receptors

INVENTOR(S): Ueno, Kimihisa; Ogawa, Akira; Ohta, Yoshihisa; Nomoto, Yuji; Takasaki, Kotaro; Kusaka, Hideaki; Yano, Hiroshi; Suzuki, Chiharu; Nakanishi, Satoshi

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

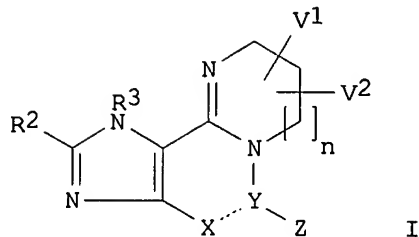
PATENT INFORMATION:

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WO 2001047931 A1		20010705	WO 2000-JP916020001222	
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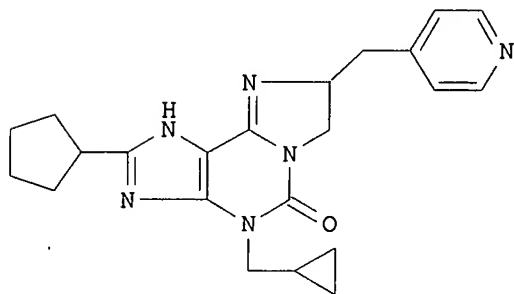
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OTHER SOURCE(S): MARPAT 135:76901

GI



I



II

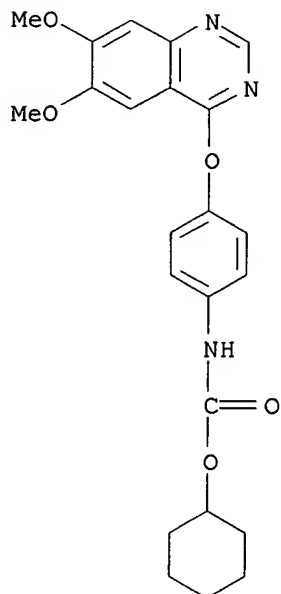
AB Title compds. [I; X = N, CH; R3, R4, R5, R6 independently = H, Cl, F, CH3, CH3O, NO2; A = 4-CH3C6H4CH2OCONH, 3-ClC6H4CH(CH3)OCONH, 4-FC6H4CH2OCONH, 2-ClC6H4CH(CH3)OCONH, 2-ClC6H4CH2CH2CH2OCONH, 4-CF3C6H4CH2OCONH, CH3(CH2)5OCONH, (CH3CH2)2N(CH2)3NHCSNH, YNHCONH, 4-ClC6H4O(CH2)2S, 4-ClC6H4(CH2)2NH, 3-BrC6H4CONHCSNH, C6H5COO, OH, OCH2COOCH3, OCH2COOH; Y = heterocycle, heterocyclalkyl] and pharmaceutically acceptable salts are prepared as remedies for diseases mediated by autophosphorylation of PDGF receptors. Thus, the title claimed compound II was prepared and biol. tested.

IT **347152-48-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazolines and quinolines as remedies for diseases mediated by autophosphorylation of PDGF receptors)

RN 347152-48-1 HCAPLUS

CN Carbamic acid, [4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)

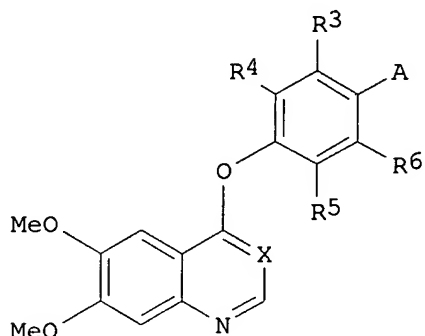


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

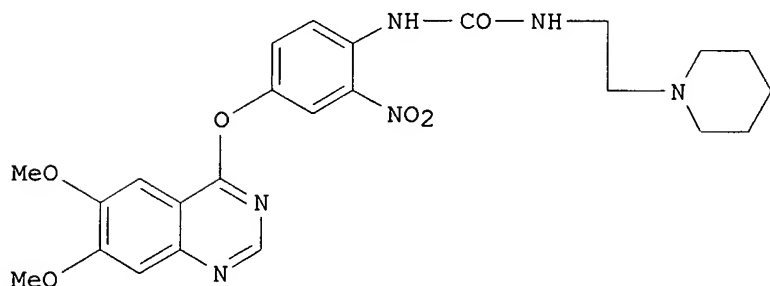
L18 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:489372 HCAPLUS
 DOCUMENT NUMBER: 135:92649
 TITLE: Preparation of quinazoline and quinoline derivatives as remedies for diseases mediated by autophosphorylation of PDGF receptors
 INVENTOR(S): Sakai, Teruyuki; Senga, Teruhumi; Furuta, Takayuki; Miwa, Atushi
 PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
 SOURCE: PCT Int. Appl., 1068 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: **Patent**
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047890	A1	20010705	WO 2000-JP9157	20001222 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001022232	A5	20010709	AU 2001-22232	20001222 <--
EP 1243582	A1	20020925	EP 2000-985844	20001222 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 PRIORITY APPLN. INFO.: JP 1999-377486 A 19991224
 JP 1999-374494 A 19991228
 JP 2000-177790 A 20000614
 WO 2000-JP9157 W 20001222
 OTHER SOURCE(S): MARPAT 135:92649
 GI



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II

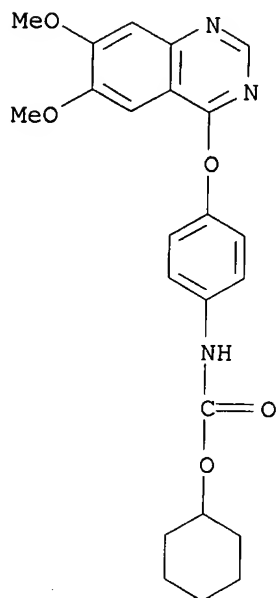
AB Title compds. [I; X = N, CH; R3, R4, R5, R6 independently = H, Cl, F, CH3, CH3O, NO2; A = 4-CH3C6H4CH2OCONH, 3-ClC6H4CH(CH3)OCONH, 4-FC6H4CH2OCONH, 2-ClC6H4CH(CH3)OCONH, 2-ClC6H4CH2CH2CH2OCONH, 4-CF3C6H4CH2OCONH, CH3(CH2)5OCONH, (CH3CH2)2N(CH2)3NHCSNH, YNHCONH, 4-ClC6H4O(CH2)2S, 4-ClC6H4(CH2)2NH, 3-BrC6H4CONHCSNH, C6H5COO, OH, OCH2COOCH3, OCH2COOH; Y = heterocycle, heterocyclalkyl] and pharmaceutically acceptable salts are prepared as remedies for diseases mediated by autophosphorylation of PDGF receptors, particularly useful as intimal thickening inhibitors. Thus, the title claimed compound II was prepared and biol. tested.

IT 347152-48-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of quinazolines and quinolines as remedies for diseases mediated by autophosphorylation of PDGF receptors)

RN 347152-48-1 HCAPLUS

CN Carbamic acid, [4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:228866 HCAPLUS

DOCUMENT NUMBER: 134:266317

TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 306 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014116	A	20020521	BR 2000-14116	20000918 <--
EP 1218354	A1	20020703	EP 2000-960840	20000918 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL

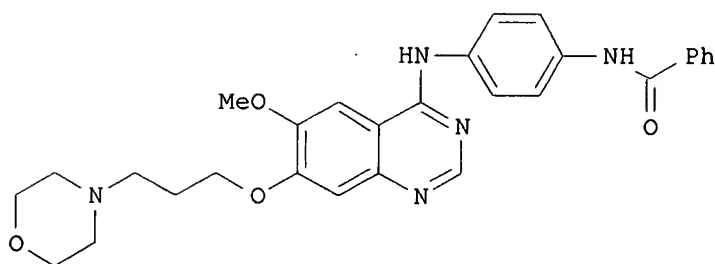
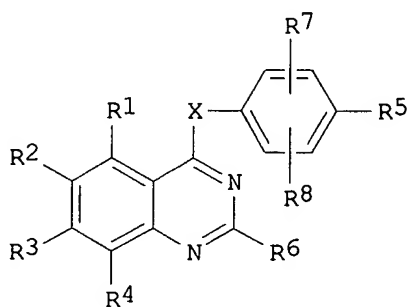
JP 2003509499	T2	20030311	JP 2001-524975	20000918
EE 200200119	A	20030415	EE 2002-119	20000918
BG 106492	A	20030131	BG 2002-106492	20020307
ZA 2002002234	A	20030619	ZA 2002-2234	20020319
NO 2002001399	A	20020430	NO 2002-1399	20020320 <--

PRIORITY APPLN. INFO.:

GB 1999-22154	A	19990921
GB 1999-22170	A	19990921
WO 2000-GB3580	W	20000918

OTHER SOURCE(S): MARPAT 134:266317

GI

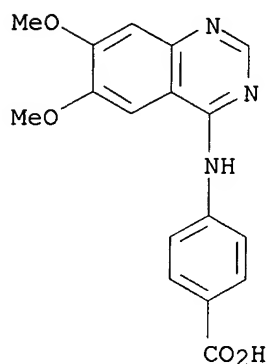


AB Title compds. (I) [wherein X = O, S, SO, SO₂, NH, or NR₁₂; R₁₂ = H or alkyl; R₁-R₄ = independently halo, CN, NO₂, alkylsulfanyl, N(OH)R₁₃, or R₁₅X₁; R₁₃ = H or alkyl; X₁ = a direct bond, O, CH₂, OC(O), CO, CO₂, S, SO, SO₂, or (un)substituted NHCO, CONH, SO₂NH, NHSO₂, or NH; R₁₅ = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R₅ = NHCO₂R₉, NHCOR₉, NHSO₂R₉, COR₉, CO₂R₉, SOR₉, SO₂OR₉, CONR₁₀R₁₁, SONR₁₀R₁₁, or SO₂NR₁₀R₁₁; R₉-R₁₁ = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R₁₀ and R₁₁ together with the N to which they are attached = (un)substituted heterocyclyl; R₆ = H or (un)substituted hydrocarbyl or heterocyclyl; R₇ and R₈ = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF₃, CN, NHY₂, alkenyl, alkynyl, or (un)substituted Ph, PhCH₂, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the

quinazoline(68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.0193 μ M. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06 μ M and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 μ M.

IT **331776-86-4P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

RN 331776-86-4 HCAPLUS
 CN Benzoic acid, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)

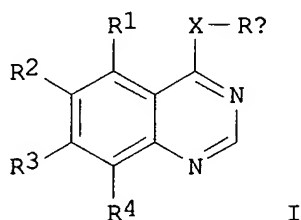


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

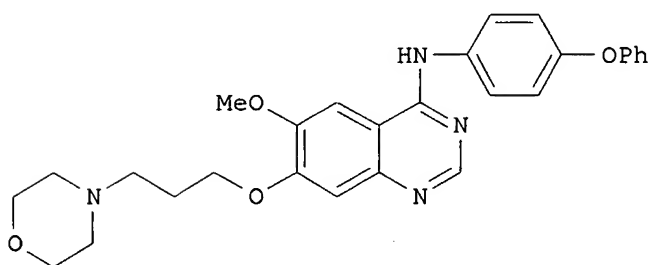
L18 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:228864 HCAPLUS
 DOCUMENT NUMBER: 134:252355
 TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
 INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 101 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: **Patent**
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021594	A1	20010329	WO 2000-GB3556	20000918 <--
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YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 BR 2000014133 A 20020611 BR 2000-14133 20000918 <--
 EP 1218356 A1 20020703 EP 2000-962677 20000918 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL
 JP 2003509497 T2 20030311 JP 2001-524973 20000918
 EE 200200149 A 20030415 EE 2002-149 20000918
 AU 763242 B2 20030717 AU 2000-74325 20000918
 ZA 2002001833 A 20030605 ZA 2002-1833 20020305
 BG 106491 A 20021229 BG 2002-106491 20020307 <--
 NO 2002001401 A 20020521 NO 2002-1401 20020320 <--
 PRIORITY APPLN. INFO.: GB 1999-22152 A 19990921
 GB 1999-22156 A 19990921
 GB 1999-22159 A 19990921
 WO 2000-GB3556 W 20000918
 OTHER SOURCE(S): MARPAT 134:252355
 GI



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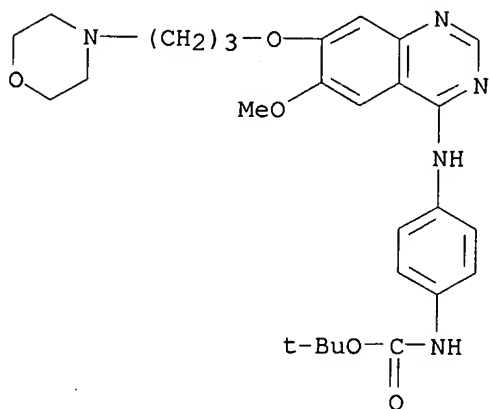
II

AB Title compds. (I) [wherein X = O, S, SO, SO₂, NH, or NR₈; R₈ = H or alkyl; Ra = (un)substituted 3-quinolinyl or Ph; R₁-R₄ = independently halo, CN, NO₂, alkylsulfanyl, N(OH)R₁₂, or R₁₄X₁; R₁₂ = H or alkyl; X₁ = a direct bond, O, CH₂, OC(O), CO, S, SO, SO₂, or (un)substituted NHCO, CONH, SO₂NH, NHSO₂, or NH; R₁₄ = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, 4-phenoxyaniline•HCl and 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline were refluxed in i-PrOH to yield II (86%). The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.069 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 2.89 μM and reduced BrdU incorporation into cellular DNA by 50% at 3.68 μM.
 IT 330999-74-1P, 4-[4-(N-Boc-amino)anilino]-6-methoxy-7-(3-morpholinopropoxy)quinazoline dihydrochloride

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of 4-substituted quinazoline aurora 2 kinase
inhibitors for treatment of cancer and other proliferative diseases)

RN 330999-74-1 HCAPLUS

CN Carbamic acid, [4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-
quinazolinyl]amino]phenyl]-, 1,1-dimethylethyl ester, dihydrochloride
(9CI) (CA INDEX NAME)



● 2 HCl

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'HOME' ENTERED AT 15:08:12 ON 08 APR 2004



STIC SEARCH RESULT FEEDBACK FORM

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Questions about the scope or the results of the search? Contact *the searcher or contact:*

Mary Hale, Information Branch Supervisor
308-4258, CM1-1E01

Voluntary Results Feedback Form

➤ I am an examiner in Workgroup: Example: 1610

➤ Relevant prior art **found**, search results used as follows:

- ☐ 102 rejection
- ☐ 103 rejection
- ☐ Cited as being of interest.
- ☐ Helped examiner better understand the invention.
- ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
(journal articles, conference proceedings, new product announcements etc.)

➤ Relevant prior art **not found**:

- ☐ Results verified the lack of relevant prior art (helped determine patentability).
- ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC/Biotech-Chem Library/CM1 - Circ. Desk.

